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TO: Tamthom Troung **Location: REM/5C18 /5B19** **Art Unit: 1624** **Monday, November 08, 2004** **Cas Serial Number: 09/960477**	**From: Noble Jarrell** **Location: Biotech-Chem Library** **Rem 1B71** **Phone: 272-2556** **Noble.jarrell@uspto.gov**

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( ) TC2900 ( ) TC 3600 ( ) TC 3700 ( ) Law Lib ( ) Other

Enter your Contact Information below:

Name: TAMTHOM TRUONG
Employee Number: 74142 Phone: 20676
Art Unit or Office: 1624 Building & Room Number: 5B19

Enter the case serial number (Required): 09/ 960,477
If not related to a patent application, please enter NA here.

Class / Subclass(es) ?

Earliest Priority Filing Date:

Format preferred for results:
[x] *Paper* [ ] *Diskette* [ ] *E-mail*

Searcher: Noble
Date Completed: Nov 8, 2004
Prep Time: 10 MIN
Online Time: 33 MIN
Structures: 1
STN: B451

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- In your own words, describe in detail the concepts or subjects you want us to search.
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- *For Chemical Structure Searches Only*
  Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers
- *For Sequence Searches Only*
  Include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

- *For Foreign Patent Family Searches Only*
  Include the country name and patent number.
- Provide examples or give us relevant citations, authors, etc., if known.
- FAX or send the **abstract, p rtinent claims** (not all of the claims), **drawings, r chemical structures** to your EIC or branch library.

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=> d his

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     FILE 'HCAPLUS' ENTERED AT 14:20:17 ON 08 NOV 2004
L1            3 US20020177593/PN

     FILE 'REGISTRY' ENTERED AT 14:20:31 ON 08 NOV 2004

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L2              TRA L1 1- RN :       74 TERMS

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L3           74 SEA L2

     FILE 'WPIX' ENTERED AT 14:20:36 ON 08 NOV 2004
L4            2 US20020177593/PN

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FILE COVERS 1907 - 8 Nov 2004  VOL 141 ISS 20
FILE LAST UPDATED: 7 Nov 2004  (20041107/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


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L1   ANSWER 1 OF 3  HCAPLUS  COPYRIGHT 2004 ACS on STN
AN   2002:907186  HCAPLUS
DN   138:350
ED   Entered STN:  29 Nov 2002
TI   Agents and crystals for improving excretory potency of urinary bladder
IN   Ishihara, Yuji; Doi, Takayuki; Nagabukuro, Hiroshi; Ishichi, Yuji
PA   Japan
SO   U.S. Pat. Appl. Publ., 65 pp., Cont.-in-part of U. S. Ser. No. 787,288.
     CODEN: USXXCO
DT   Patent
LA   English
IC   ICM  A61K031-55
     ICS  A61K031-54; A61K031-535; A61K031-495; A61K031-40; A61K031-445
NCL  514227500; 514217120; 514238800; 514252120; 514317000; 514428000;
     514649000
CC   1-12 (Pharmacology)
     Section cross-reference(s): 27, 63
FAN.CNT 3
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI   US 2002177593        A1    20021128    US 2001-960477         20010924 <--
     JP 2003192593        A2    20030709    JP 2002-354856         19990929
     JP 2003201237        A2    20030718    JP 2002-354833         19990929
     JP 3512786           B2    20040331
     WO 2000018391        A1    20000406    WO 1999-JP5367         19990930
         W:  AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM,
             EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR,
             LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL,
             TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ,
             MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
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CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
JP 2001335576 A2 20011204 JP 2001-85190 20010323
PRAI JP 1998-276677 A 19980930
WO 1999-JP5367 W 19990930
US 2001-787288 A2 20010315
JP 2001-85190 A 20010323
JP 1999-275614 A3 19990929
JP 2000-88523 A 20000324

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2002177593	ICM	A61K031-55
	ICS	A61K031-54; A61K031-535; A61K031-495; A61K031-40; A61K031-445
	NCL	514227500; 514217120; 514238800; 514252120; 514317000; 514428000; 514649000
US 2002177593	ECLA	A61K031/00; A61K031/34P; A61K031/38P; A61K031/395; A61K031/40T10; A61K031/435; A61K031/445; A61K031/445Z25; A61K031/445Z20; A61K031/47G15; A61K031/47L5; A61K031/47N15; A61K031/55; A61K031/55; A61K031/645; C07D211/26; C07D211/32; C07D273/06; C07D401/06; C07D401/06; C07D471/06; C07D487/04 <--
WO 2000018391	ECLA	A61K031/00; A61K031/445; A61K031/445Z20; A61K031/445Z25; A61K031/47G15; A61K031/47N15; A61K031/5; A61K031/55M; A61K031/645; C07D211/26; C07D273/06; C07D401/06; C07D401/06; C07D401/06; C07D471/06; C07D487/04	

OS MARPAT 138:350

AB Agents for improving potency of the urinary bladder which comprises an amine compound of non-carbamate-type having an acetylcholinesterase-inhibiting action. Particularly, crystals of a tricyclic, condensed, heterocyclic derivative are provided, which possess an excellent action to inhibit acetylcholinesterase and an action to improve the excretory potency of urinary bladder. As an example, crystals of 8-[3-[1-[(3-fluorophenyl)-methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one or a salt thereof and pharmaceutical compns. containing them are disclosed.

ST amine urinary bladder excretion acetylcholinesterase inhibitor; heterocyclic deriv amine urinary bladder excretion crystal

IT Bladder
Human
(agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)

IT Prostate gland, disease
(benign hyperplasia, dysuria from; agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)

IT Brain, disease
(block, dysuria from bladder disease in; agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)

IT Drug delivery systems
(carriers; agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)

IT Nerve, disease
(diabetic neuropathy, dysuria from bladder disease in; agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)

IT Aging, animal
Diabetes mellitus
Multiple sclerosis
Parkinson's disease
(dysuria from bladder disease in; agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)

IT Urinary tract, disease
(dysuria, treatment; agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)

IT Urine
(excretion; agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)

IT Bladder, disease
(hypotonic, dysuria from; agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)

IT Micturition
(improvement of; agents and crystals for improving excretory potency of

urinary bladder with acetylcholinesterase-inhibiting action)
IT Spinal cord, disease
(injury, dysuria from bladder disease in; agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)
IT Bladder, disease
(neurogenic, dysuria from; agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)
IT Muscle contraction
(of urinary bladder, stimulation of; agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)
IT Surgery
(post, dysuria from bladder disease in; agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)
IT Drug delivery systems
(tablets; agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)
IT Adrenoceptor antagonists
(.alpha.-, acetylcholinesterase inhibitor combined with; agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)
IT 9000-81-1, Acetylcholinesterase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)
IT 263248-16-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)
IT 263248-18-6P 263248-36-8P 263248-38-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)
IT 321-64-2, 9-Amino-1,2,3,4-tetrahydroacridine 120011-70-3 142851-99-8
142852-09-3 142852-11-7 142852-41-3 142852-51-5 142872-94-4
167633-54-7 263248-14-2 263248-22-2 263248-23-3 263248-24-4
263248-25-5 263248-26-6 263248-27-7 263248-28-8 263248-29-9
263248-30-2 263248-31-3 263248-32-4 263248-33-5 263248-34-6
263248-35-7 263248-37-9 263248-39-1 263248-40-4 263248-41-5
263248-48-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)
IT 100-39-0, Benzyl bromide 456-41-7, 3-Fluorobenzyl bromide 57369-32-1
131417-49-7, 3-(1-Acetyl-4-piperidinyl)propionic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)
IT 142853-09-6P 215040-77-0P 215047-86-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)
IT 377724-20-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(agents and crystals for improving excretory potency of urinary bladder with acetylcholinesterase-inhibiting action)

L1 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:873241 HCAPLUS
DN 136:15242
ED Entered STN: 04 Dec 2001
TI Crystals of condensed heterotricycle as acetylcholinesterase inhibitor and pharmaceutical compositions containing the crystals
IN Ishihara, Yuji; Doi, Takayuki; Ishiji, Yuji
PA Takeda Chemical Industries, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 50 pp.
CODEN: JKXXAF
DT Patent

LA Japanese
IC ICM C07D471-04
ICS A61K031-437; A61K045-00; A61P013-00; A61P013-10; A61P025-28; A61P043-00
CC 1-11 (Pharmacology)
Section cross-reference(s): 27, 63
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001335576	A2	20011204	JP 2001-85190	20010323
	US 2002177593	A1	20021128	US 2001-960477	20010924 <--
PRAI	JP 2000-88523	A	20000324		
	JP 1998-276677	A	19980930		
	WO 1999-JP5367	W	19990930		
	US 2001-787288	A2	20010315		
	JP 2001-85190	A	20010323		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
JP 2001335576	ICM	C07D471-04
	ICS	A61K031-437; A61K045-00; A61P013-00; A61P013-10; A61P025-28; A61P043-00
US 2002177593	ECLA	A61K031/00; A61K031/34P; A61K031/38P; A61K031/395; A61K031/40T10; A61K031/435; A61K031/445; A61K031/445Z25; A61K031/445Z20; A61K031/47G15; A61K031/47L5; A61K031/47N15; A61K031/55; A61K031/55; A61K031/645; C07D211/26; C07D211/32; C07D273/06; C07D401/06; C07D401/06; C07D471/06; C07D487/04 <--

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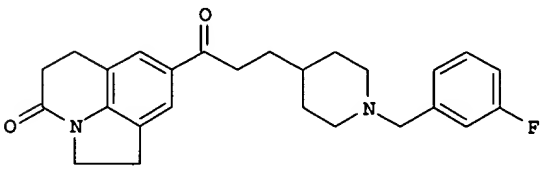

I

AB Crystals of 8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-1-oxopropyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (I) or its salts, preferably having m.p. 113-118.degree., and pharmaceutical compns. containing the crystals are claimed. The compns. are useful for treatment of dysuria by increasing force of bladder emptying. The crystals may be used in combination with .alpha.-blockers. Thus, crude crystal of I (preparation given) was dissolved in AcOEt/MeOH/CHCl3 and the solution was subjected to silica gel chromatog. After repeating the process, the crystal was dissolved in EtOH and the solution was heated to remove EtOH and cooled under stirring for 6 h to give I having m.p. 114-117.degree..
ST condensed heterotricycle crystal prepn acetylcholinesterase inhibitor; pyrroloquinolinone deriv prepn acetylcholinesterase inhibitor dysuria treatment
IT Urinary tract, disease
(dysuria; preparation of crystals of pyrroloquinolinone derivative as acetylcholinesterase inhibitor for treatment of dysuria)
IT Bladder
(force of emptying; preparation of crystals of pyrroloquinolinone derivative as acetylcholinesterase inhibitor for treatment of dysuria)
IT Micturition
(preparation of crystals of pyrroloquinolinone derivative as acetylcholinesterase inhibitor for treatment of dysuria)
IT Adrenoceptor antagonists
(.alpha.-; preparation of crystals of pyrroloquinolinone derivative as acetylcholinesterase inhibitor for treatment of dysuria)
IT 9000-81-1, Acetylcholinesterase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of crystals of pyrroloquinolinone derivative as acetylcholinesterase inhibitor for treatment of dysuria)
IT 263248-16-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of crystals of pyrroloquinolinone derivative as acetylcholinesterase inhibitor for treatment of dysuria)

IT 456-41-7, 3-Fluorobenzyl bromide 57369-32-1 131417-49-7,
3-(1-Acetyl-4-piperidinyl)propionic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of crystals of pyrroloquinolinone derivative as acetylcholinesterase inhibitor for treatment of dysuria)
IT 215040-77-0P 215047-86-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of crystals of pyrroloquinolinone derivative as acetylcholinesterase inhibitor for treatment of dysuria)
IT 377724-20-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of crystals of pyrroloquinolinone derivative as acetylcholinesterase inhibitor for treatment of dysuria)

L1 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:227495 HCAPLUS
DN 132:260683
ED Entered STN: 07 Apr 2000
TI Acetylcholinesterase-inhibiting amines for improving bladder vesical excretory strength
IN Ishihara, Yuji; Doi, Takayuki; Nagabukuro, Hiroshi; Ishichi, Yuji
PA Takeda Chemical Industries, Ltd., Japan
SO PCT Int. Appl., 165 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
IC ICM A61K031-13
ICS A61K031-445; A61K031-454; A61K031-4709; A61K031-55; A61K031-553; A61K031-4523; A61K031-4525; A61K031-4535; A61K031-473; A61K031-437; C07D211-32; C07D401-06; C07D413-06; C07D405-06; C07D409-06; C07D471-06; C07D219-10; C07D221-18; C07D491-107
CC 1-8 (Pharmacology)
Section cross-reference(s): 27, 63
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2000018391	A1	20000406	WO 1999-JP5367	19990930	
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	JP 2000169373	A2	20000620	JP 1999-275614	19990929	
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	JP 2003201237	A2	20030718	JP 2002-354833	19990929	
	JP 3512786	B2	20040331			
	CA 2344894	AA	20000406	CA 1999-2344894	19990930	
	AU 9959995	A1	20000417	AU 1999-59995	19990930	
	AU 758802	B2	20030327			
	EP 1118322	A1	20010725	EP 1999-969675	19990930	
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
	BR 9914163	A	20010814	BR 1999-14163	19990930	
	NZ 510685	A	20031031	NZ 1999-510685	19990930	
	ZA 2001002426	A	20010925	ZA 2001-2426	20010323	
	NO 2001001602	A	20010522	NO 2001-1602	20010329	
	US 2002177593	A1	20021128	US 2001-960477	20010924	<--
	US 2004116457	A1	20040617	US 2003-726486	20031204	
PRAI	JP 1998-276677	A	19980930			
	JP 1999-275614	A3	19990929			
	WO 1999-JP5367	W	19990930			
	US 2001-787288	A2	20010315			
	JP 2001-85190	A	20010323			

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2000018391	ICM	A61K031-13
	ICS	A61K031-445; A61K031-454; A61K031-4709; A61K031-55; A61K031-553; A61K031-4523; A61K031-4525; A61K031-4535; A61K031-473; A61K031-437; C07D211-32; C07D401-06; C07D413-06; C07D405-06; C07D409-06; C07D471-06; C07D219-10; C07D221-18; C07D491-107

Search done by Noble Jarrell

WO 2000018391 ECLA A61K031/00; A61K031/445; A61K031/445Z20; A61K031/445Z25; A61K031/47G15; A61K031/47N15; A61K031/5; A61K031/55M; A61K031/645; C07D211/26; C07D273/06; C07D401/06; C07D401/06; C07D401/06; C07D471/06; C07D487/04

EP 1118322 ECLA A61K031/00; A61K031/343; A61K031/382; A61K031/395; A61K031/404; A61K031/435; A61K031/445; A61K031/4545; A61K031/4709; A61K031/473; A61K031/4745; A61K031/55; A61K031/553; A61K; A61K045/06; C07D211/26; C07D211/32; C07D273/06; C07D401/06; C07D401/06; C07D401/06; C07D471/06; C07D487/04

US 2002177593 ECLA A61K031/00; A61K031/34P; A61K031/38P; A61K031/395; A61K031/40T10; A61K031/435; A61K031/445; A61K031/445Z25; A61K031/445Z20; A61K031/47G15; A61K031/47L5; A61K031/47N15; A61K031/55; A61K031/55; A61K031/645; C07D211/26; C07D211/32; C07D273/06; C07D401/06; C07D401/06; C07D471/06; C07D487/04 <--

US 2004116457 ECLA A61K031/00; A61K031/343; A61K031/382; A61K031/395; A61K031/404; A61K031/435; A61K031/445; A61K031/4545; A61K031/4709; A61K031/473; A61K031/4745; A61K031/55; A61K031/553; A61K; A61K045/06; C07D211/26; C07D211/32; C07D273/06; C07D401/06; C07D401/06; C07D401/06; C07D471/06; C07D487/04

OS MARPAT 132:260683

AB Drugs for improving bladder vesical excretory strength which contain a non-carbamate amine compound (Markush's structures given) having an acetylcholinesterase inhibitory effect.

ST amine acetylcholinesterase bladder vesical excretory strength

IT Amines, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(acetylcholinesterase-inhibiting amines for improving bladder vesical excretory strength)

IT Bladder
(diseases; acetylcholinesterase-inhibiting amines for improving bladder vesical excretory strength)

IT Drug delivery systems
(tablets; acetylcholinesterase-inhibiting amines for improving bladder vesical excretory strength)

IT 321-64-2P 120014-06-4P 142851-90-9P 142852-08-2P 142852-10-6P
142852-40-2P 142852-50-4P 142872-93-3P 167633-55-8P 215047-93-1P
215047-99-7P 215048-00-3P 215048-01-4P 215048-02-5P 263248-06-2P
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263248-17-5P 263248-18-6P 263248-19-7P 263248-20-0P 263248-21-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(acetylcholinesterase-inhibiting amines for improving bladder vesical excretory strength)

IT 120011-70-3 167633-54-7 263248-22-2 263248-23-3 263248-24-4
263248-25-5 263248-26-6 263248-27-7 263248-28-8 263248-29-9
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263248-45-9 263248-46-0 263248-47-1 263248-48-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(acetylcholinesterase-inhibiting amines for improving bladder vesical excretory strength)

IT 9000-81-1, Acetylcholinesterase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(acetylcholinesterase-inhibiting amines for improving bladder vesical excretory strength)

IT 456-41-7, 3-Fluorobenzyl bromide 57369-32-1 131417-49-7, 3-(1-Acetyl-4-piperidinyl)propionic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(acetylcholinesterase-inhibiting amines for improving bladder vesical excretory strength)

IT 142853-09-6P 215040-77-0P 215047-86-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(acetylcholinesterase-inhibiting amines for improving bladder vesical

```
        excretory strength)
RE.CNT  40    THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Chao-Mei, Y; US 5177082 A 1993 HCAPLUS
(2) Eisai Co Ltd; CN 1030752 A HCAPLUS
(3) Eisai Co Ltd; JP 179151 A
(4) Eisai Co Ltd; US 4895841 A HCAPLUS
(5) Eisai Co Ltd; NO 8802696 A HCAPLUS
(6) Eisai Co Ltd; FI 8802716 A HCAPLUS
(7) Eisai Co Ltd; DK 8803379 A HCAPLUS
(8) Eisai Co Ltd; ZA 8804338 A HCAPLUS
(9) Eisai Co Ltd; AU 8818216 A HCAPLUS
(10) Eisai Co Ltd; EP 296560 A1 1988 HCAPLUS
(11) Hoechst-Roussel Pharmaceuticals Incorporated; JP 04346975 A HCAPLUS
(12) Hoechst-Roussel Pharmaceuticals Incorporated; CA 2061422 A HCAPLUS
(13) Hoechst-Roussel Pharmaceuticals Incorporated; US 5155226 A HCAPLUS
(14) Hoechst-Roussel Pharmaceuticals Incorporated; BR 9200524 A HCAPLUS
(15) Hoechst-Roussel Pharmaceuticals Incorporated; NO 9200636 A HCAPLUS
(16) Hoechst-Roussel Pharmaceuticals Incorporated; FI 9200675 A HCAPLUS
(17) Hoechst-Roussel Pharmaceuticals Incorporated; ZA 9201160 A HCAPLUS
(18) Hoechst-Roussel Pharmaceuticals Incorporated; AU 9211073 A HCAPLUS
(19) Hoechst-Roussel Pharmaceuticals Incorporated; EP 500006 A1 1992 HCAPLUS
(20) Hokuriku Seiyaku Co Ltd; JP 920755 A 1997
(21) Kyorin Pharmaceutical Co Ltd; JP 08245582 A 1996 HCAPLUS
(22) Kyorin Pharmaceutical Co Ltd; JP 08245583 A 1996 HCAPLUS
(23) Snorrason; JP 06507617 A
(24) Snorrason; EP 584285 A1 HCAPLUS
(25) Snorrason; AU 9218736 A HCAPLUS
(26) Snorrason; NO 9304103 A
(27) Snorrason; WO 9220327 A1 1992 HCAPLUS
(28) Takeda Chemical Industries Ltd; JP 07206854 A HCAPLUS
(29) Takeda Chemical Industries Ltd; CN 1104211 A HCAPLUS
(30) Takeda Chemical Industries Ltd; CA 2113603 A HCAPLUS
(31) Takeda Chemical Industries Ltd; TW 248556 A
(32) Takeda Chemical Industries Ltd; NZ 250682 A
(33) Takeda Chemical Industries Ltd; US 5527800 A HCAPLUS
(34) Takeda Chemical Industries Ltd; US 5686466 A HCAPLUS
(35) Takeda Chemical Industries Ltd; HU 66182 A HCAPLUS
(36) Takeda Chemical Industries Ltd; NO 9400163 A HCAPLUS
(37) Takeda Chemical Industries Ltd; ZA 9400203 A HCAPLUS
(38) Takeda Chemical Industries Ltd; FI 9400229 A HCAPLUS
(39) Takeda Chemical Industries Ltd; AU 9453861 A HCAPLUS
(40) Takeda Chemical Industries Ltd; EP 607864 A2 1994 HCAPLUS


=> b wpix
FILE 'WPIX' ENTERED AT 14:21:07 ON 08 NOV 2004
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FILE LAST UPDATED:          4 NOV 2004      <20041104/UP>
MOST RECENT DERWENT UPDATE:     200471        <200471/DW>
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L4 ANSWER 1 OF 2 WPIX COPYRIGHT 2004 THE THOMSON CORP on STN
AN 2002-174983 [23] WPIX
CR 2000-303373 [26]
DNC C2002-054760
TI Crystalline 8-(3-(1-((3-fluorophenyl)methyl)-4-piperidinyl)-1-oxopropyl)-1,2,5,6-tetrahydro-4H-pyrro(3,2,1-ij)quinolin-4-one and its compositions, useful for treating e.g. urination trouble and dysuria, are acetylcholinesterase inhibitors.
DC B02
IN DOI, T; ISHICHI, Y; ISHIHARA, Y; NAGABUKURO, H
PA (TAKE) TAKEDA CHEM IND LTD; (DOIT-I) DOI T; (ISHI-I) ISHICHI Y; (ISHI-I) ISHIHARA Y; (NAGA-I) NAGABUKURO H
CYC 2
PI JP 2001335576 A 20011204 (200223)* 50 C07D471-04
US 2002177593 A1 20021128 (200281) A61K031-55 <--
ADT JP 2001335576 A JP 2001-85190 20010323; US 2002177593 A1 CIP of US 2001-787288 20010315, US 2001-960477 20010924
PRAI JP 2000-88523 20000324; JP 1998-276677 19980930;
WO 1999-JP5367 19990930
IC ICM A61K031-55; C07D471-04
ICS A61K031-40; A61K031-437; A61K031-445; A61K031-495; A61K031-535; A61K031-54; A61K045-00; A61P013-00; A61P013-10; A61P025-28; A61P043-00
AB JP2001335576 A UPAB: 20021220
NOVELTY - Crystalline 8-(3-(1-((3-fluorophenyl)methyl)-4-piperidinyl)-1-oxopropyl)-1,2,5,6-tetrahydro-4H-pyrro(3,2,1-ij)quinolin-4-one (I) and its pharmaceutical compositions with acetylcholinesterase inhibition are new.
DETAILED DESCRIPTION - Crystalline 8-(3-(1-((3-fluorophenyl)methyl)-4-piperidinyl)-1-oxopropyl)-1,2,5,6-tetrahydro-4H-pyrro(3,2,1-ij)quinolin-4-one its salts, and pharmaceutical compositions optionally combined with alpha-blockers are prepared.
USE - The compound, its salts, and compositions are useful acetylcholinesterase inhibitory, vesical micturition improving, urination trouble treating, and dysuria treating agents.
ADVANTAGE - The crystals are of good quality, low hygroscopicity, and high stability and exhibit excellent acetylcholinesterase inhibitiory and vesical micturition improving activities.
Dwg.0/0
FS CPI
FA AB; GI; DCN
MC CPI: B06-D13; B14-D07A; B14-N10

L4 ANSWER 2 OF 2 WPIX COPYRIGHT 2004 THE THOMSON CORP on STN
AN 2000-303373 [26] WPIX
CR 2002-174983 [23]
DNC C2000-091981
TI Drugs for improving vesical excretory strength comprise a non-carbamate amine compound having acetylcholinesterase inhibitory activity.
DC B02
IN DOI, T; ISHICHI, Y; ISHIHARA, Y; NAGABUKURO, H
PA (TAKE) TAKEDA CHEM IND LTD; (DOIT-I) DOI T; (ISHI-I) ISHICHI Y; (ISHI-I) ISHIHARA Y; (NAGA-I) NAGABUKURO H
CYC 89
PI WO 2000018391 A1 20000406 (200026)* JA 165 A61K031-13
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AU 9959995 A 20000417 (200035)
JP 2000169373 A 20000620 (200036) 81 A61K031-4465
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EP 1118322 A1 20010725 (200143) EN A61K031-13
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ZA 2001002426 A 20011128 (200202) 220 A61K000-00
JP 2000571910 X 20011218 (200206) A61K031-13
KR 2001085865 A 20010907 (200218) A61K031-454
CN 1328451 A 20011226 (200227) A61K031-13
HU 2001004493 A2 20020429 (200238) A61K031-13
US 2002177593 A1 20021128 (200281) A61K031-55 <--
AU 758802 B 20030327 (200330) A61K031-13
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     MX 2001003286   A1 20020201 (200362)              A61K031-13
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     JP 3512786      B2 20040331 (200423)       66     A61K031-4745
     US 2004116457   A1 20040617 (200440)              A61K031-473
ADT  WO 2000018391 A1 WO 1999-JP5367 19990930; AU 9959995 A AU 1999-59995
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     US 2001-787288 20010315, US 2001-960477 20010924; AU 758802 B AU
     1999-59995 19990930; JP 2003201237 A Div ex JP 1999-275614 19990929, JP
     2002-354833 19990929; JP 2003192593 A Div ex JP 1999-275614 19990929, JP
     2002-354856 19990929; MX 2001003286 A1 WO 1999-JP5367 19990930, MX
     2001-3286 20010329; NZ 510685 A NZ 1999-510685 19990930, WO 1999-JP5367
     19990930; JP 3512786 B2 Div ex JP 1999-275614 19990929, JP 2002-354833
     19990929; US 2004116457 A1 Div ex WO 1999-JP5367 19990930, Div ex US
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     2000018391; HU 2001004493 A2 Based on WO 2000018391; AU 758802 B Previous
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AB   WO 200018391 A UPAB: 20040624
     NOVELTY - Drugs for improving vesical excretory strength comprise a
     non-carbamate amine compound having acetylcholinesterase inhibitory
     activity.
          ACTIVITY - Uropathic. In a urination production test on Hartley
     guinea pigs 8-(3-(1-((3-fluorophenyl)methyl)-4-piperidinyl)-1-oxopropyl)-
     1,2,5,6-tetrahydro-4H-pyrrolo(3,2,1-ij)quinolin-4-one at 0.01 mg/kg
     increased urine production by 77.0% compared to 12.9% for vehicle alone
     and 20.4% for distigmine.
          MECHANISM OF ACTION - Anticholinesterase.
          USE - As acetylcholinesterase inhibitors for improving vesical
     excretory strength useful for treating or preventing dysuria and urination
     difficulties.
     Dwg.0/0
FS   CPI
FA   AB; GI; DCN
MC   CPI: B06-H; B07-H; B10-B02; B10-B03; B10-B04; B14-D07A; B14-N07


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L5              STR
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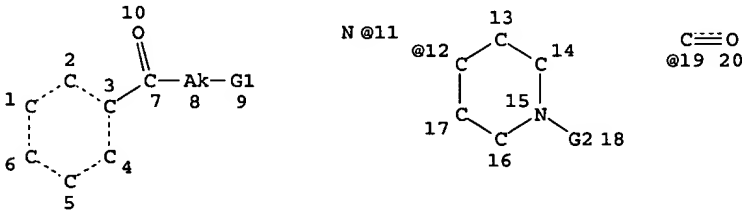


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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

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NUMBER OF NODES IS  20

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L15        92899 SEA FILE=HCAPLUS ABB=ON  PLU=ON  URINE/CT
L16          396 SEA FILE=HCAPLUS ABB=ON  PLU=ON  MICTURITION/CT
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L9        18088 BLADDER+OLD/CT
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L10          80 MUSCLE+OLD,NT/CT (L)DETRUSOR
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L11        1402 "PROSTATE GLAND, DISEASE"/CT
L12        1402 L11 (L) (DISEASE OR HYPERPLASIA OR NEOPLASM OR PROSTATITIS ?CAR
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                E E3+ALL
L13       71735 "URINARY TRACT, DISEASE"+OLD,NT/CT
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                E E3+ALL
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L33          154 E3
                 E NAGABUKURO H/AU
L34           11 E3-4
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L35           16 E4
L36        14470 TAKEDA/CS,PA
L37            5 L29 AND L30-36
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L41           74 L40 AND P/DT
L42           19 L41 AND US/PC.B

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FILE LAST UPDATED: 7 Nov 2004  (20041107/ED)

 This file contains CAS Registry Numbers for easy and accurate
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=> d all fhitstr l37 tot

L37  ANSWER 1 OF 5  HCAPLUS  COPYRIGHT 2004 ACS on STN
AN   1999:17300  HCAPLUS
DN   130:276572
ED   Entered STN:  12 Jan 1999
TI   TAK-147, an acetylcholinesterase inhibitor, increases choline
     acetyltransferase activity in cultured rat septal cholinergic neurons
AU   Kato, Koki; Hayako, Hitomi; Ishihara, Yuji; Marui, Shogo; Iwane,
     Makoto; Miyamoto, Masaomi
CS   Pharmaceutical Research Division, Pharmaceutical Research Laboratories V,
     Takeda Chemical Industries Ltd., Yodogawa-ku, Osaka, 532-8686,
     Japan
SO   Neuroscience Letters (1999), 260(1), 5-8
     CODEN: NELED5; ISSN: 0304-3940
PB   Elsevier Science Ireland Ltd.
DT   Journal
LA   English
CC   1-11 (Pharmacology)
AB   TAK-147, a potent acetylcholinesterase (AChE) inhibitor, potentiated
     choline acetyltransferase (ChAT) activity in cultured rat septal
     cholinergic neurons in a concentration-dependent manner with an EC50 value of
     4.47 nM.  Donepezil, another potent AChE inhibitor, also increased ChAT
     activity although its potency was less than that of TAK-147.  Other AChE
     inhibitors (rivastigmine, tacrine, physostigmine and neostigmine) showed
     no effect.  The effects of TAK-147 were greater in the presence of NGF,
     suggesting a synergistic action of TAK-147 and NGF.  TAK-147 and donepezil
     showed high affinity for .sigma. receptors, whereas tacrine and
```

physostigmine did not. Haloperidol and ifenprodil, high-affinity .sigma. ligands, potently enhanced ChAT activity in the septal neurons. These results suggest that TAK-147 may have neurotrophic activity on central cholinergic neurons, not via AChE inhibition but possibly via an effect on .sigma. receptors.

ST brain cholinergic neuron TAK147 choline acetyltransferase

IT Nerve
(cholinergic; TAK-147, an acetylcholinesterase inhibitor, increases choline acetyltransferase activity in cultured rat septal cholinergic neurons)

IT Brain
Brain
(septum pellucidum, cholinergic system; TAK-147, an acetylcholinesterase inhibitor, increases choline acetyltransferase activity in cultured rat septal cholinergic neurons)

IT Opioid receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(.sigma.-opioid; TAK-147, an acetylcholinesterase inhibitor, increases choline acetyltransferase activity in cultured rat septal cholinergic neurons)

IT **52-86-8**, Haloperidol 57-47-6, Physostigmine 59-99-4, Neostigmine 321-64-2, Tacrine 9061-61-4, Nerve growth factor 23210-56-2, Ifenprodil 120014-06-4, Donepezil 123441-03-2, Rivastigmine 142852-51-5, TAK-147
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(TAK-147, an acetylcholinesterase inhibitor, increases choline acetyltransferase activity in cultured rat septal cholinergic neurons)

IT 9012-78-6, Choline acetyltransferase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(TAK-147, an acetylcholinesterase inhibitor, increases choline acetyltransferase activity in cultured rat septal cholinergic neurons)

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

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(4) Davies, P; Lancet 1976, V2, P1403 MEDLINE
(5) DeCoster, M; Brain Res 1995, V671, P45 HCAPLUS
(6) Fonnum, F; J Neurochem 1975, V24, P407 HCAPLUS
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(8) Hatanaka, H; Dev Brain Res 1986, V30, P47 HCAPLUS
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(11) Iwane, M; Biochem Biophys Res Commun 1990, V171, P116 HCAPLUS
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(14) Miyamoto, M; J Pharmacol Exp Ther 1996, V277, P1292 HCAPLUS
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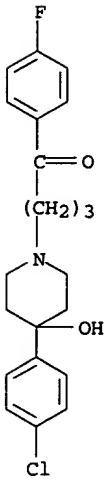
(16) Seiner, A; Behav Brain Res 1993, V57, P255
(17) Sofroniew, M; Alzheimer Res 1996, V2, P7 HCAPLUS
(18) Su, T; Eur J Biochem 1991, V200, P633 HCAPLUS
(19) Williams, J; Proc Natl Acad Sci USA 1986, V83, P9231

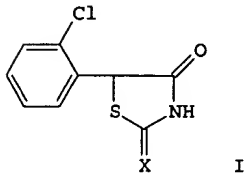
IT **52-86-8**, Haloperidol
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(TAK-147, an acetylcholinesterase inhibitor, increases choline acetyltransferase activity in cultured rat septal cholinergic neurons)

RN 52-86-8 HCAPLUS

CN 1-Butanone, 4-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



L37 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1983:160624 HCAPLUS
DN 98:160624
ED Entered STN: 12 May 1984
TI Studies on antidiabetic agents. III. 5-Arylthiazolidine-2,4-diones as potent aldose reductase inhibitors
AU Sohda, Takashi; Mizuno, Katsutoshi; Imamiya, Eiko; Tawada, Hiroyuki; Meguro, Kanji; Kawamatsu, Yutaka; Yamamoto, Yujiro
CS Cent. Res. Div., **Takeda** Chem. Ind., Ltd., Osaka, 532, Japan
SO Chemical & Pharmaceutical Bulletin (1982), 30(10), 3601-16
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
CC 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
GI



I

AB Thiazolidine-2,4-dione derivs. (86 compds. having one or two substituent(s) such as Ph, heteroaryl and alkyl group(s) at the 5-position were synthesized by several methods and evaluated as aldose reductase inhibitors. Thus o-EtC6H4CHBrCO2Me was cyclized with H2NCSNH2 to give its thiazolidine I (X = NH), which was hydrolyzed to give I (X = O). Inhibition by the active compds. of the swelling of the lens in a rat-lens-culture assay was also measured. Among these compds., a series of 5-(3,4-dialkoxyphenyl)thiazolidine-2,4-diones showed pronounced activities in both assays. Structure-activity relationships are discussed and a new approach to the synthesis of 5-arylthiazolidine-2,4-diones is described.
ST thiazolidinedione aryl prepn antidiabetic; antidiabetic arylthiazolidinedione; aldose reductase inhibitor arylthiazolidinedione; thiourea cyclization phenylbromoacetate
IT Antidiabetics and Hypoglycemics
(arylthiazolidinediones)
IT 4998-15-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of benzene)
IT 71-43-2, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of chlorophenylglyoxal)

IT 2049-73-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of ethoxalyl chloride)
IT 121-32-4 121-33-5 123-08-0 139-85-5 621-59-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of)
IT 104-03-0 2444-36-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(bromination and esterification of)
IT 123-11-5, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(coupling of, dimethylbenzoin from)
IT 62-56-6P, preparation
RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(cyclization of, with haloacetonitriles and haloacetate, thiadiazolidinediones from)
IT 74649-69-7 79714-24-2 85259-18-3 85259-52-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with thiourea)
IT 85259-43-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(elimination reaction of)
IT 79615-67-1 85259-53-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis of)
IT 9028-31-3
RL: USES (Uses)
(inhibitors, arylthiazolidinediones)
IT 3324-15-0P 4695-04-9P 4695-17-4P 74772-77-3P 74773-17-4P
79712-13-3P 79712-14-4P 79712-15-5P 79712-16-6P 79712-17-7P
79712-18-8P 79712-19-9P 79712-20-2P 79712-21-3P 79712-22-4P
79712-23-5P 79712-24-6P 79714-27-5P 79714-29-7P 79714-31-1P
79714-33-3P 79714-34-4P 79714-35-5P 79714-36-6P 79714-37-7P
79714-38-8P 79714-39-9P 79714-40-2P 82124-26-3P 82124-27-4P
82124-28-5P 82124-29-6P 82124-30-9P 82124-31-0P 82124-32-1P
82124-33-2P 82124-34-3P 82124-35-4P 82124-36-5P 82124-37-6P
82172-86-9P 82172-87-0P 82172-88-1P 82172-89-2P 82172-90-5P
82172-92-7P 82172-93-8P 85002-47-7P 85002-48-8P 85258-75-9P
85258-76-0P 85258-77-1P 85258-78-2P 85258-79-3P 85258-80-6P
85258-82-8P 85258-83-9P 85258-84-0P 85258-85-1P 85258-86-2P
85258-87-3P 85258-88-4P 85258-89-5P 85258-90-8P 85258-91-9P
85258-92-0P 85258-93-1P 85258-94-2P 85258-95-3P 85258-96-4P
85258-97-5P 85258-98-6P 85258-99-7P 85259-00-3P 85270-43-5P
85270-44-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and aldose reductase inhibition by)
IT 85259-26-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chlorination of)
IT 3802-78-6P 7550-04-1P 24091-92-7P 74649-69-7P 81265-17-0P
85258-81-7P 85259-15-0P 85259-16-1P 85259-17-2P 85259-19-4P
85259-22-9P 85259-33-2P 85259-40-1P 85259-41-2P 85259-54-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cyclization with thiourea)
IT 75-25-2P 79714-23-1P 85259-01-4P 85259-02-5P 85259-03-6P
85259-04-7P 85259-05-8P 85259-06-9P 85259-20-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
IT 1218-89-9P 39774-18-0P 85259-31-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)
IT 85259-07-0P 85259-08-1P 85259-09-2P 85259-10-5P 85259-11-6P
85259-12-7P 85259-13-8P 85259-14-9P 85259-42-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and ozonolysis of)
IT 18986-09-9P 68490-12-0P 85259-28-5P 85259-29-6P 85259-32-1P
85259-44-5P 85259-45-6P 85259-46-7P 85259-47-8P 85259-48-9P
85259-49-0P 85259-50-3P 85259-51-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with cyanide)
IT 62323-56-2P 85259-36-5P 85259-37-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with thionyl chloride)

IT 3327-51-3P **3457-48-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and rearrangement of)

IT 85259-30-9P 85259-34-3P 85259-35-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

IT 4075-58-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT 2695-79-6P 85259-21-8P 85259-23-0P 85259-24-1P 85259-25-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, esterification, chlorination, and cyclization with thiourea)

IT 110-53-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with Et vanillin)

IT 79714-25-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with bromoform)

IT 6287-86-1 59067-46-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with cyanide)

IT 4755-77-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with diethoxybenzene)

IT 61380-07-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with hydroxybenzaldehyde)

IT 109-65-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with protocatechualdehyde)

IT 7550-03-0 62323-55-1 77062-85-2 85259-38-7 85259-39-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with thionyl chloride)

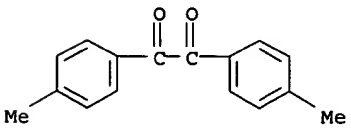
IT 2346-07-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with vanillin)

IT 7498-72-8 34082-45-6 35190-07-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(rearrangement of)

IT 1813-94-1 34036-28-7 53017-34-8 62936-36-1 73980-24-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reduction of)

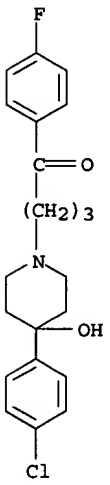
IT **3457-48-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and rearrangement of)

RN 3457-48-5 HCAPLUS
CN Ethanedione, bis(4-methylphenyl)- (9CI) (CA INDEX NAME)



L37 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1981:57820 HCAPLUS
DN 94:57820
ED Entered STN: 12 May 1984
TI Antagonistic effects of psycholeptic drugs on stress-induced analgesia
AU **Doi, T.**; Sawa, N.
CS Cent. Res. Div., **Takeda** Chem. Ind. Ltd., Osaka, 532, Japan
SO Archives Internationales de Pharmacodynamie et de Therapie (1980), 247(2), 264-74
CODEN: AIPTAK; ISSN: 0003-9780
DT Journal
LA English

CC 1-1 (Pharmacodynamics)
AB In mice, stress-induced analgesia was significantly antagonized by naloxone [357-08-4] and was dose-dependently reduced by diazepam [439-14-5], chlordiazepoxide [58-25-3], flurazepam [17617-23-1], medazepam [2898-12-6], nitrazepam [146-22-5], estazolam [29975-16-4], phenobarbital [57-30-7], chlorpromazine [50-53-3], levomepromazine [60-99-1], haloperidol [**52-86-8**], and propranolol [3506-09-0]. In contrast to psycholeptics morphine [52-26-6] substantially increased the threshold of nociceptive response in the post-stress session. Centrally acting muscle relaxants, tolperisone [**728-88-1**] and carisoprodol [78-44-4] had no substantial anti-stress effects. Apparently, the stress-induced analgesia is probably mediated through endogenous opioids in the central nervous system. The approach used in this study provides a simple method for assessing the anti-stress action of psycholeptics.
ST psycholeptic stress analgesia antagonism
IT Stress, biological
(analgesia from, psychotropics antagonism of, method for evaluation of)
IT Analgesia
(from stress, psychotropics antagonism of, method for evaluation of)
IT Psychotropics
(stress analgesia antagonism by, method for evaluation of)
IT 50-53-3, biological studies 52-26-6 **52-86-8** 57-30-7 58-25-3 58-46-8 60-99-1 78-44-4 146-22-5 318-98-9 357-08-4 439-14-5 **728-88-1** 2898-12-6 17617-23-1 29975-16-4
RL: BIOL (Biological study)
(stress analgesia antagonism by)
IT **52-86-8**
RL: BIOL (Biological study)
(stress analgesia antagonism by)
RN 52-86-8 HCAPLUS
CN 1-Butanone, 4-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



L37 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1980:525557 HCAPLUS
DN 93:125557
ED Entered STN: 12 May 1984
TI Behavioral changes following lesioning of the nucleus accumbens (ACB) and effects of centrally acting drugs in rats
AU Miyamoto, Masaomi; Saji, Yoshiaki; Nagawa, Yuji
CS Cent. Res. Div., **Takeda** Chem. Ind. Ltd., Osaka, 532, Japan
SO Nippon Yakurigaku Zasshi (1980), 76(4), 227-38
CODEN: NYKZAU; ISSN: 0015-5691
DT Journal
LA Japanese
CC 1-5 (Pharmacodynamics)
AB Rats with bilateral lesions of the nucleus accumbens showed locomotor hyperactivity and hyperemotionality. Muricidal behavior was also observed in about 40% of the lesioned rats. Hyperemotionality and muricidal behavior was observed for 2 to 3 days after the treatment, whereas locomotor

hyperactivity lasted for over 3 days. Hyperemotionality and muricidal behavior were both inhibited by the i.p. administration of chlorpromazine [50-53-3], haloperidol [**52-86-8**], diazepam [439-14-5], estazolam [29975-16-4], aminooxyacetic acid [645-88-5], and phenoxybenzamine [59-96-1]. Imipramine [50-49-7], atropine [51-55-8], and L-5-hydroxytryptophan [4350-09-8] inhibited the muricidal behavior selectively. Destruction of the catecholaminergic system by the administration of 6-hydroxydopamine into bilateral nucleus accumbens caused only moderate hyperemotionality with no evidence of locomotor hyperactivity and muricide.

ST drug behavior nucleus accumbens; brain drug behavior

IT Emotion
(from nucleus accumbens lesion, drugs effect on)

IT Behavior
(locomotor, from nucleus accumbens lesion, drugs effect on)

IT Behavior
(muricidal, from nucleus accumbens lesion, drugs effect on)

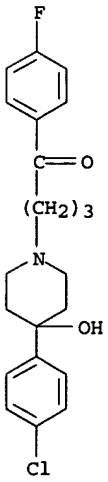
IT Brain
(nucleus accumbens, behavior response to drugs in relation to)

IT 50-49-7 50-53-3, biological studies 51-55-8, biological studies **52-86-8** 59-96-1 439-14-5 645-88-5 4350-09-8 29975-16-4
RL: BIOL (Biological study)
(behavior response to, nucleus accumbens lesions in relation to)

IT **52-86-8**
RL: BIOL (Biological study)
(behavior response to, nucleus accumbens lesions in relation to)

RN 52-86-8 HCAPLUS

CN 1-Butanone, 4-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



L37 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1977:562090 HCAPLUS

DN 87:162090

ED Entered STN: 12 May 1984

TI Pharmaceutical composition for treating schizophrenia

PA **Takeda** Chemical Industries, Ltd., Japan

SO Belg., 28 pp.
CODEN: BEXXAL

DT Patent

LA French

IC A61K

CC 2-6 (Hormone Pharmacology)

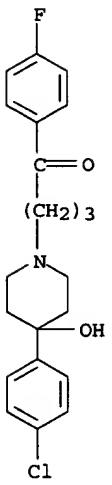
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BE 842106	A1	19761122	BE 1976-167243	19760521
	FR 2311553	A1	19761217	FR 1976-15453	19760521
	FR 2311553	B1	19791012		
	JP 51151336	A2	19761225	JP 1976-59338	19760521
	JP 60047247	B4	19851021		
	GB 1540574	A	19790214	GB 1975-22706	19760524

PRAI GB 1975-22706 19750523
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
BE 842106	IC	A61K

AB Pharmaceutical prepns. in the form of tablets, capsules, or injection solns. containing thyrotropin-releasing factor tartrate salt [54974-54-8]and a neuroleptic phenothiazine, such as chlorpromazine [50-53-3], thiothixene [5591-45-7], or haloperidol [52-86-8] were effective, in clin. applications, fortreatment of schizophrenia. Thus, a patient with autism, abulia, facial rigidity, slowness of movement, and clumsiness showed complete recovery after less than a month of treatment with 4 mg thyrotropin-releasing factor tartrate + 50 mg thioridazine [50-52-2] + 6 mg trihexyphenidyl [144-11-6]/day.
ST schizophrenia thyrotropin releasing factor neuroleptic; tranquilizer thyrotropin releasing factor schizophrenia
IT Tranquilizers and Neuroleptics
(schizophrenia treatment with thyrotropin-releasing factor and)
IT Schizophrenia
(thyrotropin-releasing factor and tranquilizers in treatment of)
IT 50-52-2 50-53-3, biological studies 52-86-8 144-11-6
5591-45-7
RL: BIOL (Biological study)
(schizophrenia treatment with thyrotropin-releasing factor and)
IT 54974-54-8
RL: BIOL (Biological study)
(schizophrenia treatment with tranquilizers and)
IT 52-86-8
RL: BIOL (Biological study)
(schizophrenia treatment with thyrotropin-releasing factor and)
RN 52-86-8 HCAPLUS
CN 1-Butanone, 4-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



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L42 ANSWER 1 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:857199 HCAPLUS
DN 141:331803
ED Entered STN: 18 Oct 2004
TI Preparation of sulfoxide and bis-sulfoxide compounds and compositions for cholesterol management and related uses
IN Dasseux, Jean-Louis Henri; Oniciu, Daniela Carmen
PA USA
SO U.S. Pat. Appl. Publ., 142 pp., Cont.-in-part of U.S. Ser. No. 976,899.
CODEN: USXXCO
DT Patent
LA English
IC ICM A61K031-10
NCL 514708000; 568027000

CC 23-11 (Aliphatic Compounds)
Section cross-reference(s): 1, 63
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004204502	A1	20041014	US 2003-744401	20031224 <--
	US 2003022865	A1	20030130	US 2001-976899	20011011 <--
	US 6673780	B2	20040106		
	US 2004122091	A1	20040624	US 2003-702701	20031107 <--
PRAI	US 2001-976899	A2	20011011 <--		
	US 2000-239105P	P	20001011 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004204502	ICM	A61K031-10
	NCL	514708000; 568027000
US 2003022865	ECLA	C07C317/18; C07F009/09A1; C07F009/24A1; C07F009/44A1<--
US 2004122091	ECLA	C07C317/18; C07F009/09A1; C07F009/24A1; C07F009/44A1<--

AB Title compds. W1ZmSOGSOZmW2 (I) [wherein Z = independently CH2, CH:CH, or C6H4; m = independently 1-9; when Z = C6H4, m = 1; G = (CH2)x, CH2CH:CHCH2, CH:CH, CH2C6H4CH2, or C6H4; x = 2-4; W1 and W2 = independently CR1R2(CH2)nY, tetrahydro(oxo)pyranyl(oxy), oxooxetanyl, tetrahydrooxofuranyl, etc.; CR1R2(CH2)cCR3R4(CH2)nY, or CR1R2(CH2)cV; n = 0-4; c = 1-2; R1 and R2 = independently alkyl, alkenyl, alkynyl, Ph, or benzyl; or when one or both of W1 and W2 = CR1R2(CH2)cCR3R4Y, then R1 and R2 can both be H; R3 = H, alkyl, alkenyl, alkynyl, alkoxy, Ph, benzyl, Cl, Br, NO2, or CF3; R4 = OH, alkyl, alkenyl, alkynyl, alkoxy, Ph, benzyl, Cl, Br, CN, NO2, or CF3; Y = OH, CO2H, CHO, CO2R5, SO3H, mono-, di-, or triphosphate, dioxo- or dithioxohexahydrothieno[3,2-c]pyridinyl, sulfamoyl, tetrazolyl, hydroxyoxazolyl, hydroxypyranonyl, substituted imidazolidinedionyl, etc.; R5 = (un)substituted alkyl, alkenyl, alkynyl, Ph, or benzyl] were prepared as peroxisome proliferator activated receptor (PPAR) antagonists for treatment and prevention of cardiovascular diseases, dyslipidemias, dysproteinemias, and glucose metabolism disorders. I are also useful for treating and preventing Alzheimer's Disease, Syndrome X, PPAR-related disorders, septicemia, thrombotic disorders, obesity, pancreatitis, hypertension, renal disease, cancer inflammation, and impotence. For example, 6-(5,5-dimethyl-6-hydroxyhexylsulfanyl)-2,2-dimethylhexan-1-ol was oxidized to 6-(5,5-dimethyl-6-hydroxyhexane-1-sulfinyl)-2,2-dimethylhexan-1-ol (quant.) using H2O2 in glacial AcOH. The latter increased reduced serum triglycerides in female obese Zucker rats by 48% and 42% after 1 and 2 wk of treatment. Although non-HDL cholesterol increased by 38% and 62%, a marked increase in HDL cholesterol of 2.2-fold and 3.1-fold after one and two weeks of treatment, resp., resulted in an unexpectedly beneficial increased ratio of HDL/non-HDL cholesterol from 2.70 (pretreatment) to 3.84 and 4.97. In certain embodiments, I may be administered in combination therapy with other therapeutics, such as hypocholesterolemic and hypoglycemic agents.

ST alkyl sulfoxide prepn anticholesterol hypolipidemic antidiabetic antiobesity; sulfoxide alkyl prepn peroxisome proliferator activated receptor antagonist

IT Fats and Glyceridic oils, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(animal, reduction in livestock; preparation of sulfoxide and bis-sulfoxide compds. as for cholesterol management and related uses)

IT Heart, disease
(cardiac syndrome X, treatment; preparation of sulfoxide and bis-sulfoxide compds. as for cholesterol management and related uses)

IT Egg, poultry
(cholesterol reduction; preparation of sulfoxide and bis-sulfoxide compds. as for cholesterol management and related uses)

IT Lipids, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(dyslipidemia, treatment; preparation of sulfoxide and bis-sulfoxide compds. as for cholesterol management and related uses)

IT Lipoproteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(dyslipoproteinemia, treatment; preparation of sulfoxide and bis-sulfoxide compds. as for cholesterol management and related uses)

IT Lipoproteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(high-d.; preparation of sulfoxide and bis-sulfoxide compds. as for cholesterol management and related uses)

IT Sexual behavior
(impotence, treatment; preparation of sulfoxide and bis-sulfoxide compds. as for cholesterol management and related uses)

IT Lipoproteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(low-d.; preparation of sulfoxide and bis-sulfoxide compds. as for cholesterol management and related uses)
IT Disease, animal
(metabolic syndrome X, treatment; preparation of sulfoxide and bis-sulfoxide compds. as for cholesterol management and related uses)
IT Pancreas, disease
(pancreatitis, treatment; preparation of sulfoxide and bis-sulfoxide compds. as for cholesterol management and related uses)
IT Anti-Alzheimer's agents
Anti-inflammatory agents
Anticholesteremic agents
Anticoagulants
Antihypertensives
Antiobesity agents
Antitumor agents
Cardiovascular agents
Human
Hypolipemic agents
(preparation of sulfoxide and bis-sulfoxide compds. as for cholesterol management and related uses)
IT Fatty acids, biological studies
Glycerides, biological studies
Peroxisome proliferator-activated receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of sulfoxide and bis-sulfoxide compds. as for cholesterol management and related uses)
IT **Kidney, disease**
Septicemia
(treatment; preparation of sulfoxide and bis-sulfoxide compds. as for cholesterol management and related uses)
IT Lipoproteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(very-low-d.; preparation of sulfoxide and bis-sulfoxide compds. as for cholesterol management and related uses)
IT 50-99-7, Glucose, biological studies 57-88-5, Cholesterol, biological studies 300-85-6 9004-10-8, Insulin, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of sulfoxide and bis-sulfoxide compds. as for cholesterol management and related uses)
IT 411213-93-9P 412951-56-5P 412951-57-6P 412951-58-7P 412951-59-8P
412951-60-1P 412951-61-2P 412951-62-3P 412951-63-4P 412951-64-5P
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412953-91-4P 412953-92-5P 412953-93-6P 412953-94-7P 412953-95-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfoxide and bis-sulfoxide compds. as for cholesterol management and related uses)

IT 412953-96-9P 412953-97-0P 412953-98-1P 412953-99-2P 412954-00-8P
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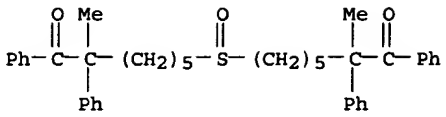
412955-82-9P 412955-83-0P 412955-84-1P 412955-85-2P 412955-86-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfoxide and bis-sulfoxide compds. as for cholesterol management and related uses)

IT 412934-88-4 412934-91-9 412935-66-1 412935-69-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of sulfoxide and bis-sulfoxide compds. as for cholesterol management and related uses)

IT **412954-45-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfoxide and bis-sulfoxide compds. as for cholesterol management and related uses)

RN 412954-45-1 HCAPLUS
CN 1-Heptanone, 7,7'-sulfinylbis[2-methyl-1,2-diphenyl- (9CI) (CA INDEX NAME)



L42 ANSWER 2 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:825133 HCAPLUS
DN 141:332051
ED Entered STN: 08 Oct 2004
TI Preparation of substituted chromen-4-one oximes as inhibitors of protein kinases
IN Green, Jeremy; Aronov, Alex; Pierce, Albert C.
PA USA
SO U.S. Pat. Appl. Publ., 47 pp.
CODEN: USXXCO
DT **Patent**
LA English
IC ICM C07D491-02
ICS A61K031-519
NCL 514260100; 514302000; 514456000; 544279000; 546114000; 549403000
CC 27-14 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 63
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004198750	A1	20041007	US 2004-808678	20040325 <--
WO 2004092154	A1	20041028	WO 2004-US9145	20040325 <--

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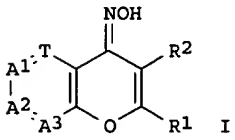
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2003-460042P P 20030403 <--

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004198750	ICM	C07D491-02
	ICS	A61K031-519
	NCL	514260100; 514302000; 514456000; 544279000; 546114000; 549403000

GI



I

AB The title compds. [I; R1 = LmR, LmAr1, LmCy1; L = S, O, NR, alkylidene wherein up to two non-adjacent methylene units of L are optionally replaced by S, O, CO, etc.; m = 0-1; Ar1 = (un)substituted 5-7 membered monocyclic or 8-10 membered bicyclic ring having 0-5 heteroatoms; Cy1 = (un)substituted 3-7 membered (un)saturated monocyclic ring having 0-3 heteroatoms or 8-10 membered (un)saturated bicyclic ring having 0-5 heteroatoms; R = H, alkyl; R2 = H, CN, SR, OR, etc.; T = N, CR3; A1-A3 = N, CR4; provided that no more than two of T, A1-A3 are N atom; R3 = H, halo, NO2, etc.; R4 = halo, NO2, CN, etc.; with provisos], useful as inhibitors of protein kinases, were prepared E.g., a 2-step synthesis of 2-(4-methoxyphenyl)-8-methylchromen-4-one oxime, starting from 8-methyl-4'-methoxyflavone, was given. The exemplified compds. I were tested and found to inhibit CDK-2, cMET, GSK-3, SYK, ZAP-70, FLT-3, JAK-3, p70S6K, TAK-1, and IRAK-4. The invention also provides pharmaceutically

acceptable compns. comprising said compds. I and methods of using the compns. in the treatment of various disease, conditions, or disorders.

ST chromenone oxime prepn inhibitor protein kinase CDK2 cMet GSK3; SYK ZAP70 FLT3 protein kinase inhibitor chromenone oxime prepn; JAK3 p70S6K TAK1 protein kinase inhibitor chromenone oxime prepn; IRAK4 protein kinase inhibitor chromenone oxime prepn

IT AIDS (disease)
(AIDS dementia complex, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

IT Mental disorder
(AIDS dementia, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

IT Leukemia
(B-cell, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

IT Fusion proteins (chimeric proteins)
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(FMS-like tyrosine kinase-3; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

IT Nervous system, disease
(Huntington's chorea, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

IT Leukemia
(acute lymphocytic, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

IT Leukemia
(acute myelogenous, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

IT Leukemia
(acute promyelocytic, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

IT Blood, disease
(agent for treating blood disorders as co-drug; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases for use in combination with other therapeutic agents)

IT Immunodeficiency
(agent for treating immunodeficiency disorders as co-drug; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases for use in combination with other therapeutic agents)

IT Liver, disease
(agent for treating liver disease as co-drug; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases for use in combination with other therapeutic agents)

IT Nose, disease
(allergic rhinitis, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

IT Antiarteriosclerotics
(antiatherosclerotics; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

IT Nose, disease
(atrophic rhinitis, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

IT Mental disorder
(bipolar disorder, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

IT Bronchi, disease
(bronchitis, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

IT Cytotoxic agents
Immunosuppressants
(co-drug; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases for use in combination with other therapeutic agents)

IT Neurotrophic factors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(co-drug; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases for use in combination with other therapeutic agents)

IT Intestine, neoplasm
(colon, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

IT Artery, disease
(coronary, restenosis, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

IT Nervous system, disease
(degeneration, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

IT Metabolism, animal

(disorder, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)
IT Hematopoiesis
(disorders, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)
IT Lung, disease
(fibrosis, treating or lessening the severity of fibroid lung disease; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)
IT **Kidney, disease**
(**glomerulonephritis**, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)
IT Cytoprotective agents
(hepatoprotective, agent for treating liver disease as co-drug; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases for use in combination with other therapeutic agents)
IT Heart, disease
(hypertrophy, treating or lessening the severity of cardiomyocyte hypertrophy; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)
IT Intestine, disease
(inflammatory, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)
IT Pneumonia
(interstitial, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)
IT Heart
(myocyte, treating or lessening the severity of cardiomyocyte hypertrophy; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)
IT Mast cell
(neoplasm, mastocytoma, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)
IT Angiogenesis inhibitors
Anti-AIDS agents
Anti-Alzheimer's agents
Anti-inflammatory agents
Anti-ischemic agents
Antiasthmatics
Antidiabetic agents
Antiparkinsonian agents
Antipsychotics
Antirheumatic agents
Antitumor agents
Antiviral agents
Cardiovascular agents
Human
Immunomodulators
Nervous system agents
(preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)
IT Tau factor
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of substituted chromen-4-one oximes for inhibiting the phosphorylation of Tau protein)
IT Bone
(resorption, inhibitors, co-drug; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases for use in combination with other therapeutic agents)
IT Brain, disease
(stroke, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)
IT Digestive tract, neoplasm
(stroma, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)
IT Lung, disease
(treating or lessening the severity of farmer's lung disease; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)
IT Ischemia
Reperfusion
(treating or lessening the severity of reperfusion/ischemia; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)
IT AIDS (disease)
Alopecia
Alzheimer's disease

Angiogenesis
Asthma
Atherosclerosis
Autoimmune disease
Cardiovascular system, disease
Cytomegalovirus
Diabetes mellitus
Hay fever
Hepatitis B virus
Human herpesvirus
Human herpesvirus 3
Human herpesvirus 5
Human immunodeficiency virus
Inflammation
Kidney, neoplasm
Liver, neoplasm
Lung, neoplasm
Lymphoma
Mammary gland, neoplasm
Multiple sclerosis
Neoplasm
Nervous system, disease
Osteoporosis
Ovary, neoplasm
Pancreas, neoplasm
Parkinson's disease
Prostate gland, neoplasm
Psoriasis
Respiratory tract, disease
Rheumatoid arthritis
Sarcoidosis
Schizophrenia
Sepsis
Transplant rejection
(treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

IT Bone, disease
(treating or lessening the severity of; preparation of substituted chromen-4-one oximes for inhibiting the phosphorylation of Tau protein)

IT Infection
(viral, treating or lessening the severity of; preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

IT 90698-26-3, p70S6K 137632-03-2 138674-26-7, SYK kinase 141349-86-2, CDK-2 148047-34-1, ZAP-70 kinase 157482-36-5, JAK-3 protein kinase 229976-66-3, TAK-1 protein kinase 391208-93-8, GSK-3 kinase 428817-87-2, IRAK-4 protein kinase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

IT 59835-92-6P 115663-23-5P 140885-79-6P 304691-31-4P 321976-78-7P 769948-78-9P 769948-79-0P 769948-80-3P 769948-81-4P 769948-82-5P 769948-83-6P 769948-84-7P 769948-85-8P 769948-86-9P 769948-87-0P 769948-88-1P 769948-89-2P 769948-90-5P 769948-91-6P 769948-92-7P 769948-93-8P 769948-94-9P 769948-95-0P 769948-96-1P 769948-97-2P 769948-98-3P 769948-99-4P 769949-00-0P 769949-01-1P 769949-02-2P 769949-03-3P 769949-04-4P 769949-06-6P 769949-07-7P 769949-08-8P 769949-09-9P 769949-10-2P 769949-11-3P 769949-12-4P 769949-13-5P 769949-14-6P 769949-15-7P 769949-16-8P 769949-17-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

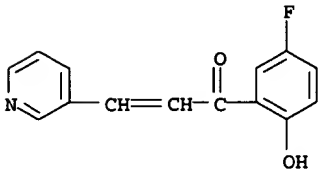
IT 109-70-6, 1-Bromo-3-chloropropane 110-91-8, Morpholine, reactions 394-32-1 487-24-1, 7-Hydroxy-4'-methoxyflavone 500-22-1, Pyridine-3-carboxaldehyde 108980-48-9, 8-Methyl-4'-methoxyflavone
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

IT **320741-25-1P**, 1-(5-Fluoro-2-hydroxyphenyl)-3-(pyridin-3-yl)propenone 769949-18-0P, 2-(4-Methoxyphenyl)-8-methylchromene-4-thione 769949-19-1P, 7-(3-Chloropropoxy)-2-(4-methoxyphenyl)chromen-4-one 769949-20-4P, 2-(4-Methoxyphenyl)-7-(3-(morpholin-4-yl)propoxy)chromen-4-one 769949-21-5P, 2-(4-Methoxyphenyl)-7-(3-(morpholin-4-yl)propoxy)chromen-4-thione 769949-22-6P, 6-Fluoro-2-(pyridin-3-yl)chromen-4-one 769949-23-7P, 6-Fluoro-2-(pyridin-3-yl)chromen-4-thione

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

IT **320741-25-1P**, 1-(5-Fluoro-2-hydroxyphenyl)-3-(pyridin-3-yl)propenone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted chromen-4-one oximes as inhibitors of protein kinases)

RN 320741-25-1 HCAPLUS
CN 2-Propen-1-one, 1-(5-fluoro-2-hydroxyphenyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



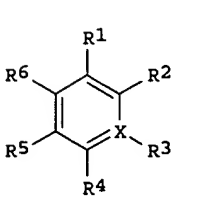
L42 ANSWER 3 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:803933 HCAPLUS
DN 141:314017
ED Entered STN: 01 Oct 2004
TI Preparation of phenylacrylamides and phenylpropanamides as activators of soluble guanylate cyclase
IN Anderson, Steven N.; Bhatia, Pramila A.; Kolasa, Teodozyj; Nakane, Masaki; Patel, Meena V.; Rohde, Jeffrey J.; Zhiren, Xia; Zhang, Henry Qing-Wei
PA USA
SO U.S. Pat. Appl. Publ., 33 pp.
CODEN: USXXCO
DT Patent
LA English
IC ICM C07D417-02
ICS C07D413-02; C07D043-02
NCL 514227200; 514235200; 514318000; 514217040; 514183000; 514343000; 514253010; 544060000; 544124000; 544360000
CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 63
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004192680	A1	20040930	US 2003-739391	20031218 <--
PRAI US 2002-435145P	P	20021220 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004192680	ICM	C07D417-02
	ICS	C07D413-02; C07D043-02
	NCL	514227200; 514235200; 514318000; 514217040; 514183000; 514343000; 514253010; 544060000; 544124000; 544360000

GI



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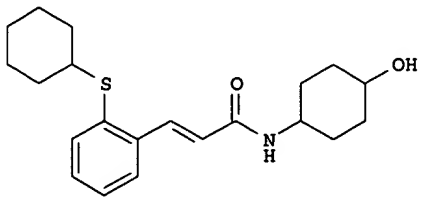


II

AB Title compds. I [wherein X = C, N; R1 = (NR7R8)carbonylalkyl, (NR7R8)carbonylalkenyl; R2 = (cyclo)alkoxy, (cyclo)alkylthio, aryloxy, arylthio; with proviso; R3 = absent or H, alkenyl, alkoxy(carbonyl), alkyl(carbonyl), alkylthio, carboxy, CN, haloalkoxy, haloalkyl, halo,

hydroxy(alkyl), mercapto(alkyl), NO2, NR9R10(carbonyl); R4-R6 = independently H, alkenyl, alkoxy(carbonyl), alkyl(carbonyl), alkylthio, carboxy, CN, haloalkoxy, haloalkyl, halo, hydroxy(alkyl), mercapto(alkyl), NO2, NR9R10(carbonyl); R7 and R8= independently H, (hydroxy)alkyl, aryl(alkyl), cycloalkyl(alkyl), heterocyclyl(alkyl), (NHR11)alkyl; or NR7R8 = (un)substituted heterocyclyl; R9 and R10 = independently H, alkyl; R11 = H, alkoxy, alkyl(sulfonyl); and pharmaceutically acceptable salts, esters, amides, or prodrugs thereof] were prepared as soluble guanylate cyclase (sGC) activators for increasing cGMP levels in a mammal. For example, (diethoxyphosphoryl)acetic acid was combined with dicyclohexylcarbodiimide, N'-methylpolystyrene, and HOBt in DMA/DCM and treated with 4-aminocyclohexanol to give 2-[(4-hydroxycyclohexyl)amino]-2-oxoethylphosphonate. Reaction of the phosphonate with 2-(cyclohexylthio)benzaldehyde provided the acrylamide (E)-II. In a guanylate cyclase assay measuring the formation of cyclic GMP from GTP, the latter exhibited a mean basal efficacy of 353% at 100 .mu.M, a mean efficacy of 506% when combined with 1 .mu.M of sodium nitro prusside (SNP), and a mean activation of 7.9 at 100 .mu.M. Results of the GC assay show that compds. of the invention potentiate the activation of sGC by nitric oxide (NO), resulting in increased levels of cGMP. Thus, I and their pharmaceutical compns. are useful for treating disorders ameliorated by increasing cGMP levels, such as sexual dysfunction, angina pectoris, diastolic dysfunction, benign prostatic hyperplasia (BPH), incontinence, endothelial dysfunction, thrombosis, diabetes, liver cirrhosis, cognitive disorders, Alzheimer's disease, anxiety, stress, depression, sleep disorders, migraine, cerebral ischemia, brain trauma, pain, and memory and learning disorders (no data).

ST phenyl acrylamide propanamide prepn guanylate cyclase activator; phenylacrylamide phenylpropanamide prepn sGC activator sexual dysfunction treatment; cardiovascular antithrombotic antidiabetic CNS agent phenylacrylamide phenylpropanamide prepn

IT Proteins
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(GCAP (guanylate cyclase-activating protein); preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Heart, disease
(angina pectoris; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Antiarteriosclerotics
(antiatherosclerotics; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT **Prostate gland, disease**
(benign **hyperplasia**; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Mental disorder
(cognitive; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Adrenoceptor antagonists
Dopamine agonists
(combination therapy; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Mental disorder
(depression; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Blood pressure
(diastolic, dysfunction; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Cognition
Learning
Memory, biological
Sexual behavior
Sleep
(disorder; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Blood vessel, disease

(endothelium; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Sexual behavior
(impotence; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT **Bladder, disease**
(**incontinence**; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Brain, disease
(ischemia; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Headache
(migraine; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Alzheimer's disease
Analgesics
Anti-Alzheimer's agents
Anti-ischemic agents
Antianginal agents
Anticoagulants
Antidepressants
Antidiabetic agents
Antimigraine agents
Anxiety
Anxiolytics
Atherosclerosis
Cardiovascular agents
Cardiovascular system, disease
Cirrhosis
Cognition enhancers
Diabetes mellitus
Drug delivery systems
Human
Hypnotics and Sedatives
Pain
Stress, biological
Thrombolytics
Thrombosis
(preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Drug delivery systems
(prodrugs; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Brain, disease
(trauma; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT 9068-52-4, Phosphodiesterase 5
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors, combination therapy; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT 955-63-5P, 2-[(4-Chlorophenyl)thio]-6-methylnicotinonitrile 41932-35-8P, 1-[2-[(4-Chlorophenyl)thio]phenyl]ethanone 62351-50-2P, 2-[(4-Methylphenyl)thio]benzaldehyde 74801-39-1P, 3-[2-[(4-Chlorophenyl)thio]phenyl]propanoic acid 280752-46-7P, 2-[(2,4-Dichlorophenyl)thio]benzaldehyde 280752-47-8P, (2E)-3-[2-[(2,4-Dichlorophenyl)thio]phenyl]-2-propenoic acid 710959-93-6P, Ethyl 3-[2-[(4-chlorophenyl)thio]phenyl]acrylate 710959-95-8P, Methyl 3-[2-[(4-chlorophenyl)thio]phenyl]propanoate 710960-09-1P, 2-[(4-Chlorophenyl)thio]-3-fluorobenzaldehyde 710960-13-7P, 2-[(4-Chlorophenyl)thio]-5-fluorobenzaldehyde 710960-17-1P, 3-[2-[(4-Chlorophenyl)thio]phenyl]acrylic acid 710960-19-3P, 1-[3-[2-[(4-Chlorophenyl)thio]phenyl]-2-propenoyl]-2-pyrrolidinone 710960-21-7P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(4-hydroxypentyl)-2-propenamide 710960-26-2P, Ethyl 3-[2-[(4-chlorophenyl)thio]phenyl]-2-butenoate 710960-28-4P, (E)-3-[2-[(4-Chlorophenyl)thio]phenyl]-2-butenoate 710960-30-8P, (Z)-3-[2-[(4-Chlorophenyl)thio]phenyl]-2-butenoate 710960-32-0P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-2-butenoic acid 710960-34-2P,

3-[2-[(4-Chlorophenyl)thio]phenyl]-N-methyl-N-(1-methyl-4-piperidinyl)-2-butenamide 710960-45-5P 710960-74-0P, 2-[(4-Chlorophenyl)thio]-6-methylnicotinaldehyde 710960-78-4P, Ethyl (2E)-3-[2-[(2,4-dichlorophenyl)thio]phenyl]-2-propenoate 710961-05-0P, 2-[(4-Chlorophenyl)thio]-6-fluorobenzaldehyde 710961-10-7P, 3-(2-Bromophenyl)-N-(4-hydroxybutyl)-2-propenamide 710961-37-8P, 2-[(2,4-Dimethylphenyl)thio]benzaldehyde 710961-42-5P, 2-[(3-Methylbutyl)thio]benzaldehyde 710961-44-7P, (2E)-3-[2-[(3-Methylbutyl)thio]phenyl]-2-propenoic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT 86-01-1, GTP 7665-99-8, CGMP 9054-75-5, Guanylate cyclase 10102-43-9, Nitric oxide, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT 78-96-6, 1-Amino-2-propanol 106-45-6, 4-Methylbenzenethiol 106-54-7, 4-Chlorobenzenethiol 109-73-9, 1-Butanamine, reactions 156-87-6, 3-Amino-1-propanol 372-66-7, 6-Amino-2-methyl-2-heptanol 437-81-0, 2,6-Difluorobenzaldehyde 446-52-6, 2-Fluorobenzaldehyde 541-31-1, 3-Methyl-1-butanethiol 552-89-6, 2-Nitrobenzaldehyde 614-21-1, 2-Nitroacetophenone 616-45-5, 2-Pyrrolidinone 622-26-4, 2-(4-Piperidinyl)ethanol 696-63-9, 4-Methoxybenzenethiol 867-13-0, Triethyl phosphonoacetate 1122-41-4, 2,4-Dichlorobenzenethiol 2508-29-4, 5-Amino-1-pentanol 2646-90-4, 2,5-Difluorobenzaldehyde 2646-91-5, 2,3-Difluorobenzaldehyde 3095-95-2, (Diethoxyphosphoryl)acetic acid 5382-16-1, 4-Piperidinol 6850-38-0, 2-Aminocyclohexanol 6850-65-3, 4-Aminocyclohexanol 6859-99-0, 3-Piperidinol 7345-79-1, (2E)-3-(2-Bromophenyl)-2-propenoic acid 13258-63-4, 4-(2-Aminoethyl)pyridine 13325-10-5, 4-Amino-1-butanol 13552-21-1, 1-Amino-2-butanol 13616-82-5, 2,4-Dimethylbenzenethiol 28900-10-9, 2-Chloro-3-cyano-6-methylpyridine 36943-39-2, 2-(Phenylthio)benzaldehyde 39546-32-2, 4-Piperidinecarboxamide 39884-48-5, 4-Amino-2-butanol 53606-32-9, 2-(Isopropylthio)benzaldehyde 73579-08-5, 1-Methyl-4-(methylamino)piperidine 90133-56-5, 2-[(3-Methylphenyl)thio]benzaldehyde 107572-07-6, 2-[(4-Chlorophenyl)thio]benzaldehyde 127905-37-7, 2-[(3-Methoxyphenyl)thio]benzaldehyde 128958-85-0, 2-[(4-Methoxyphenyl)thio]benzaldehyde 319454-93-8, 5-Methoxy-2-[(4-methylphenyl)thio]benzaldehyde 338982-20-0, 2-[(4-Methylphenyl)thio]nicotinaldehyde 338982-28-8, 2-[(4-Chlorophenyl)thio]nicotinaldehyde 338982-29-9, 2-[(2,4-Dichlorophenyl)thio]nicotinaldehyde 338982-30-2, 2-[(4-Bromophenyl)thio]nicotinaldehyde 338982-31-3, 2-(Phenylthio)nicotinaldehyde 338982-32-4, 2-[(2-Chlorophenyl)thio]nicotinaldehyde 503065-08-5, 2-(Cyclohexylthio)benzaldehyde 643763-14-8, 2-[(4-Fluorophenyl)thio]benzaldehyde 643763-25-1, 2-(Cyclopentylthio)benzaldehyde 643763-27-3, 2-(Isobutylthio)benzaldehyde 710960-62-6 710960-70-6, 2-(Pentylthio)benzaldehyde
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

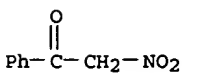
IT 713131-93-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(sGC activator; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT 710959-91-4P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(4-hydroxybutyl)propanamide 710959-98-1P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(5-hydroxy-1,5-dimethylhexyl)propanamide 710960-00-2P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(5-hydroxypentyl)propanamide 710960-01-3P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(4-hydroxycyclohexyl)propanamide 710960-03-5P, N-(4-Hydroxybutyl)-3-[2-[(4-methylphenyl)thio]phenyl]propanamide 710960-05-7P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-[4-[(methylsulfonyl)amino]butyl]propanamide 710960-07-9P, 3-[2-[(4-Chlorophenyl)thio]-3-fluorophenyl]-N-(4-hydroxybutyl)propanamide 710960-11-5P, 3-[2-[(4-Chlorophenyl)thio]-5-fluorophenyl]-N-(4-hydroxybutyl)propanamide 710960-15-9P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(4-hydroxypentyl)propanamide 710960-23-9P,

3-[2-[(4-Chlorophenyl)thio]phenyl]-N-methyl-N-(1-methyl-4-piperidinyl)butanamide 710960-36-4P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-[2-(4-pyridinyl)ethyl]butanamide 710960-38-6P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-[4-(methoxyamino)butyl]propanamide 710960-40-0P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-[4-(methylamino)butyl]propanamide 710960-42-2P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-[5-(methylamino)pentyl]propanamide 710960-57-9P 710960-60-4P 710960-64-8P 710960-76-2P, (2E)-3-[2-[(2,4-Dichlorophenyl)thio]phenyl]-N-(4-hydroxybutyl)-2-propenamide 710960-83-1P, (2E)-3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(5-hydroxy-1,5-dimethylhexyl)-2-propenamide 710960-85-3P, (2E)-3-[2-[(4-Chlorophenyl)thio]phenyl]-N-ethyl-2-propenamide 710960-87-5P, (2E)-N-Butyl-3-[2-[(4-chlorophenyl)thio]phenyl]-2-propenamide 710960-89-7P, (2E)-3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(4-hydroxybutyl)-2-propenamide 710960-91-1P, (2E)-3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(5-hydroxypentyl)-2-propenamide 710960-93-3P, (2E)-N-(4-Hydroxybutyl)-3-[2-[(4-methylphenyl)thio]phenyl]-2-propenamide 710960-95-5P, (2E)-3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(2-hydroxypropyl)-2-propenamide 710960-97-7P, (2E)-3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(3-hydroxybutyl)-2-propenamide 710960-99-9P, (2E)-3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(2-hydroxybutyl)-2-propenamide 710961-01-6P 710961-03-8P, (2E)-3-[2-[(4-Chlorophenyl)thio]-6-fluorophenyl]-N-(4-hydroxybutyl)-2-propenamide 710961-08-3P, (2E)-N-(4-Hydroxybutyl)-3-[2-[(4-methoxyphenyl)thio]phenyl]-2-propenamide 710961-22-1P 710961-24-3P 710961-26-5P 710961-28-7P 710961-30-1P 710961-35-6P, (2E)-3-[2-[(2,4-Dimethylphenyl)thio]phenyl]-N-(5-hydroxy-1,5-dimethylhexyl)-2-propenamide 710961-39-0P, (2E)-3-[2-[(4-Chlorophenyl)thio]-5-fluorophenyl]-N-(4-hydroxybutyl)-2-propenamide 710961-46-9P 710961-48-1P, (2E)-N-(3-Hydroxypropyl)-3-[2-[(3-methylbutyl)thio]phenyl]-2-propenamide 710961-51-6P, (2E)-N-(4-Hydroxybutyl)-3-[2-[(3-methylbutyl)thio]phenyl]-2-propenamide 710961-53-8P, (2E)-N-(5-Hydroxypentyl)-3-[2-[(3-methylbutyl)thio]phenyl]-2-propenamide 710961-55-0P, 1-[(2E)-3-[2-[(3-Methylbutyl)thio]phenyl]-2-propenoyl]-4-piperidinol 710961-57-2P, 1-[(2E)-3-[2-[(3-Methylbutyl)thio]phenyl]-2-propenoyl]-3-piperidinol 710961-59-4P, 2-[1-[(2E)-3-[2-[(3-Methylbutyl)thio]phenyl]-2-propenoyl]-4-piperidinyl]ethanol 710961-61-8P, 1-[(2E)-3-[2-[(3-Methylbutyl)thio]phenyl]-2-propenoyl]-4-piperidinecarboxamide 713131-92-1P 713131-94-3P 713131-95-4P 713131-96-5P 713131-97-6P 713131-98-7P 713131-99-8P 713132-00-4P 713132-01-5P 713132-02-6P 713132-03-7P 713132-04-8P 713132-05-9P 713132-06-0P 713132-07-1P 713132-08-2P 713132-09-3P 713132-10-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(sGC activator; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT **614-21-1**, 2-Nitroacetophenone
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

RN 614-21-1 HCAPLUS
CN Ethanone, 2-nitro-1-phenyl- (9CI) (CA INDEX NAME)



L42 ANSWER 4 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:780358 HCAPLUS
DN 141:295863
ED Entered STN: 24 Sep 2004
TI Preparation of N-(piperidinylalkyl)benzenealkanamides as selective MCH1 receptor antagonists for treatment of obesity and other conditions
IN Marzabadi, Mohammad R.; Wetzel, John M.; Chen, Chien-An; Jiang, Yu; Lu, Kai
PA Synaptic Pharmaceutical Corporation, USA
SO U.S. Pat. Appl. Publ., 87 pp., Cont.-in-part of U.S. Pat. Appl. 2004 73,036.
CODEN: USXXCO
DT **Patent**
LA English

IC ICM A61K031-53
ICS A61K031-506; A61K031-497; C07D043-04
NCL 514241000; 514253030; 514255050; 514275000; 514318000; 544209000; 544238000; 544405000; 544331000; 546194000
CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 63
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004186103	A1	20040923	US 2004-753057	20040106 <--
WO 2003004027	A1	20030116	WO 2002-US21063	20020703 <--

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US 2004073036	A1	20040415	US 2003-345063	20030114 <--
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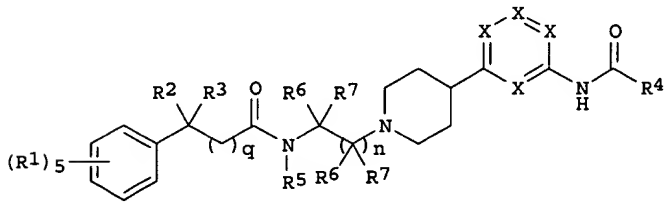
US 2003-345063	A2	20030114 <--	
US 2001-899794	A	20010705 <--	
US 2002-42582	A	20020109 <--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004186103	ICM	A61K031-53
	ICS	A61K031-506; A61K031-497; C07D043-04
	NCL	514241000; 514253030; 514255050; 514275000; 514318000; 544209000; 544238000; 544405000; 544331000; 546194000

GI



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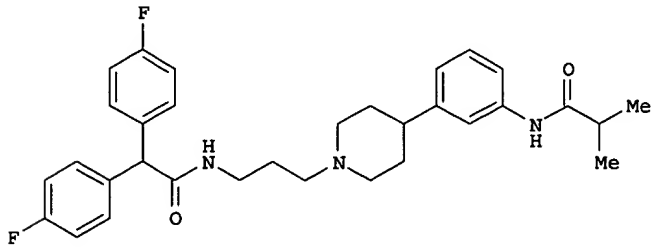


II

AB Title compds. I [wherein R1 = independently H, halo, CN, NO2, (cyclo)alkyl, (cyclo)alkenyl, (hetero)aryl, amino, acyl, carbamoyl, etc.; R2, R3 = independently H, halo, CN, NH2, (un)substituted alkyl, (hetero)aryl; R4 = (cyclo)alkyl, amino, etc.; R5 = independently H, (un)substituted (hetero)aryl, alkyl; R6 = independently H, alkyl; R7 = independently H, alkyl, phenyl(alkyl); n = 1-5; q = 0-2; X = independently CR1, N, provided that if one X = N, then the remaining X = CR1; or

pharmaceutically acceptable salts thereof] were prepared as selective antagonists for melanin-concentrating hormone-1 (MCH1) receptors. For example, amidation of bis(4-fluorophenyl)acetic acid with N-[3-[1-(3-aminopropyl)-4-piperidinyl]phenyl]-2-methylpropanamide gave II. The latter showed binding affinity (Ki = 1.3 nM) in a radioligand binding assay using cloned rat MCH1 and produced an increase in bladder capacity in rats relative to baseline capacity in a continuous slow transvesicular infusion model assay. Thus, I and pharmaceutical composition comprising I are useful for the treatment of obesity, depression, anxiety, and other affective, urinary, or eating disorders.

ST piperidinylalkyl benzenealkanamide prepn MCH1 receptor antagonist antiobesity antidepressant

IT G protein-coupled receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(MCH-1R (melanin concentrating hormone receptor 1); preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

IT Mental disorder
(affective; preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

IT Mental disorder
(agoraphobia; preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

IT Appetite
(anorexia nervosa; preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

IT Mental disorder
(bipolar disorder; preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

IT Appetite
(bulimia; preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

IT Mental disorder
(depression; preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

IT Appetite
(disorder; preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

IT **Urinary tract, disease**
(**enuresis**; preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

IT **Bladder, disease**
(**incontinence**; preparation of N-(piperidinylalkyl)benzenealkanamid es as MCH1 receptor antagonists for treatment of obesity and other conditions)

IT Mental disorder
(major depression; preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

IT **Urinary tract, disease**
(nocturia; preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

IT Mental disorder
(obsession-compulsion; preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

IT Drug delivery systems
(oral; preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

IT Mental disorder
(phobia; preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

IT Mental disorder
(post-traumatic stress disorder; preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

IT Antidepressants
Antiobesity agents
Anxiety
Anxiolytics
Appetite depressants
Drug delivery systems
Human

Obesity
Urinary tract, disease
(preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

IT Anxiety
(social; preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

IT **Urinary tract, disease**
(**urinary frequency**; preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

IT 762297-70-1P, N-[3-[4-[3-(Isobutyrylamino)phenyl]-1-piperidinyl]propyl]-2,2-diphenylpropanamide 762297-71-2P, 2-(4-Chlorophenyl)-2-methyl-N-[3-[4-[3-(propionylamino)phenyl]-1-piperidinyl]propyl]propanamide 762297-87-0P, N-[4-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]phenyl]-2-methylpropanamide 762297-89-2P 762297-91-6P, Benzyl [3-[1-[3-[(diphenylacetyl)amino]propyl]-4-piperidinyl]phenyl]carbamate
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(MCH1 receptor antagonist; preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

IT 762298-15-7P, N-[3-[1-[3-[[Bis(4-fluorophenyl)acetyl]amino]propyl]-4-piperidinyl]phenyl]-2-methylpropanamide hydrochloride 762298-16-8P, N-[3-[1-[3-[[Bis(4-chlorophenyl)acetyl]amino]propyl]-4-piperidinyl]phenyl]-2-methylpropanamide 762298-17-9P 762298-18-0P, N-[3-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]-4-methylphenyl]-2-methylpropanamide 762298-19-1P, N-[3-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]phenyl]butanamide 762298-20-4P, N-[6-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]-2-pyridinyl]-2-methylpropanamide 762298-21-5P, 2-(4-Chlorophenyl)-N-[3-[4-[3-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]-2-methylpropanamide hydrochloride 762298-22-6P, N-[3-[1-[3-[[Bromo(phenyl)acetyl]amino]propyl]-4-piperidinyl]phenyl]-2-methylpropanamide 762298-23-7P, N-[3-[1-[3-[(2-Bromo-2-phenylacetyl)amino]propyl]-4-piperidinyl]phenyl]propanamide 762298-24-8P, N-[3-[4-[3-(Isobutyrylamino)phenyl]-1-piperidinyl]propyl]-2,2-diphenylheptanamide 762298-25-9P, N-[3-[4-[3-(Isobutyrylamino)phenyl]-1-piperidinyl]propyl]-2,2-diphenylbutanamide 762298-26-0P, N-[3-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]phenyl]-3-methylbutanamide hydrochloride 762298-27-1P, N-[3-[1-[3-[(2-Mesityl-2-phenylacetyl)amino]propyl]-4-piperidinyl]phenyl]propanamide 762298-28-2P, 2,2-Diphenyl-N-[3-[4-[3-(propionylamino)phenyl]-1-piperidinyl]propyl]butanamide 762298-29-3P, N-[3-[1-[3-[[(Ethylsulfanyl)diphenylacetyl]amino]propyl]-4-piperidinyl]phenyl]-2-methylpropanamide 762298-30-6P, N-[3-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]phenyl]cyclopropanecarboxamide 762298-31-7P, N-[3-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]phenyl]-2,2-dimethylpropanamide 762298-32-8P, N-[3-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]phenyl]-3,3-dimethylbutanamide hydrochloride 762298-33-9P, N-[3-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]-4-methoxyphenyl]-2-methylpropanamide 762298-34-0P, N-[3-[1-[3-[[2,2-Bis(4-fluorophenyl)acetyl]amino]propyl]-4-piperidinyl]phenyl]propanamide 762298-35-1P, N-[3-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]-4-methoxyphenyl]butanamide 762298-36-2P, N-[3-[1-[3-[[Bis(4-fluorophenyl)acetyl]amino]propyl]-4-piperidinyl]-4-methoxyphenyl]-2-methylpropanamide 762298-37-3P, N-[3-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]-4-fluorophenyl]-2-methylpropanamide 762298-38-4P, N-[3-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]-4-fluorophenyl]butanamide 762298-40-8P, N-[3-[1-[3-[[Bis(4-fluorophenyl)acetyl]amino]propyl]-4-piperidinyl]-4-methoxyphenyl]butanamide 762298-41-9P, N-[3-[1-[3-[[2,2-Bis(4-fluorophenyl)acetyl]amino]propyl]-4-piperidinyl]phenyl]butanamide 762298-42-0P, N-[3-[4-[3-(Acetylamino)phenyl]-1-piperidinyl]propyl]-2,2-bis(4-fluorophenyl)acetamide 762298-43-1P, N-[6-[1-[3-[[Bis(4-fluorophenyl)acetyl]amino]propyl]-4-piperidinyl]-2-pyridinyl]-2-methylpropanamide 762298-44-2P, 1-(4-Chlorophenyl)-N-[3-[4-[3-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]cyclopentanecarboxamide 762298-45-3P, N-[3-[1-[3-[[Bis(4-fluorophenyl)acetyl]amino]propyl]-4-piperidinyl]-4-methylphenyl]-2-methylpropanamide 762298-46-4P, N-[3-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]-2-methylphenyl]-2-methylpropanamide 762298-48-6P, N-[3-[1-[3-[[Bis(4-methylphenyl)acetyl]amino]propyl]-4-piperidinyl]phenyl]-2-

methylpropanamide 762298-49-7P, N-[3-[1-[3-[[Bis(4-fluorophenyl)acetyl]amino]propyl]-4-piperidinyl]-4-fluorophenyl]-2-methylpropanamide 762298-50-0P, 1-(4-Fluorophenyl)-N-[3-[4-[3-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]cyclopentanecarboxamide 762298-51-1P, 2-(4-Chlorophenyl)-N-[3-[4-[3-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]propanamide 762298-52-2P, 1-(2-Chloro-4-fluorophenyl)-N-[3-[4-[3-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]cyclopentanecarboxamide 762298-53-3P, N-[3-[1-[3-[[(3,4-Dichlorophenyl)(methoxy)acetyl]amino]propyl]-4-piperidinyl]phenyl]-2-methylpropanamide 762298-54-4P, 1-(4-Fluorophenyl)-N-[3-[4-[3-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]cyclohexanecarboxamide 762298-55-5P, 1-(2,4-Dichlorophenyl)-N-[3-[4-[3-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]cyclopropanecarboxamide 762298-56-6P, 2-(4-Fluorophenyl)-N-[3-[4-[3-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]propanamide 762298-57-7P, 1-(4-Chlorophenyl)-N-[3-[4-[3-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]cyclobutanecarboxamide 762298-58-8P, 1-(4-Chlorophenyl)-N-[3-[4-[3-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]cyclopropanecarboxamide 762298-59-9P, 1-(4-Chlorophenyl)-N-[3-[4-[3-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]cyclohexanecarboxamide 762298-60-2P, N-[3-[4-[4-Fluoro-3-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]-2-(4-fluorophenyl)propanamide 762298-61-3P, 1-(4-Chlorophenyl)-N-[3-[4-[4-fluoro-3-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]cyclopropanecarboxamide hydrochloride 762298-62-4P, N-[5-[1-[3-[[Bis(4-fluorophenyl)acetyl]amino]propyl]-4-piperidinyl]-2-fluorophenyl]-2-methylpropanamide 762298-63-5P, 2-(4-Chlorophenyl)-N-[3-[4-[4-fluoro-3-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]-2-methylpropanamide 762298-64-6P, N-[6-[1-[3-[[Bis(4-chlorophenyl)acetyl]amino]propyl]-4-piperidinyl]-2-pyridinyl]-2-methylpropanamide 762298-65-7P, N-[3-[4-[4-Fluoro-3-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]-2,2-diphenylpropanamide hydrochloride 762298-66-8P, N-[3-[1-[3-[[Bis(4-chlorophenyl)acetyl]amino]propyl]-4-piperidinyl]-4-Methylphenyl]-2-methylpropanamide 762298-67-9P, N-[3-[1-[3-[[2,2-Bis(4-chlorophenyl)acetyl]amino]propyl]-4-piperidinyl]phenyl]butanamide 762298-68-0P, N-[3-[1-[3-[[Bis(4-chlorophenyl)acetyl]amino]propyl]-4-piperidinyl]-4-fluorophenyl]-2-methylpropanamide 762298-69-1P, N-[3-[4-[3-(Acetylamino)phenyl]-1-piperidinyl]propyl]-2,2-bis(4-chlorophenyl)acetamide 762298-70-4P, N-[5-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]-2-fluorophenyl]-2-methylpropanamide hydrochloride 762298-71-5P, N-[3-[1-[3-[[2,2-Bis(4-chlorophenyl)acetyl]amino]propyl]-4-piperidinyl]phenyl]propanamide 762298-72-6P, 1-(4-Chlorophenyl)-N-[3-[4-[3-(propionylamino)phenyl]-1-piperidinyl]propyl]cyclobutanecarboxamide 762298-73-7P, 2-Methyl-N-[3-[1-[3-[(triphenylacetyl)amino]propyl]-4-piperidinyl]phenyl]propanamide 762298-74-8P, 1-(4-Fluorophenyl)-N-[3-[4-[3-(propionylamino)phenyl]-1-piperidinyl]propyl]cyclopentanecarboxamide 762298-75-9P, 1-(4-Fluorophenyl)-N-[3-[4-[3-(propionylamino)phenyl]-1-piperidinyl]propyl]cyclohexanecarboxamide 762298-76-0P, 1-(4-Chlorophenyl)-N-[3-[4-[3-(propionylamino)phenyl]-1-piperidinyl]propyl]cyclopentanecarboxamide 762298-77-1P, 1-(4-Chlorophenyl)-N-[3-[4-[3-(propionylamino)phenyl]-1-piperidinyl]propyl]cyclopropanecarboxamide 762298-78-2P, 2-(4-Fluorophenyl)-N-[3-[4-[3-(propionylamino)phenyl]-1-piperidinyl]propyl]propanamide 762298-79-3P, N-[5-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]-2-fluorophenyl]butanamide hydrochloride 762298-80-6P, 1-(4-Fluorophenyl)-N-[3-[4-[6-(isobutyrylamino)-2-pyridinyl]-1-piperidinyl]propyl]cyclopentanecarboxamide 762298-81-7P, 1-(4-Chlorophenyl)-N-[3-[4-[6-(isobutyrylamino)-2-pyridinyl]-1-piperidinyl]propyl]cyclohexanecarboxamide 762298-82-8P, 1-(4-Fluorophenyl)-N-[3-[4-[6-(isobutyrylamino)-2-pyridinyl]-1-piperidinyl]propyl]cyclohexanecarboxamide 762298-83-9P, N-[3-[4-[3-(Butyrylamino)phenyl]-1-piperidinyl]propyl]-1-(4-chlorophenyl)cyclopropanecarboxamide 762298-84-0P, N-[3-[4-[3-(Acetylamino)phenyl]-1-piperidinyl]propyl]-1-(4-fluorophenyl)cyclohexanecarboxamide 762298-85-1P, N-[3-[4-[3-(Acetylamino)phenyl]-1-piperidinyl]propyl]-1-(4-chlorophenyl)cyclopropanecarboxamide 762298-86-2P, N-[3-[4-[3-(Acetylamino)phenyl]-1-piperidinyl]propyl]-1-(4-fluorophenyl)cyclopentanecarboxamide 762298-87-3P, 1-(4-Chlorophenyl)-N-[3-[4-[6-(isobutyrylamino)-2-pyridinyl]-1-piperidinyl]propyl]cyclobutanecarboxamide 762298-89-5P, N-[3-[4-[3-(Acetylamino)phenyl]-1-piperidinyl]propyl]-1-(4-chlorophenyl)cyclobutanecarboxamide 762298-90-8P, N-[3-[4-[3-(Acetylamino)phenyl]-1-piperidinyl]propyl]-1-(4-chlorophenyl)cyclohexanecarboxamide 762298-91-9P, 1-(4-Chlorophenyl)-N-[3-[4-[6-(isobutyrylamino)-2-pyridinyl]-1-piperidinyl]propyl]cyclopropanecarboxamide 762298-92-0P, N-[3-[1-[3-[[2-(4-Chlorophenyl)propanoyl]amino]

propyl]-4-piperidinyl]phenyl]butanamide 762298-93-1P, N-[3-[4-[3-(Acetylamino)phenyl]-1-piperidinyl]propyl]-1-(4-chlorophenyl)cyclopentanecarboxamide 762298-94-2P, N-[3-[4-[3-(Acetylamino)phenyl]-1-piperidinyl]propyl]-2-(4-chlorophenyl)-2-methylpropanamide 762298-95-3P, N-[3-[1-[3-[[2-(4-Chlorophenyl)-2-methylpropanoyl]amino]propyl]-4-piperidinyl]phenyl]butanamide 762298-96-4P, 1-(4-Chlorophenyl)-N-[3-[4-[5-(isobutyrylamino)-2-methylphenyl]-1-piperidinyl]propyl]cyclopentanecarboxamide 762298-97-5P, 2-Methyl-N-[6-[1-[3-[(triphenylacetyl)amino]propyl]-4-piperidinyl]-2-pyridinyl]propanamide 762298-98-6P, N-[3-[4-[6-(Isobutyrylamino)-2-pyridinyl]-1-piperidinyl]propyl]-2,2-diphenylpropanamide 762298-99-7P, 1-(4-Chlorophenyl)-N-[3-[4-[6-(isobutyrylamino)-2-pyridinyl]-1-piperidinyl]propyl]cyclopentanecarboxamide 762299-00-3P, 1-(4-Chlorophenyl)-N-[3-[4-[5-(isobutyrylamino)-2-methylphenyl]-1-piperidinyl]propyl]cyclohexanecarboxamide 762299-01-4P, 1-(4-Chlorophenyl)-N-[3-[4-[5-(isobutyrylamino)-2-methylphenyl]-1-piperidinyl]propyl]cyclobutanecarboxamide 762299-02-5P, N-[3-[4-[3-(Butyrylamino)phenyl]-1-piperidinyl]propyl]-1-(4-fluorophenyl)cyclohexanecarboxamide 762299-03-6P, 2-(4-Chlorophenyl)-N-[3-[4-[6-(isobutyrylamino)-2-pyridinyl]-1-piperidinyl]propyl]-2-methylpropanamide 762299-04-7P, 1-(4-Chlorophenyl)-N-[3-[4-[5-(isobutyrylamino)-2-methylphenyl]-1-piperidinyl]propyl]cyclopropanecarboxamide 762299-05-8P, 2-(4-Chlorophenyl)-N-[3-[4-[6-(isobutyrylamino)-2-pyridinyl]-1-piperidinyl]propyl]propanamide 762299-06-9P, 2-(4-Chlorophenyl)-N-[3-[4-[5-(isobutyrylamino)-2-methylphenyl]-1-piperidinyl]propyl]-2-methylpropanamide 762299-07-0P, 1-(4-Fluorophenyl)-N-[3-[4-[5-(isobutyrylamino)-2-methylphenyl]-1-piperidinyl]propyl]cyclohexanecarboxamide 762299-08-1P, 1-(4-Fluorophenyl)-N-[3-[4-[5-(isobutyrylamino)-2-methylphenyl]-1-piperidinyl]propyl]cyclopentanecarboxamide 762299-09-2P, 2-Methyl-N-[4-methyl-3-[1-[3-[(triphenylacetyl)amino]propyl]-4-piperidinyl]phenyl]propanamide 762299-10-5P, N-[3-[4-[3-(Acetylamino)phenyl]-1-piperidinyl]propyl]-2-(4-chlorophenyl)propanamide 762299-11-6P, N-[3-[4-[5-(Isobutyrylamino)-2-methylphenyl]-1-piperidinyl]propyl]-2,2-diphenylpropanamide 762299-12-7P, N-[3-[1-[3-[(Triphenylacetyl)amino]propyl]-4-piperidinyl]phenyl]butanamide 762299-13-8P, N-[3-[1-[3-[(2,2-Diphenylpropanoyl)amino]propyl]-4-piperidinyl]phenyl]butanamide 762299-14-9P, N-[3-[4-[3-(Butyrylamino)phenyl]-1-piperidinyl]propyl]-1-(4-chlorophenyl)cyclohexanecarboxamide 762299-15-0P, 2-(4-Chlorophenyl)-N-[3-[4-[5-(isobutyrylamino)-2-methylphenyl]-1-piperidinyl]propyl]propanamide 762299-16-1P, N-[3-[4-[3-(Butyrylamino)phenyl]-1-piperidinyl]propyl]-1-(4-fluorophenyl)cyclopentanecarboxamide 762299-17-2P, N-[3-[4-[3-(Butyrylamino)phenyl]-1-piperidinyl]propyl]-1-(4-chlorophenyl)cyclopentanecarboxamide 762299-18-3P, N-[3-[4-[3-(Acetylamino)phenyl]-1-piperidinyl]propyl]-2,2-diphenylpropanamide 762299-19-4P, N-[5-[1-[3-[[Bis(4-fluorophenyl)acetyl]amino]propyl]-4-piperidinyl]-2-fluorophenyl]butanamide 762299-20-7P 762299-21-8P, N-[3-[4-[3-(Butyrylamino)phenyl]-1-piperidinyl]propyl]-1-(4-chlorophenyl)cyclobutanecarboxamide 762299-22-9P, N-[3-[4-[3-(Butyrylamino)-4-fluorophenyl]-1-piperidinyl]propyl]-1-(4-fluorophenyl)cyclopentanecarboxamide 762299-23-0P, N-[5-[1-[3-[[Bis(4-chlorophenyl)acetyl]amino]propyl]-4-piperidinyl]-2-fluorophenyl]butanamide 762299-24-1P, N-[5-[1-[3-[[Bis(4-methylphenyl)acetyl]amino]propyl]-4-piperidinyl]-2-fluorophenyl]butanamide 762299-25-2P, N-[5-[1-[3-[[2-(4-Chlorophenyl)-2-methylpropanoyl]amino]propyl]-4-piperidinyl]-2-fluorophenyl]butanamide 762299-26-3P, N-[3-[1-[3-[[2,2-Bis(4-methylphenyl)acetyl]amino]propyl]-4-piperidinyl]phenyl]cyclopropanecarboxamide hydrochloride 762299-27-4P, 1-(4-Chlorophenyl)-N-[3-[4-[3-[(cyclopropylcarbonyl)amino]phenyl]-1-piperidinyl]propyl]cyclopentanecarboxamide 762299-28-5P, N-[3-[1-[3-[[2,2-Bis(4-chlorophenyl)acetyl]amino]propyl]-4-piperidinyl]phenyl]cyclopropanecarboxamide 762299-29-6P, N-[3-[1-[3-[(Triphenylacetyl)amino]propyl]-4-piperidinyl]phenyl]cyclopropanecarboxamide 762299-30-9P, N-[3-[1-[3-[[2-(4-Chlorophenyl)-2-methylpropanoyl]amino]propyl]-4-piperidinyl]phenyl]cyclopropanecarboxamide 762299-31-0P, N-[3-[1-[3-[[2-(4-Chlorophenyl)propanoyl]amino]propyl]-4-piperidinyl]phenyl]cyclopropanecarboxamide hydrochloride 762299-32-1P, N-[3-[4-[3-[(Cyclopropylcarbonyl)amino]phenyl]-1-piperidinyl]propyl]-1-(2,4-dichlorophenyl)cyclopropanecarboxamide 762299-33-2P, 1-(4-Chlorophenyl)-N-[3-[4-[3-[(cyclopropylcarbonyl)amino]phenyl]-1-piperidinyl]propyl]cyclopropanecarboxamide hydrochloride 762299-34-3P, 1-(2-Chloro-4-fluorophenyl)-N-[3-[4-[3-[(cyclopropylcarbonyl)amino]phenyl]-1-piperidinyl]propyl]cyclopentanecarboxamide 762299-35-4P, N-[3-[1-[3-[[2,2-Bis(4-fluorophenyl)acetyl]amino]propyl]-4-piperidinyl]phenyl]cyclopropanecarboxamide 762299-38-7P,

N-[3-[4-[3-[(Cyclopropylcarbonyl)amino]phenyl]-1-piperidinyl]propyl]-1-(4-fluorophenyl)cyclopentanecarboxamide 762299-40-1P, N-[3-[1-[3-[(2,2-Diphenylbutanoyl)amino]propyl]-4-piperidinyl]phenyl]cyclopropanecarboxamide 762299-41-2P, N-[3-[1-[3-[(2,2-Diphenylpropanoyl)amino]propyl]-4-piperidinyl]phenyl]cyclopropanecarboxamide 762299-43-4P 762299-45-6P, N-[3-[1-[3-[(Diphenylacetyl)(ethyl)amino]propyl]-4-piperidinyl]phenyl]-2-methylpropanamide 762299-49-0P, 2-(4-Chlorophenyl)-N-[3-[4-[2-fluoro-5-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]-2-methylpropanamide 762299-51-4P, 1-(4-Chlorophenyl)-N-[3-[4-[2-fluoro-5-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]cyclopentanecarboxamide 762299-53-6P, N-[3-[4-[2-Fluoro-5-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]-1-(4-fluorophenyl)cyclopentanecarboxamide 762299-55-8P, 1-(4-Chlorophenyl)-N-[3-[4-[2-fluoro-5-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]cyclohexanecarboxamide hydrochloride 762299-57-0P, N-[3-[4-[2-Fluoro-5-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]-1-(4-fluorophenyl)cyclohexanecarboxamide 762299-59-2P, N-[3-[1-[3-[[Bis(4-methylphenyl)acetyl]amino]propyl]-4-piperidinyl]-4-fluorophenyl]-2-methylpropanamide hydrochloride 762299-61-6P, 1-(2-Chloro-4-fluorophenyl)-N-[3-[4-[2-fluoro-5-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]cyclohexanecarboxamide 762299-63-8P, 1-(2-Chloro-4-fluorophenyl)-N-[3-[4-[5-(isobutyrylamino)-2-methylphenyl]-1-piperidinyl]propyl]cyclohexanecarboxamide 762299-65-0P, 1-(2-Chloro-4-fluorophenyl)-N-[3-[4-[5-(isobutyrylamino)-2-methylphenyl]-1-piperidinyl]propyl]cyclopentanecarboxamide 762299-67-2P 762299-69-4P, 1-(2-Chloro-4-fluorophenyl)-N-[3-[4-[2-fluoro-5-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]cyclopentanecarboxamide 762299-71-8P, 1-(2-Chloro-4-fluorophenyl)-N-[3-[4-[3-(isobutyrylamino)phenyl]-1-piperidinyl]propyl]cyclohexanecarboxamide 762299-73-0P, N-[3-[4-[3-[[(Dimethylamino)carbonyl]amino]phenyl]-1-piperidinyl]propyl]-2,2-bis(4-fluorophenyl)acetamide 762299-75-2P, Benzyl [3-[1-[3-[[bis(4-fluorophenyl)acetyl]amino]propyl]-4-piperidinyl]phenyl]carbamate 762299-77-4P, Isopropyl [3-[1-[3-[[2-(4-chlorophenyl)-2-methylpropanoyl]amino]propyl]-4-piperidinyl]phenyl]carbamate 762299-79-6P, Isopropyl [3-[1-[3-[[bis(4-chlorophenyl)acetyl]amino]propyl]-4-piperidinyl]phenyl]carbamate 762299-81-0P, Isopropyl [3-[1-[3-[(diphenylacetyl)amino]propyl]-4-piperidinyl]phenyl]carbamate 762299-83-2P, Isopropyl [3-[1-[3-[[bis(4-methylphenyl)acetyl]amino]propyl]-4-piperidinyl]phenyl]carbamate 762299-85-4P 762299-87-6P, Isopropyl [3-[1-[3-[[[1-(2-chloro-4-fluorophenyl)cyclohexyl]carbonyl]amino]propyl]-4-piperidinyl]phenyl]carbamate 762299-89-8P, N-[4-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]phenyl]butanamide 762299-91-2P, N-[5-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]-2-hydroxyphenyl]-2-methylpropanamide 762299-93-4P, N-[3-[1-[3-[(3,3-Diphenylpropanoyl)amino]propyl]-4-piperidinyl]phenyl]cyclopropanecarboxamide 762299-95-6P, N-[3-[1-[3-[[(3,4-Difluorophenyl)(hydroxy)acetyl]amino]propyl]-4-piperidinyl]-4-methylphenyl]-2-methylpropanamide 762299-97-8P, N-[3-[1-[3-[(Hydroxydiphenylacetyl)amino]propyl]-4-piperidinyl]-4-methylphenyl]-2-methylpropanamide 762299-99-0P, N-[2,4-Difluoro-5-[1-[3-[(hydroxydiphenylacetyl)amino]propyl]-4-piperidinyl]phenyl]-2-methylpropanamide 762300-03-8P, N-[3-[1-[3-[[Bis(4-fluorophenyl)(hydroxy)acetyl]amino]propyl]-4-piperidinyl]-4-methylphenyl]-2-methylpropanamide 762300-04-9P 762300-06-1P 762300-09-4P 762300-11-8P, N-[5-[1-[3-[[Bis(4-fluorophenyl)(hydroxy)acetyl]amino]propyl]-4-piperidinyl]-2,4-difluorophenyl]-2-methylpropanamide 762300-13-0P 762300-15-2P 762300-17-4P 762300-19-6P 762300-21-0P, N-[5-[1-[3-[[Bis(4-fluorophenyl)acetyl]amino]propyl]-4-piperidinyl]-2,4-difluorophenyl]-2-methylpropanamide 762300-23-2P 762300-25-4P 762300-26-5P 762300-28-7P 762300-31-2P, N-[5-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]-3-pyridinyl]-2-methylpropanamide 762300-33-4P, N-[3-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]-2,4,6-trifluorophenyl]-2-methylpropanamide 762300-35-6P, N-[5-[1-[3-[[Bis(4-fluorophenyl)acetyl]amino]propyl]-4-piperidinyl]-3-pyridinyl]-2-methylpropanamide 762300-39-0P, N-[3-[1-[3-[[Bis(4-fluorophenyl)acetyl]amino]propyl]-4-piperidinyl]-2,4,6-trifluorophenyl]-2-methylpropanamide 762300-41-4P, N-[3-[1-[3-[(Aminodiphenylacetyl)amino]propyl]-4-piperidinyl]-4-fluorophenyl]cyclopropanecarboxamide 762300-45-8P, N-[3-[1-[3-[[(4-Fluorophenyl)acetyl]amino]propyl]-4-piperidinyl]-4-methylphenyl]-2-methylpropanamide 762300-46-9P, N-[5-[1-[3-[(Hydroxydiphenylacetyl)amino]propyl]-4-piperidinyl]-3-pyridinyl]-2-methylpropanamide 762300-50-5P, N-[5-[1-[3-[[Bis(4-fluorophenyl)(hydroxy)acetyl]amino]propyl]-4-piperidinyl]-3-pyridinyl]-2-methylpropanamide 762300-52-7P 763126-62-1P 763126-64-3P 763126-66-5P 763126-68-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(MCH1 receptor antagonist; preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

IT 345-24-4P, 1-Bromo-2,4-difluoro-5-nitrobenzene 357-60-8P, Bis(4-fluorophenyl)(hydroxy)acetic acid 361-63-7P, Bis(4-fluorophenyl)acetic acid 452-92-6P, 5-Bromo-2,4-difluoroaniline 2695-79-6P 20809-78-3P, Bis(4-methylphenyl)acetic acid 83948-53-2P, tert-Butyl (3-bromopropyl)carbamate 105879-62-7P, (2R)-2-(4-Chlorophenyl)propanoic acid 105879-63-8P, (2S)-2-(4-Chlorophenyl)propanoic acid 138647-49-1P, tert-Butyl 4-[[(trifluoromethyl)sulfonyl]oxy]-3,6-dihydro-1(2H)-pyridinecarboxylate 147224-48-4P, (4R)-3-[(4-Chlorophenyl)acetyl]-4-isopropyl-1,3-oxazolidin-2-one 150360-26-2P, (2R)-2-(4-Fluorophenyl)propanoic acid 178104-96-6P, (4S)-3-[(4-Chlorophenyl)acetyl]-4-isopropyl-1,3-oxazolidin-2-one 191725-90-3P, (2S)-2-(4-Fluorophenyl)propanoic acid 286961-14-6P, tert-Butyl 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,6-dihydro-1(2H)-pyridinecarboxylate 387826-50-8P, N-[3-[1-[6-(1,3-Dioxo-1,3-dihydro-2H-isoindol-2-yl)hexyl]-4-piperidinyl]phenyl]-2-methylpropanamide 387826-52-0P, N-[3-[1-[4-(1,3-Dioxo-1,3-dihydro-2H-isoindol-2-yl)butyl]-4-piperidinyl]phenyl]-2-methylpropanamide 387826-53-1P, N-[3-[1-[5-(1,3-Dioxo-1,3-dihydro-2H-isoindol-2-yl)pentyl]-4-piperidinyl]phenyl]-2-methylpropanamide 387826-55-3P, N-[3-[1-[3-(1,3-Dioxo-1,3-dihydro-2H-isoindol-2-yl)propyl]-4-piperidinyl]phenyl]-2-methylpropanamide 387826-71-3P, N-[3-[1-((3R)-3-Hydroxy-3-phenylpropyl)-4-piperidinyl]phenyl]-2-methylpropanamide 387826-96-2P, N-[3-[1-[(3S)-3-(1,3-Dioxo-1,3-dihydro-2H-isoindol-2-yl)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methylpropanamide 387827-18-1P, tert-Butyl 4-(3-aminophenyl)-3,6-dihydro-1(2H)-pyridinecarboxylate 387827-19-2P, tert-Butyl 4-[3-(amino)phenyl]-1-piperidinecarboxylate 387827-24-9P, tert-Butyl 4-[3-(acetylamino)phenyl]-1-piperidinecarboxylate 387827-26-1P, tert-Butyl [3-[4-[3-(acetylamino)phenyl]-1-piperidinyl]propyl]carbamate 387827-27-2P, N-[3-[1-(3-Aminopropyl)-4-piperidinyl]phenyl]acetamide 387827-30-7P, tert-Butyl 4-[3-(isobutyrylamino)phenyl]-3,6-dihydro-1(2H)-pyridinecarboxylate 387827-31-8P, tert-Butyl 4-[3-(isobutyrylamino)phenyl]-1-piperidinecarboxylate 387827-32-9P, 2-Methyl-N-[3-(4-piperidinyl)phenyl]propanamide 387827-49-8P, 2-((1S)-3-Chloro-1-phenylpropyl)-1H-isoindole-1,3(2H)-dione 486430-92-6P, N-[3-(4-Piperidyl)phenyl]acetamide hydrochloride 486445-46-9P, tert-Butyl 4-[3-(propionylamino)phenyl]-1-piperidinecarboxylate 486445-48-1P, N-[3-(4-Piperidinyl)phenyl]propanamide 486445-52-7P, tert-Butyl 4-[3-[(cyclopropylcarbonyl)amino]phenyl]-1-piperidinecarboxylate 486445-75-4P, N-[3-(4-Piperidinyl)phenyl]cyclopropanecarboxamide 486447-47-6P, 2-Methyl-N-[4-(4-piperidinyl)phenyl]propanamide 486447-71-6P, N-[4-(4-Piperidinyl)phenyl]butanamide 486448-97-9P, N-[3-[1-(2-Aminoethyl)-4-piperidinyl]phenyl]-2-methylpropanamide 486449-00-7P, N-[3-[1-(3-Aminopropyl)-4-piperidinyl]phenyl]-2-methylpropanamide 486449-03-0P, N-[3-[1-(4-Aminobutyl)-4-piperidinyl]phenyl]-2-methylpropanamide 486449-04-1P, N-[3-[1-(5-Aminopentyl)-4-piperidinyl]phenyl]-2-methylpropanamide 486449-05-2P, N-[3-[1-(6-Aminohexyl)-4-piperidinyl]phenyl]-2-methylpropanamide 486449-76-7P, N-(6-Bromo-2-pyridinyl)-2-methylpropanamide 486449-89-2P, N-(3-Bromo-4-methylphenyl)-2-methylpropanamide 486451-46-1P, 2-Methyl-N-[4-methyl-3-(4-piperidinyl)phenyl]propanamide 487057-86-3P, tert-Butyl 4-[5-(isobutyrylamino)-2-methylphenyl]-3,6-dihydro-1(2H)-pyridinecarboxylate 487057-87-4P, tert-Butyl 4-[5-(isobutyrylamino)-2-methylphenyl]-1-piperidinecarboxylate 487057-88-5P, N-[3-[1-[2-(1,3-Dioxo-1,3-dihydro-2H-isoindol-2-yl)ethyl]-4-piperidinyl]phenyl]-2-methylpropanamide 487057-93-2P, 2-Methyl-N-[6-(4-piperidinyl)-2-pyridinyl]propanamide 487058-93-5P, N-[3-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]phenyl]-2-methylpropanamide hydrochloride 644974-20-9P, N-(3-Bromopropyl)-2,2-diphenylacetamide 648901-46-6P, tert-Butyl 4-(5-amino-2-methoxyphenyl)-1-piperidinecarboxylate 762297-38-1P, N-[3-(4-Piperidinyl)phenyl]butanamide 762297-39-2P, 1,1-Dimethyl-3-[3-(4-piperidinyl)phenyl]urea 762297-40-5P, Isopropyl [3-(4-piperidinyl)phenyl]carbamate 762297-41-6P, Benzyl [3-(4-piperidinyl)phenyl]carbamate 762297-42-7P, N-(3-Bromo-2-methylphenyl)-2-methylpropanamide 762297-43-8P, 2-Methyl-N-[2-methyl-3-(4-piperidinyl)phenyl]propanamide 762297-44-9P, tert-Butyl 4-(2-methoxy-5-nitrophenyl)-3,6-dihydro-1(2H)-pyridinecarboxylate 762297-45-0P, tert-Butyl 4-[5-(isobutyrylamino)-2-methoxyphenyl]-1-piperidinecarboxylate 762297-46-1P, N-[4-Methoxy-3-(4-

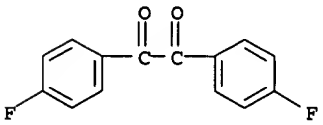
piperidinyl)phenyl]-2-methylpropanamide 762297-47-2P,
N-[4-Fluoro-3-(4-piperidinyl)phenyl]-2-methylpropanamide 762297-48-3P,
N-[2-Fluoro-5-(4-piperidinyl)phenyl]-2-methylpropanamide 762297-49-4P,
N-[4-Fluoro-3-(4-piperidinyl)phenyl]butanamide 762297-50-7P,
N-[2-Fluoro-5-(4-piperidinyl)phenyl]butanamide 762297-51-8P,
N-[4-Methoxy-3-(4-piperidinyl)phenyl]butanamide 762297-52-9P,
N-[2-Hydroxy-5-(4-piperidinyl)phenyl]-2-methylpropanamide 762297-53-0P,
N-[3-[1-(3-Aminopropyl)-4-piperidinyl]phenyl]butanamide 762297-54-1P,
N-[3-[1-(3-Aminopropyl)-4-piperidinyl]-4-methylphenyl]-2-methylpropanamide
762297-55-2P, N-[3-[1-(3-Aminopropyl)-4-piperidinyl]-4-fluorophenyl]-2-
methylpropanamide 762297-56-3P, N-[6-[1-(3-Aminopropyl)-4-piperidinyl]-2-
pyridinyl]-2-methylpropanamide 762297-57-4P, N-[5-[1-(3-Aminopropyl)-4-
piperidinyl]-2-fluorophenyl]-2-methylpropanamide 762297-58-5P,
N-[5-[1-(3-Aminopropyl)-4-piperidinyl]-2-fluorophenyl]butanamide
762297-59-6P, N-[3-[1-(3-Aminopropyl)-4-piperidinyl]phenyl]propanamide
762297-60-9P, N-[3-[1-(3-Aminopropyl)-4-piperidinyl]phenyl]cyclopropanecar
boxamide 762297-61-0P, N-[3-[1-(3-Aminopropyl)-4-piperidinyl]phenyl]-2,2-
dimethylpropanamide 762297-62-1P, N-[3-[1-(3-Aminopropyl)-4-
piperidinyl]phenyl]-3-methylbutanamide 762297-63-2P,
N-[3-[1-(3-Aminopropyl)-4-piperidinyl]phenyl]-3,3-dimethylbutanamide
762297-64-3P, 3-[3-[1-(3-Aminopropyl)-4-piperidinyl]phenyl]-1,1-
dimethylurea 762297-65-4P, Isopropyl [3-[1-(3-aminopropyl)-4-
piperidinyl]phenyl]carbamate 762297-66-5P, Benzyl [3-[1-(3-aminopropyl)-
4-piperidinyl]phenyl]carbamate 762297-67-6P, N-[3-[1-(3-Aminopropyl)-4-
piperidinyl]-4-methoxyphenyl]-2-methylpropanamide 762297-68-7P,
N-[3-[1-(3-Aminopropyl)-4-piperidinyl]-4-methoxyphenyl]butanamide
762297-69-8P, N-[5-[1-(3-Aminopropyl)-4-piperidinyl]-2-hydroxyphenyl]-2-
methylpropanamide 762297-72-3P, (4S)-3-[(4-Fluorophenyl)acetyl]-4-
isopropyl-1,3-oxazolidin-2-one 762297-73-4P, (4R)-3-[(4-
Fluorophenyl)acetyl]-4-isopropyl-1,3-oxazolidin-2-one 762297-74-5P
762297-77-8P 762297-79-0P 762297-81-4P 762297-93-8P,
2-Bromo-1,3,5-trifluoro-4-nitrobenzene 762297-95-0P,
3-Bromo-2,4,6-trifluoroaniline 762297-97-2P, N-(5-Bromo-2,4-
difluorophenyl)-2-methylpropanamide 762297-99-4P, N-(3-Bromo-2,4,6-
trifluorophenyl)-2-methylpropanamide 762298-01-1P, tert-Butyl
4-[2,4-difluoro-5-(isobutyrylamino)phenyl]-3,6-dihydro-1(2H)-
pyridinecarboxylate 762298-03-3P, tert-Butyl 4-[2,4,6-trifluoro-3-
(isobutyrylamino)phenyl]-3,6-dihydro-1(2H)-pyridinecarboxylate
762298-05-5P, tert-Butyl 4-[2,4-difluoro-5-(isobutyrylamino)phenyl]-1-
piperidinecarboxylate 762298-07-7P, N-[2,4-Difluoro-5-(4-
piperidinyl)phenyl]-2-methylpropanamide 762298-08-8P,
2-Methyl-N-[2,4,6-trifluoro-3-(1,2,3,6-tetrahydro-4-
pyridinyl)phenyl]propanamide 762298-09-9P, 2-Methyl-N-[2,4,6-trifluoro-3-
(4-piperidinyl)phenyl]propanamide 762298-10-2P, Benzyl
(5-bromo-3-pyridinyl)carbamate 762298-11-3P, tert-Butyl
4-[5-[[(phenylmethoxy)carbonyl]amino]-3-pyridyl]-1,2,5,6-tetrahydro-1-
pyridinecarboxylate 762298-12-4P, tert-Butyl 4-(5-amino-3-pyridinyl)-1-
piperidinecarboxylate 762298-13-5P, tert-Butyl 4-[5-(isobutyrylamino)-3-
pyridinyl]-1-piperidinecarboxylate 762298-14-6P, 2-Methyl-N-[5-(4-
piperidinyl)-3-pyridinyl]propanamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate; preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1
receptor antagonists for treatment of obesity and other conditions)

IT 76-93-7, Hydroxydiphenylacetic acid, reactions 79-30-1,
2-Methylpropanoyl chloride 83-05-6, Bis(4-chlorophenyl)acetic acid
85-41-6, Phthalimide 103-82-2, Phenylacetic acid, reactions 110-89-4,
Piperidine, reactions 348-57-2, 1-Bromo-2,4-difluorobenzene 360-03-2,
2,2-Difluoro-2-phenylacetic acid 405-50-5, (4-Fluorophenyl)acetic acid
459-04-1, (4-Fluorophenyl)acetyl chloride 462-06-6, Fluorobenzene
579-39-5, 1,2-Bis(4-fluorophenyl)-1,2-ethanedione 595-91-5,
Triphenylacetic acid 606-83-7, 3,3-Diphenylpropanoic acid 885-77-8,
4,4'-Dimethylbenzhydrol 938-79-4, (2R)-2-Phenylbutanoic acid 938-95-4,
2-(4-Chlorophenyl)propanoic acid 1871-76-7, Diphenylacetyl chloride
3060-50-2, Aminodiphenylacetic acid 3152-12-3, Bis(2-
chlorophenyl)(hydroxy)acetic acid **3457-48-5**,
1,2-Bis(4-methylphenyl)-1,2-ethanedione 3901-04-0 4226-57-7,
2,2-Diphenylbutanoic acid 4286-15-1, (2S)-2-Phenylbutanoic acid
4870-65-9, Bromo(phenyl)acetic acid 5003-71-4, 3-Bromopropylamine
hydrobromide 5197-28-4, 2-Bromo-4-nitroanisole 5558-66-7,
2,2-Diphenylpropionic acid 6258-30-6, 2-(4-Chlorophenyl)-2-
methylpropanoic acid 7693-52-9, 4-Bromo-2-nitrophenol 7745-91-7,
3-Bromo-4-methylaniline 7782-24-3, (2S)-2-Phenylpropanoic acid
7782-26-5, (2R)-2-Phenylpropanoic acid 13911-20-1, (3,4-
Dichlorophenyl)(methoxy)acetic acid 16036-85-4,
(Ethylsulfanyl)diphenylacetic acid 17016-83-0 20826-04-4,

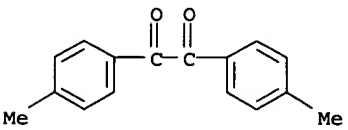
5-Bromonicotinic acid 25026-34-0, (4-Chlorophenyl)acetyl chloride 50921-39-6, 1-(4-Chlorophenyl)cyclobutanecarboxylic acid 58880-37-8, 1-(4-Chlorophenyl)cyclohexanecarboxylic acid 66472-86-4, (3-Aminophenyl)boronic acid hemisulfate 72934-37-3, 1-(4-Chlorophenyl)cyclopropanecarboxylic acid 73183-34-3 75908-73-5, 2-(4-Fluorophenyl)propanoic acid 79099-07-3, tert-Butyl 4-oxo-1-piperidinecarboxylate 80789-69-1, 1-(4-Chlorophenyl)cyclopentanecarboxylic acid 84604-70-6, 1-(2,4-Dichlorophenyl)cyclopropanecarboxylic acid 95530-58-8 100306-33-0, (R)-(+)-3-Chloro-1-phenyl-1-propanol 132741-29-8, (3,4-Difluorophenyl)(hydroxy)acetic acid 198337-89-2, 2,2-Diphenylheptanoic acid 214262-99-4, 1-(4-Fluorophenyl)cyclopentanecarboxylic acid 214263-00-0, 1-(4-Fluorophenyl)cyclohexanecarboxylic acid 214263-01-1, 1-(2-Chloro-4-fluorophenyl)cyclopentanecarboxylic acid 214263-02-2, 1-(2-Chloro-4-fluorophenyl)cyclohexanecarboxylic acid 387827-25-0, N-[3-(4-Piperidyl)phenyl]acetamide 762298-39-5, N-[3-[1-(3-Aminopropyl)-4-piperidinyl]-4-fluorophenyl]butanamide 762298-47-5, N-[3-[1-(3-Aminopropyl)-4-piperidinyl]-2-methylphenyl]-2-methylpropanamide 762298-88-4, N-[3-[1-(3-Aminopropyl)-4-piperidinyl]-2-pyridinyl]-2-methylpropanamide 762299-47-8, N-[3-[1-[3-(Ethylamino)propyl]-4-piperidinyl]phenyl]-2-methylpropanamide 762300-01-6, N-[5-[1-(3-Aminopropyl)-4-piperidinyl]-2,4-difluorophenyl]-2-methylpropanamide 762300-37-8, N-(3-Bromopropyl)-2,2-bis(4-fluorophenyl)acetamide 762300-43-6, N-[3-[1-(3-Aminopropyl)-4-piperidinyl]-4-fluorophenyl]cyclopropanecarboxamide 762300-48-1, N-[5-[1-(3-Aminopropyl)-4-piperidinyl]-3-pyridinyl]-2-methylpropanamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

IT **579-39-5**, 1,2-Bis(4-fluorophenyl)-1,2-ethanedione
3457-48-5, 1,2-Bis(4-methylphenyl)-1,2-ethanedione
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

RN 579-39-5 HCAPLUS
CN Ethanedione, bis(4-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 3457-48-5 HCAPLUS
CN Ethanedione, bis(4-methylphenyl)- (9CI) (CA INDEX NAME)



L42 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:703124 HCAPLUS
DN 141:218944
ED Entered STN: 27 Aug 2004
TI Treating conditions associated with an Edg-7 receptor
IN Shankar, Geetha; Solow-Cordero, David; Spencer, Juliet V.; Gluchowski, Charles
PA USA
SO U.S. Pat. Appl. Publ., 29 pp.
CODEN: USXXCO
DT **Patent**
LA English
IC ICM A61K031-445
NCL 514317000
CC 1-6 (Pharmacology)
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

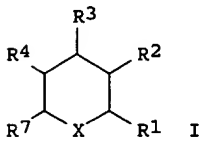
PI	US 2004167165	A1	20040826	US 2004-760062	20040116 <--
PRAI	US 2003-440336P	P	20030116 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004167165	ICM	A61K031-445
	NCL	514317000
US 2004167165	ECLA	A61K031/445 <--

OS MARPAT 141:218944

GI



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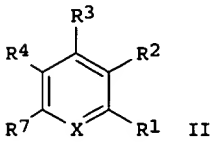


II

AB The invention provides a method for modulating an Edg-7 receptor mediated biol. activity in a cell. A cell expressing the Edg-7 receptor is contacted with a modulator of the Edg-7 receptor which is capable of modulating an Edg-7 receptor mediated biol. activity. The invention provides a method for modulating an Edg-7 receptor mediated biol. activity in a subject. A therapeutically effective amount of the Edg-7 receptor modulator with formula I (where R1,R2 R3 R4 and R7 = -H,-halo,-CN, -NO2 etc. independently) or with formula II (where R1, R2, R3, R4 and R7 = -H,-halo, -NO2 -CN, etc.) or a pharmaceutically available solvate or hydrate therof is administered to the subject.

ST endothelial differentiation gene receptor cell proliferation

IT Receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(EDG-1 (endothelial differentiation gene 1); methods of treating conditions associated with an Edg-7 receptor)

IT Receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(EDG-2 (endothelial differentiation gene 2); methods of treating conditions associated with an Edg-7 receptor)

IT Receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(EDG-3 (endothelial differentiation gene 3); methods of treating conditions associated with an Edg-7 receptor)

IT Receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(EDG-4 (endothelial differentiation gene 4); methods of treating conditions associated with an Edg-7 receptor)

IT Receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(EDG-5 (endothelial differentiation gene 5); methods of treating conditions associated with an Edg-7 receptor)

IT Receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(EDG-6 (endothelial differentiation gene 6); methods of treating conditions associated with an Edg-7 receptor)

IT Receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(EDG-7 (endothelial differentiation gene 7); methods of treating conditions associated with an Edg-7 receptor)

IT Receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(EDG-8 (endothelial differentiation gene 8); methods of treating conditions associated with an Edg-7 receptor)

IT Platelet (blood)
(activation; methods of treating conditions associated with an Edg-7 receptor)

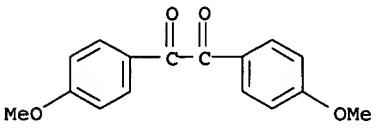
IT Respiratory distress syndrome
(adult; methods of treating conditions associated with an Edg-7 receptor)

IT Antiarteriosclerotics
(antiatherosclerotics; methods of treating conditions associated with an Edg-7 receptor)

IT Immunity
(autoimmunity; methods of treating conditions associated with an Edg-7

receptor)
IT Uterus, neoplasm
(cervix; methods of treating conditions associated with an Edg-7 receptor)
IT Intestine, neoplasm
(colon; methods of treating conditions associated with an Edg-7 receptor)
IT Intestine, neoplasm
(colorectal; methods of treating conditions associated with an Edg-7 receptor)
IT Fibroblast
(disease; methods of treating conditions associated with an Edg-7 receptor)
IT Uterus, neoplasm
(endometrium; methods of treating conditions associated with an Edg-7 receptor)
IT Sarcoma
(fibrosarcoma; methods of treating conditions associated with an Edg-7 receptor)
IT Liver, neoplasm
(hepatoma; methods of treating conditions associated with an Edg-7 receptor)
IT Cell proliferation
(inhibition; methods of treating conditions associated with an Edg-7 receptor)
IT Heart, disease
(ischemia; methods of treating conditions associated with an Edg-7 receptor)
IT Angiogenesis
Anti-inflammatory agents
Anti-ischemic agents
Antiasthmatics
Apoptosis
Asthma
Atherosclerosis
Carcinoma
Cardiovascular agents
Cell migration
Cell proliferation
Human
Inflammation
Intestine, neoplasm
Kidney, neoplasm
Lung, disease
Lung, neoplasm
Mammary gland, neoplasm
Myoblast
Nerve, disease
Ovary, disease
Ovary, neoplasm
Pancreas, neoplasm
Peritoneum, neoplasm
Pheochromocytoma
Prostate gland, neoplasm
Stomach, neoplasm
Thyroid gland, neoplasm
Uterus, neoplasm
Wound healing
(methods of treating conditions associated with an Edg-7 receptor)
IT Actins
Interleukin 8
Lysophosphatidic acids
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(methods of treating conditions associated with an Edg-7 receptor)
IT 60-92-4, CAMP 127464-60-2, Vascular endothelial growth factor
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(methods of treating conditions associated with an Edg-7 receptor)
IT 7741-53-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(methods of treating conditions associated with an Edg-7 receptor)
IT 21829-28-7P 21881-77-6P 40622-01-3P 66085-59-4P 306764-68-1P 353469-11-1P 353484-05-6P 524714-70-3P 569656-29-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(methods of treating conditions associated with an Edg-7 receptor)

IT 108-38-3, 1,3-Dimethylbenzene, reactions 619-05-6, 3,4-Diaminobenzoic acid **1226-42-2**, 4,4'-Dimethoxybenzil 7440-66-6, Zinc, reactions 7487-94-7, Mercury (II) chloride, reactions 7722-84-1, Hydrogen peroxide, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(methods of treating conditions associated with an Edg-7 receptor)
IT 76293-13-5P, 2,4-Dimethylthioxanthen-9-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(methods of treating conditions associated with an Edg-7 receptor)
IT 7440-70-2, Calcium, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(transport; methods of treating conditions associated with an Edg-7 receptor)
IT **1226-42-2**, 4,4'-Dimethoxybenzil
RL: RCT (Reactant); RACT (Reactant or reagent)
(methods of treating conditions associated with an Edg-7 receptor)
RN 1226-42-2 HCAPLUS
CN Ethanedione, bis(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



L42 ANSWER 6 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:609929 HCAPLUS
DN 141:157023
ED Entered STN: 30 Jul 2004
TI Preparation of 3,4-diaminocyclobutene-1,2-diones as CXC-chemokine receptor ligands
IN Taveras, Arthur G.; Aki, Cynthia J.; Bond, Richard W.; Chao, Jianping; Dwyer, Michael; Ferreira, Johan A.; Chao, Jianhua; Yu, Younong; Baldwin, John J.; Kaiser, Bernd; Li, Ge; Merritt, J. Robert; Biju, Purakkattle J.; Nelson, Kingsley H.; Rokosz, Laura L.; Jakway, James P.; Lai, Gaifa; Wu, Minglang; Hecker, Evan A.; Lundell, Daniel; Fine, Jay S.
PA Schering Corporation and Pharmacopeia, Inc., USA
SO U.S. Pat. Appl. Publ., 352 pp., Cont.-in-part of U.S. Ser. No. 241,326.
CODEN: USXXCO
DT **Patent**
LA English
IC ICM C07D277-08
ICS C07D263-02; C07D213-46; A61K031-4439; A61K031-444
NCL 514332000; 514340000; 514341000; 514365000; 514374000; 514396000; 514397000; 546267000; 546270400; 546272700
CC 27-6 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 24, 25, 28, 34, 63
FAN.CNT 5

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	US 2004097547	A1	20040520	US 2002-208412	20020730	<--
	US 2004106794	A1	20040603	US 2002-241326	20020911	<--
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	US 2002-208412	A2	20020730	<--		
	US 2002-241326	A2	20020911	<--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
US 2004147559	ICM	C07D277-08	
	ICS	C07D263-02; C07D213-46; A61K031-4439; A61K031-444	
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US 2004147559	ECLA	C07C225/20; C07C237/30; C07C237/36; C07C237/44; C07C255/59; C07C311/39; C07D207/32C4; C07D021/74; C07D217/24; C07D295/22C2; C07D307/38C; C07D307/52; C07D307/68; C07D307/81; C07D307/82B; C07D307/83; C07D317/46; C07D319/18; C07D333/20; C07D333/36; C07D405/12; C07D409/12; C07D409/12; C07D409/14; C07D413/12; C07D413/14	<--
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C07D217/24; C07D295/22C2; C07D307/38C; C07D307/52;
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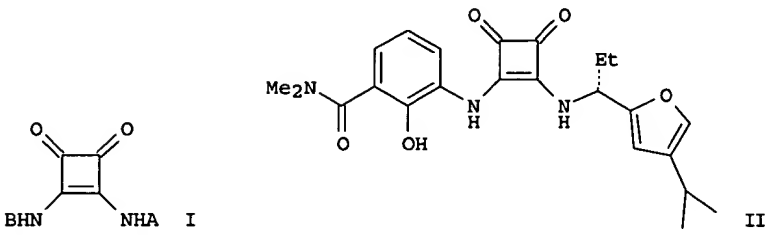

AB Title compds. [I; A = (substituted) pyridylmethyl, thiazolylmethyl, benzofurylmethyl, isoxazolylmethyl, pyrazinylmethyl, triazolylmethyl, phenylalkyl, etc.; B = (substituted) Ph, benzotriazolyl, benzimidazolyl, imidazolyl, pyrazolyl, hydroxypyridinyl, thienyl, pyrrolyl, isothiazolyl, etc.], were prepared Thus, title compound (II) (preparation outlined) showed Ki = 0.8 nM in a CXCR2 SPA receptor binding assay.

ST aminocyclobutenedione prepn CXC chemokine receptor ligand; cyclobutenedione diamino prepn CXC chemokine receptor ligand; squaric acid amide prepn cancer pain inflammation contusion treatment

IT Chemokine receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(CXCR1, modulators; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT Chemokine receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(CXCR2, modulators; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT Intestine, disease
(Crohn's, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT Sarcoma
(Kaposi's, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT Respiratory distress syndrome
(acute, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT Respiratory tract, disease
(adult, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT Respiratory tract
(airflow obstruction treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT Liver, disease
(alc., treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT Transplant rejection
(allotransplant, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT Eye, disease
(angiogenic ocular disease treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT Hormones, animal, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-, coadministration; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT Acne
(anti-acne drugs; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT Antiarteriosclerotics
(antiatherosclerotics; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT Cytotoxic agents
(antimetabolites, coadministration; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Dermatitis
(atopic, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Bronchi, disease
(bronchiectasis, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Bronchi, disease
(bronchiolitis, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Stomach, neoplasm
(carcinoma, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Bronchi, disease
(chronic bronchitis, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Lung, disease
(chronic obstructive, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Inflammation
(chronic, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Nervous system, neoplasm
(cns tumors treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Alkylating agents, biological
(coadministration; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Hormones, animal, biological studies
Natural products
Steroids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coadministration; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Dialysis
(continuous ambulatory peritoneal dialysis associated treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Respiratory tract, disease
(cor pulmonae, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Eye
(cornea, corneal neovascularization treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Artery, disease
(coronary, restenosis, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Arthritis
(crystal induced-, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Eye, disease
(diabetic retinopathy, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Joint, anatomical
(disease, sprain, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Meninges
(disease, subarachnoid hemorrhage, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Breathing (animal)
(dyspnea, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Transplant rejection
(early transplantation rejection treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Esophagus, disease
(esophagitis, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Lung, disease
(fibrosis, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Gingiva, disease
(gingivitis, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT **Kidney, disease**

(glomerulonephritis, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Tongue, disease
(glossitis, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Transplant and Transplantation
(graft-vs.-host reaction, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Sepsis
(gram neg. sepsis treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Skin, disease
(herpes, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Inflammation
(hyper-, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Inflammation
(hyperoxia induced-, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Respiratory tract, disease
(hyperresponsiveness, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Allergy
(hypersensitivity, delayed type hypersensitivity reaction treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Hypoxia, animal
(hypoxemia, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Human herpesvirus
(infection treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Ehrlichia
(infection, granulocytic ehrlichosis, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Eye, disease
(inflammation, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Intestine, disease
(inflammatory, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Skin, disease
(injury, contusion, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Reperfusion
(injury, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Brain, disease
Heart, disease
(ischemia, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Eye, disease
(macula, degeneration, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Multiple organ failure
(multiorgan dysfunction treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Eye, disease
(neovascularization, corneal neovascularization treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Angiogenesis
(neovascularization, eye, corneal neovascularization treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Lung, neoplasm
(non-small-cell carcinoma, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Pancreas, disease
(pancreatitis, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Periodontium, disease
(periodontitis, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Peritoneum, disease
(peritonitis, continuous ambulatory peritoneal dialysis associated treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT Lung, disease
(pneumonitis, interstitial pneumononitis treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Muscle, disease
(polymyositis, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Surgery
(postsurgical trauma treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Parturition
(premature, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Analgesics
Angiogenesis inhibitors
Anti-AIDS agents
Anti-Alzheimer's agents
Anti-inflammatory agents
Anti-ischemic agents
Antiarthritics
Antiasthmatics
Anticoagulants
Antimalarials
Antirheumatic agents
Antitussives
Antiulcer agents
Antiviral agents
Drug delivery systems
Human
(preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Intestine, disease
(pseudomembranous enterocolitis, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Arthritis
(psoriatic arthritis, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Hypertension
(pulmonary, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Eye, disease
(retrolental fibroplasia, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Heart, disease
(right ventricle, hypertrophy, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Shock (circulatory collapse)
(septic, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Respiratory tract, disease
(sinusitis, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Respiratory tract, disease
(small airway disease, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Brain, disease
(stroke, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Shock (circulatory collapse)
(toxic shock syndrome, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT Brain, disease
Injury
(trauma, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
IT AIDS (disease)
Acne
Alzheimer's disease
Angiogenesis
Arthritis
Asthma
Atherosclerosis
Burn
Celiac disease
Common cold
Cough
Cystic fibrosis
Emphysema

Encephalitis
Gout
Hepatitis
Hypercapnia
Hypoxia, animal
Inflammation
Lupus erythematosus
Malaria
Melanoma
Meningitis
Multiple sclerosis
Neoplasm
Osteoarthritis
Osteoporosis
Pain
Pruritus
Psoriasis
Rheumatoid arthritis
Sarcoidosis
Strain
Thrombosis
(treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT Stomach, disease
(ulcer, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT Intestine, disease
(ulcerative colitis, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT Blood vessel, disease
(vasculitis, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT Respiratory tract, disease
(ventilation perfusion mismatching, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT Infection
(viral, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT Breathing (animal)
(wheezing, treatment; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT Interleukin 8 receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(.alpha., modulators; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT Interleukin 8 receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(.beta., modulators; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT 473728-84-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

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473728-13-1P 473728-14-2P 473728-15-3P 473728-16-4P 473728-17-5P
473728-18-6P 473728-19-7P 473728-20-0P 473728-21-1P 473728-22-2P
473728-23-3P 473728-24-4P 473728-25-5P 473728-26-6P 473728-27-7P
473728-28-8P 473728-29-9P 473728-30-2P 473728-31-3P 473728-32-4P
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473728-38-0P 473728-39-1P 473728-40-4P 473728-41-5P 473728-42-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT 473728-43-7P 473728-44-8P 473728-45-9P 473728-46-0P 473728-47-1P
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473728-60-8P 473728-62-0P 473728-64-2P 473728-68-6P 473728-69-7P
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654682-11-8P 654682-13-0P 654682-15-2P 654682-16-3P 654682-19-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT 654682-21-0P 654682-23-2P 654682-26-5P 654682-29-8P 654682-31-2P
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654682-60-7P 654682-62-9P 654682-63-0P 654682-64-1P 654682-65-2P
654682-66-3P 731848-73-0P 731848-75-2P 731848-76-3P 731848-77-4P
731848-78-5P 731848-79-6P 731848-80-9P 731848-90-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT 50-85-1 62-53-3, Phenylamine, reactions 67-36-7, 4-Phenoxybenzaldehyde
67-47-0, 5-Hydroxymethylfuran-2-carboxaldehyde 74-89-5, Methylamine, reactions 75-03-6, Iodoethane 75-16-1, Methylmagnesium bromide
75-31-0, Isopropylamine, reactions 75-64-9, tert-Butylamine, reactions
78-81-9, Isobutylamine 78-82-0, Isobutyronitrile 78-96-6 79-22-1, Methyl chloroformate 79-44-7, Dimethylcarbamoyl chloride 79-46-9, 2-Nitropropane 85-38-1, 3-Nitrosalicylic acid 86-51-1, 2,3-Dimethoxybenzaldehyde 88-15-3, 2-Acetylthiophene 88-21-1, 2-Aminobenzenesulfonic acid 89-55-4, 5-Bromosalicylic acid 89-56-5, 5-Methylsalicylic acid 89-98-5, 2-Chlorobenzaldehyde 91-00-9, Benzhydrylamine 92-54-6, 1-Phenylpiperazine 93-02-7, 2,5-Dimethoxybenzaldehyde 95-54-5, 1,2-Benzenediamine, reactions 95-55-6, 2-Aminophenol 98-01-1, Furfuraldehyde, reactions 98-03-3, Thiophene-2-carboxaldehyde 98-09-9, Phenylsulfonyl chloride 98-80-6, Phenylboronic acid 98-86-2, Acetophenone, reactions 98-88-4, Benzoyl chloride 98-98-6, Picolinic acid 99-03-6, 3-Acetylphenylamine 99-09-2, 3-Nitrobenzenamine 100-10-7, 4-Dimethylaminobenzaldehyde 100-46-9, Benzylamine, reactions 100-49-2, Cyclohexylmethanol 100-52-7, Benzaldehyde, reactions 100-58-3, Phenylmagnesium bromide 100-60-7, N-Cyclohexyl-N-methylamine 102-28-3, N-(3-Aminophenyl)acetamide 103-49-1, N,N-Dibenzylamine 103-67-3, n-Benzyl-n-methylamine 105-41-9 106-41-2, p-Bromophenol 107-10-8, n-Propylamine, reactions 108-09-8 108-23-6, Isopropyl chloroformate

108-91-8, Cyclohexylamine, reactions 109-61-5, Propyl chloroformate
109-73-9, n-Butylamine, reactions 109-83-1, N-Methyl-2-hydroxyethylamine
109-89-7, Diethylamine, reactions 110-73-6 110-78-1, Propyl isocyanate
110-85-0, Piperazine, reactions 110-89-4, Piperidine, reactions
110-91-8, Morpholine, reactions 111-42-2, reactions 111-49-9, Azepane
118-92-3, 2-Aminobenzoic acid 120-14-9, 3,4-Dimethoxybenzaldehyde
120-43-4, 1-Piperazinecarboxylic acid ethyl ester 120-57-0, Piperonal
121-47-1, 3-Aminobenzenesulfonic acid 121-51-7, 3-Nitrobenzenesulfonyl
chloride 121-90-4, 3-Nitrobenzoyl chloride 121-92-6, 3-Nitrobenzoic
acid 122-09-8, Benzeneethanamine, .alpha.,.alpha.-dimethyl- 122-98-5
123-11-5, 4-Methoxybenzaldehyde, reactions 123-75-1, Pyrrolidine,
reactions 123-82-0, 2-Heptanamine 124-63-0, Methanesulfonyl chloride
124-68-5, 2-Amino-2-methylpropanol 135-00-2, 2-Benzoylthiophene
135-02-4, 2-Methoxybenzaldehyde 140-28-3, N,N'-Dibenzylethylenediamine
141-43-5, 2-Hydroxyethylamine, reactions 142-25-6 321-14-2,
5-Chlorosalicylic acid 344-25-2, D-Proline 349-43-9, Ethyl
2-fluoropropanoate 406-87-1, 4,4,4-Trifluorobutyraldehyde 420-90-6,
3-Bromo-3,3-difluoropropene 434-45-7 446-36-6, 5-Fluoro-2-nitrophenol
446-52-6, 2-Fluorobenzaldehyde 447-61-0, 2-Trifluoromethylbenzaldehyde
454-89-7, 3-Trifluoromethylbenzaldehyde 456-48-4, 3-Fluorobenzaldehyde
459-57-4, 4-Fluorobenzaldehyde 460-40-2, 3,3,3-Trifluoropropanal
492-41-1 498-60-2, 3-Furaldehyde 498-62-4, Thiophene-3-carboxaldehyde
498-94-2, 4-Piperidinecarboxylic acid 498-95-3, 3-Piperidinecarboxylic
acid 503-29-7, Azetidine 513-49-5 527-69-5, Furan-2-carbonyl
chloride 529-20-4, 2-Methylbenzaldehyde 534-22-5, 2-Methylfuran
535-75-1, 2-Piperidinecarboxylic acid 543-82-8 554-14-3,
2-Methylthiophene 567-61-3, 2-Hydroxy-6-methylbenzoic acid 570-23-0,
3-Amino-2-hydroxybenzoic acid 585-32-0, Benzenemethanamine,
.alpha.,.alpha.-dimethyl- 585-70-6, 5-Bromo-2-furoic acid 587-04-2,
3-Chlorobenzaldehyde 591-20-8, m-Bromophenol 591-31-1,
3-Methoxybenzaldehyde 594-19-4, tert-Butyllithium 594-39-8 598-74-3
606-18-8, 2-Amino-3-nitrobenzoic acid 611-20-1, 2-Cyanophenol
611-24-5, 2-(Methylamino)phenol 613-69-4, 2-Ethoxybenzaldehyde
616-24-0, 1-Ethylpropylamine 616-44-4, 3-Methylthiophene 617-89-0,
2-Furanmethylamine 618-27-9 618-36-0, ..alpha..-MethylBenzylamine
620-02-0 621-31-8 624-78-2, Ethylmethylamine 625-45-6, Methoxyacetic
acid 626-56-2, 3-Methylpiperidine 630-19-3, 2,2-Dimethylpropanal
651-70-7, 2-Trifluoroacetylthiophene 656-42-8 659-28-9,
4-Trifluoromethoxybenzaldehyde 698-63-5, 5-Nitrofuran-2-carboxaldehyde,
reactions 704-38-1 765-30-0, Cyclopropylamine 811-49-4, Ethyllithium
917-54-4, Methyllithium 920-39-8, Isopropylmagnesium bromide 925-90-6,
Ethylmagnesium bromide 927-77-5, Propylmagnesium bromide 930-27-8,
3-Methylfuran 931-15-7, cis-2-Aminocyclohexanol 931-50-0,
Cyclohexylmagnesium bromide 1003-03-8, Cyclopentylamine 1003-09-4,
2-Bromothiophene 1003-31-2, 2-Thiophenecarbonitrile 1011-11-6,
trans-2-Phenylcyclohexylamine 1068-55-9, Isopropylmagnesium chloride
1072-67-9, 3-Amino-5-methylisoxazole 1122-60-7, Nitrocyclohexane
1192-58-1, 2-Pyrrolecarboxaldehyde, 1-methyl- 1204-60-0,
3-Phenylbenzaldehyde 1423-26-3, 3-Trifluoromethylbenzeneboronic acid
1436-60-8, Ethyl cis-2-Aminocyclohexanecarboxylate 1436-61-9, Ethyl
trans-2-Aminocyclohexanecarboxylate 1484-84-0, 2-Piperidineethanol
1692-15-5, Pyridine-4-boronic acid 1692-25-7, Pyridine-3-boronic acid
1700-37-4, 3-(Phenylmethoxy)benzaldehyde 1722-12-9, 2-Chloropyrimidine
1730-25-2, 2-Propenylmagnesium bromide 1738-68-7 1857-20-1
1874-23-3, Methyl 5-nitro-2-furoate 1885-14-9, Phenyl chloroformate
1888-75-1, Isopropyllithium 1899-24-7, 5-Bromofuran-2-carboxaldehyde
2026-48-4 2032-35-1, Bromoacetaldehyde diethyl acetal 2039-67-0,
3-Methoxybenzeneethanamine 2133-40-6 2201-24-3, 1-
Phenylcyclohexylamine 2211-64-5, N-Hydroxycyclohexylamine 2402-95-1,
2-Chloropyridine N-oxide 2516-34-9, Cyclobutylamine 2562-38-1,
Nitrocyclopentane 2577-90-4 2627-86-3 2689-59-0, 2-Benzoylfuran
2759-28-6, N-Benzylpiperazine 2762-32-5, 2-Piperazinecarboxylic acid
2786-07-4, 2-Thienyllithium 2799-21-5 2941-20-0, ..alpha..-
EthylBenzylamine 2987-16-8, 3,3-Dimethylbutanal 3002-94-6,
Cyclopropyllithium 3082-64-2 3173-56-6, Benzyl isocyanate 3234-64-8
3433-37-2, 2-(Hydroxymethyl)piperidine 3544-24-9, Benzamide, 3-amino-
3674-13-3, Ethyl 2,3-dibromopropionate 3694-52-8, 3-Nitro-1,2-
phenylenediamine 3731-53-1, 4-Pyridinylmethylamine 3789-59-1
3886-69-9 4083-57-2 4138-26-5, 3-Piperidinecarboxamide 4265-16-1,
Benzofuran-2-carboxaldehyde 4276-09-9, D-Valinol 4333-56-6,
Cyclopropyl bromide 4418-61-5, 1H-Tetrazol-5-amine 4543-47-9,
3-Furanmethanamine 4606-65-9, 3-Piperidinemethanol 4747-21-1,
N-Methyl-N-isopropylamine 5006-62-2 5222-73-1, Dimethyl squarate
5231-87-8, Diethyl squarate 5271-67-0, 2-Thiophenecarbonyl chloride
5333-83-5, 2-Butanoylthiophene 5382-16-1, 4-Hydroxypiperidine
5452-35-7, Cycloheptylamine 5473-12-1 5680-79-5, Glycine methyl ester

hydrochloride 5691-15-6, cis-2-Aminocyclohexanemethanol 5691-21-4,
trans-2-Aminocyclohexanemethanol 5779-95-3, 3,5-Dimethylbenzaldehyde
5834-16-2, 3-Methylthiophene-2-carboxaldehyde 5856-62-2,
(S)-2-Amino-1-butanol 5856-63-3, (R)-2-Amino-1-butanol 5913-13-3
5973-71-7, 3,4-Dimethylbenzaldehyde 6193-47-1, 2-
(Cyclopropylcarbonyl)thiophene 6250-76-6 6287-38-3,
3,4-Dichlorobenzaldehyde 6321-23-9, 4-Methylcyclohexylamine 6542-60-5,
Cyclopropylacetonitrile 6662-17-5 6859-99-0, 3-Piperidinol
6921-34-2, Benzylmagnesium chloride 6973-60-0, 1-Methyl-2-
pyrrolecarboxylic acid 6982-39-4, trans-2-Aminocyclohexanol 7003-32-9,
2-Methylcyclohexylamine 7051-34-5, Cyclopropylmethyl bromide
7210-75-5, 2-Benzoylthiazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT 7283-96-7, 5-Chlorothiophene-2-carboxaldehyde 7311-34-4,
3,5-Dimethoxybenzaldehyde 10200-59-6, Thiazole-2-carboxaldehyde
10203-08-4, 3,5-Dichlorobenzaldehyde 10242-08-7, 5-Methoxybenzofuran-2-
carboxylic acid 10242-10-1, 5-Chlorobenzofuran-2-carboxylic acid
10277-74-4 13250-12-9 13349-82-1, 1-(2-(2-
Hydroxyethoxy)ethyl)piperazine 13515-93-0, N-MethylGlycine methyl ester
hydrochloride 13679-70-4, 5-Methylthiophene-2-carboxaldehyde
13679-75-9, 1-(2-Thienyl)-1-propanone 13734-41-3 13889-98-0,
1-Acetylpiperazine 13952-84-6, 2-Butanamine 14321-27-8,
N-Benzyl-N-ethylamine 14338-36-4, Benzeneacetic acid, 3-amino-
14738-68-2 15231-41-1 15433-83-7, 5-Dimethylaminomethylfuran-2-
carboxaldehyde 16114-47-9, 3,5-Dimethylisoxazole-4-boronic acid
16466-97-0, 1-Propynylmagnesium bromide 16596-41-1, 1-Pyrrolidinamine
16751-59-0, 4-Heptanamine 17249-80-8, 3-Chlorothiophene 17573-92-1,
3-Methoxythiophene 17766-28-8, 1-Cyclohexylpiperazine 19524-06-2,
4-Bromopyridine hydrochloride 19615-48-6, 3-Furancarboxylic acid,
5-formyl-2-methyl-, ethyl ester 20173-04-0 20409-48-7 20980-22-7,
1-(Pyrimidin-2-yl)piperazine 20989-17-7 21443-96-9, 7-Aminoindazole
21685-51-8 21744-88-7, 1-Phenylcyclopropanecarboxaldehyde 21906-17-2
21921-76-6, 4-Bromofuran-2-carboxaldehyde 22078-59-7,
2-Furancarboxaldehyde, 5-(3-chlorophenyl)- 22095-34-7,
1-(2-Furanyl)ethylamine 22147-09-7, cis-2-Phenylcyclohexylamine
22374-89-6 22526-46-1, (S)-3-Methyl-2-butylamine 22526-47-2
22838-58-0 23074-10-4, 5-Ethylfuran-2-carboxaldehyde 23095-05-8,
5-Bromo-2-methoxybenzenesulfonyl chloride 23356-96-9 23357-46-2
23357-52-0 24247-77-6, 2,2-Dimethylcyclohexylamine 24962-75-2
27757-85-3, 2-Thienylmethylamine 27757-86-4, 3-Thienylmethylamine
27948-38-5 28022-43-7, Benzenemethanamine, 4-chloro-..alpha..-phenyl-
28292-43-5 29138-64-5 29668-44-8, 1,4-Benzodioxane-6-carboxaldehyde
30084-91-4, 5-Indanecarboxaldehyde 30389-18-5 30543-88-5,
Benzeneethanamine, .alpha.-ethyl- 30543-89-6 30543-90-9 32085-88-4,
3,5-Difluorobenzaldehyde 33208-98-9 33240-34-5, Cyclopentylmagnesium
bromide 33322-60-0 34035-04-6 34328-61-5, 3-Chloro-4-
fluorobenzaldehyde 34566-04-6 34566-05-7 34592-47-7 34701-33-2
34803-66-2, 1-(Pyridin-2-yl)piperazine 35320-23-1 37143-52-5
37577-28-9 37798-05-3, 2-Benzofuranmethanamine 38118-79-5
39515-51-0, 3-Phenoxybenzaldehyde 39890-42-1 40114-49-6,
N-Benzylpiperid-3-one 40172-95-0, 1-(Furan-2-ylcarbonyl)piperazine
41049-53-0, 1-Phenylcyclopropylamine 42142-52-9 42142-55-2
42195-92-6, 2,3-Dimethylcyclohexylamine 43189-45-3, L-.alpha.-(2-
Thienyl)glycine 44745-29-1 45347-82-8, 3-Hydroxyazetidine
50392-78-4, 1-(4-Pyridinyl)ethylamine 52130-30-0, 2-Furancarboxaldehyde,
5-(3-trifluoromethylphenyl)- 52480-43-0, 4,5-Dimethylfuran-2-
carboxaldehyde 52771-21-8, 3-Trifluoromethoxybenzaldehyde 54542-13-1
55661-33-1, (2-Thiazolyl)methylamine 55745-96-5, 2,3-Dihydrobenzofuran-6-
carboxaldehyde 56286-73-8, 5-Trifluoromethylfuran-2-carboxylic acid
57260-67-0, 1-(3,4-Dichlorophenyl)piperazine 57260-71-6,
N-Boc-piperazine 57699-45-3, 4-tert-Butoxybenzaldehyde 59260-76-3,
trans-2-Aminocyclopentanol 59413-60-4, 4-tert-Butylfuran-2-
carboxaldehyde 59915-99-0, 1-(2-Furanyl)propylamine 60289-68-1,
1-(4-Pyridinyl)propylamine 62254-74-4, 5-Methylisoxazole-3-
carboxaldehyde 62348-13-4, Isoxazole-5-carboxylic acid chloride
63493-28-7, 2-Pentanamine 64270-99-1 64271-00-7 64951-50-4
66228-31-7 66399-30-2 66414-02-6, 4-Ethylfuran-2-carboxaldehyde
68005-54-9 68820-12-2 68832-13-3 70039-64-4 70419-10-2
70419-11-3 70753-36-5, 3-Methylisoxazole-5-carboxaldehyde 77873-76-8,
3-Morpholinecarboxylic acid 79852-25-8, 2-Cyclohexylcarbonylthiophene
80864-16-0 80866-91-7, 2-Bromopyridine N-oxide hydrochloride
81097-48-5 84547-84-2 91298-74-7 94098-56-3, 2-Furancarboxaldehyde,
5-(2-trifluoromethylphenyl)- 94651-33-9, 2-Trifluoromethoxybenzaldehyde
95201-93-7 98454-43-4 99636-32-5 99636-38-1 100243-39-8,
(S)-3-Pyrrolidinol 103003-01-6, 2-Morpholinemethanol 104706-47-0

110013-19-9 110480-86-9 110480-87-0 114745-45-8, Ethyl
cis-2-Aminocyclopentanecarboxylate 114853-61-1 119692-41-0,
2-Methoxy-6-trifluoromethylbenzoic acid 128796-39-4,
4-Trifluoromethylbenzeneboronic acid 132523-44-5 135427-08-6,
4-Fluoro-3-methylbenzaldehyde 142559-11-3 147701-78-8 152932-57-5,
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3-Fluoro-4-methylbenzaldehyde 180736-67-8 181657-57-8 184637-48-7
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RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT **6739-22-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

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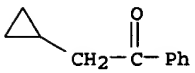
CN Ethanone, 2-cyclopropyl-1-phenyl- (9CI) (CA INDEX NAME)



L42 ANSWER 7 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:589253 HCAPLUS
DN 141:123513
ED Entered STN: 23 Jul 2004
TI 2-piperidone derivatives as prostaglandin agonists
IN Elworthy, Todd Richard
PA USA
SO U.S. Pat. Appl. Publ., 26 pp.
CODEN: USXXCO
DT **Patent**
LA English
IC ICM C07D043-02
ICS A61K031-454; A61K031-445; C07D211-40
NCL 514317000; 514326000; 546210000; 546216000
CC 26-3 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1, 27, 63
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004142969	A1	20040722	US 2004-754117	20040108 <--
WO 2004063158	A1	20040729	WO 2004-EP8	20040102 <--

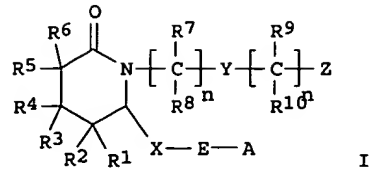
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ

PRAI US 2003-439152P P 20030110 <--

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004142969	ICM	C07D043-02
	ICS	A61K031-454; A61K031-445; C07D211-40
	NCL	514317000; 514326000; 546210000; 546216000

OS MARPAT 141:123513
GI



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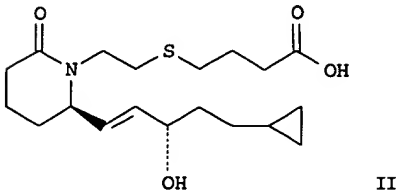


II

AB 2-Piperidone derivs. I (n = 0-4; A = alkyl, aryl, heteroaryl, arylalkyl, arylcycloalkyl, cycloalkylalkyl, aryloxyalkyl; E = CHOH, or C(O); Y = CH2, CH:CH, arylene, heteroarylene, O, S(O)p (p = 0-2), NRa (Ra = H, alkyl); Z = CH2OH, CHO, tetrazole-5-yl, COORb (Rb = H, alkyl); R1, R2, R3, R4, R5, R6, R7, R8, R9, R10 = H, alkyl) and pharmaceutically acceptable salts, solvates, prodrugs, single isomers or racemic or non-racemic mixture of isomers thereof were prepared as selective prostaglandin EP4 agonists for the treatment of associated diseases. Thus, 6R-(1-ethoxy-ethoxymethyl)piperidin-2-one was treated with NaH,a nd 2-bromoethanol triisopropylsilyl ether, followed by pyridinium p-toluene sulfonic acid to give the alc. The alc. was oxidized to the aldehyde using Swern conditions, and treatment of the aldehyde with (4-cyclopropyl-2-oxobutyl)phosphonic acid di-Me ester gave the alkene. Reduction of the ketone using (R)-2-methyl-CBS-oxazaborolidine followed by deprotection of the silylether gave the primary alc. Treatment of the alc. with .gamma.-thiobutyrolactone gave the Me ester which was treated with NaOH to give the desired II. The invention also provides methods for preparing, compns. comprising, and methods for using compds. of formula I.

ST piperidone deriv prostaglandin EP4 agonist prepn; immunol disease asthma neuronal cell death treatment; thrombosis stroke hepatopathy abortion sexual dysfunction treatment; premature birth inflammation rheumatoid arthritis treatment; retinal neuropathy disorder hypertension fertility treatment; blood clotting disorder renal dysfunction treatment; dry eye ichthyosis glaucoma sleep disorder treatment; gastric ulcer preterm labor dysmenorrhea treatment; preeclampsia eclampsia eosinophil disorder treatment

IT Nerve, disease
(death, treatment of; preparation of 2-piperidone derivs. as selective prostaglandin EP4 agonists for the treatment of associated diseases)

IT Prostaglandins
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(derivs.; preparation of 2-piperidone derivs. as selective prostaglandin EP4 agonists for the treatment of associated diseases)

IT Blood coagulation
Sexual behavior
Sleep
(disorder, treatment of; preparation of 2-piperidone derivs. as selective prostaglandin EP4 agonists for the treatment of associated diseases)

IT Eye, disease
(dry, treatment of; preparation of 2-piperidone derivs. as selective prostaglandin EP4 agonists for the treatment of associated diseases)

IT Skin, disease
(ichthyosis, treatment of; preparation of 2-piperidone derivs. as selective prostaglandin EP4 agonists for the treatment of associated diseases)

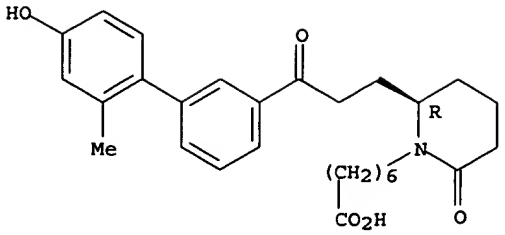
IT Cell death
(neuron, treatment of; preparation of 2-piperidone derivs. as selective prostaglandin EP4 agonists for the treatment of associated diseases)

IT Parturition
(premature, treatment of; preparation of 2-piperidone derivs. as selective prostaglandin EP4 agonists for the treatment of associated diseases)

IT Asymmetric synthesis and induction
Drug delivery systems
(preparation of 2-piperidone derivs. as selective prostaglandin EP4 agonists

for the treatment of associated diseases)
IT Brain, disease
(stroke, treatment of; preparation of 2-piperidone derivs. as selective prostaglandin EP4 agonists for the treatment of associated diseases)
IT Abortion
Asthma
Bone, disease
Dysmenorrhea
Fertility
Glaucoma (disease)
Hypertension
Inflammation
Kidney, disease
Liver, disease
Osteoporosis
Preeclampsia
Rheumatoid arthritis
Thrombosis
(treatment of; preparation of 2-piperidone derivs. as selective prostaglandin EP4 agonists for the treatment of associated diseases)
IT Prostanoid receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(type EP4; preparation of 2-piperidone derivs. as selective prostaglandin EP4 agonists for the treatment of associated diseases)
IT Stomach, disease
(ulcer, treatment of; preparation of 2-piperidone derivs. as selective prostaglandin EP4 agonists for the treatment of associated diseases)
IT 724705-66-2P 724705-67-3P 724705-68-4P 724705-69-5P 724705-70-8P
724705-71-9P 724705-72-0P 724705-73-1P 724705-74-2P 724705-75-3P
724705-76-4P **724705-77-5P** 724705-78-6P 724705-79-7P
724705-80-0P 724705-81-1P 724705-82-2P 724705-83-3P 724705-84-4P
724705-85-5P 724705-86-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-piperidone derivs. as selective prostaglandin EP4 agonists for the treatment of associated diseases)
IT 99-06-9, 3-Hydroxybenzoic acid, reactions 107-04-0, 1-Bromo-2-chloroethane 768-35-4, 3-Fluorophenylboronic acid 1003-10-7, .gamma.-Thiobutyrolactone 1577-22-6, 5-Hexenoic acid 4202-14-6, Dimethyl(2-oxopropyl)phosphonate 4333-56-6, Bromocyclopropane 7620-28-2 13095-73-3, 4-Mercaptobutyric acid 39746-15-1 40665-68-7 77265-67-9, Methyl 4-(2-aminoethyl)benzoate 256382-39-5 425638-79-5 492471-53-1 493036-05-8 493036-09-2 540731-27-9 695231-47-1 695231-49-3 724705-87-7 724705-88-8 724705-89-9 724705-90-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2-piperidone derivs. as selective prostaglandin EP4 agonists for the treatment of associated diseases)
IT 183890-34-8P 492471-42-8P 492471-75-7P 492471-76-8P 724705-91-3P
724705-92-4P 724705-93-5P 724705-94-6P 724705-95-7P 724705-96-8P
724705-97-9P 724705-98-0P 724705-99-1P 724706-00-7P 724706-01-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-piperidone derivs. as selective prostaglandin EP4 agonists for the treatment of associated diseases)
IT **724705-77-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-piperidone derivs. as selective prostaglandin EP4 agonists for the treatment of associated diseases)
RN 724705-77-5 HCAPLUS
CN 1-Piperidineheptanoic acid, 2-[3-(4'-hydroxy-2'-methyl[1,1'-biphenyl]-3-yl)-3-oxopropyl]-6-oxo-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L42 ANSWER 8 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:513326 HCAPLUS
DN 141:71353
ED Entered STN: 25 Jun 2004
TI Preparation of phenylacrylamides and phenylpropanamides as activators of soluble guanylate cyclase
IN Anderson, Steven N.; Bhatia, Pramila; Kolasa, Teodozyj; Nakane, Masaki; Patel, Meena V.; Rohde, Jeffrey J.; Xia, Zhiren; Zhang, Henry Q.
PA USA
SO U.S. Pat. Appl. Publ., 34 pp.
CODEN: USXXCO
DT Patent
LA English
IC ICM A61K031-55
ICS A61K031-541; A61K031-5377; A61K031-496; A61K031-495; A61K031-4545; A61K031-4439
NCL 514183000; 514217040; 514227800; 514235200; 514253010; 514317000; 514318000; 514210200; 514341000; 540597000
CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 63
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004121994	A1	20040624	US 2002-325297	20021220 <--
WO 2004060859	A2	20040722	WO 2003-US38906	20031208 <--
WO 2004060859	A3	20040902		

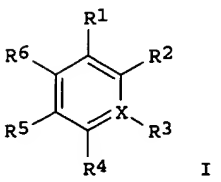
W: CA, JP, MX, PL
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR

PRAI US 2002-325297 A 20021220 <--

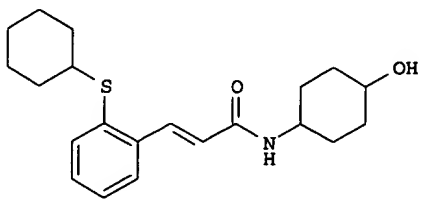
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004121994	ICM	A61K031-55
	ICS	A61K031-541; A61K031-5377; A61K031-496; A61K031-495; A61K031-4545; A61K031-4439
	NCL	514183000; 514217040; 514227800; 514235200; 514253010; 514317000; 514318000; 514210200; 514341000; 540597000
US 2004121994	ECLA	C07C323/62; C07D211/16; C07D211/34; C07D211/42; C07D211/46; C07D211/62; C07D213/70B <--

OS MARPAT 141:71353
GI



I



II

AB Title compds. I [wherein X = C, N; R1 = (NR7R8)carbonylalkyl, (NR7R8)carbonylalkenyl; R2 = (cyclo)alkoxy, (cyclo)alkylthio, aryloxy, arylthio; with proviso; R3 = absent or H, alkenyl, alkoxy(carbonyl), alkyl(carbonyl), alkylthio, carboxy, CN, haloalkoxy, haloalkyl, halo,

hydroxy(alkyl), mercapto(alkyl), NO2, NR9R10(carbonyl); R4-R6 = independently H, alkenyl, alkoxy(carbonyl), alkyl(carbonyl), alkylthio, carboxy, CN, haloalkoxy, haloalkyl, halo, hydroxy(alkyl), mercapto(alkyl), NO2, NR9R10(carbonyl); R7 and R8= independently H, (hydroxy)alkyl, aryl(alkyl), cycloalkyl(alkyl), heterocyclyl(alkyl), (NHR11)alkyl; or NR7R8 = (un)substituted heterocyclyl; R9 and R10 = independently H, alkyl; R11 = H, alkoxy, alkyl(sulfonyl); and pharmaceutically acceptable salts, esters, amides, or prodrugs thereof] were prepared as soluble guanylate cyclase (sGC) activators for increasing cGMP levels in a mammal. For example, (diethoxyphosphoryl)acetic acid was combined with dicyclohexylcarbodiimide, N'-methylpolystyrene, and HOBt in DMA/DCM and treated with 4-aminocyclohexanol to give 2-[(4-hydroxycyclohexyl)amino]-2-oxoethylphosphonate. Reaction of the phosphonate with 2-(cyclohexylthio)benzaldehyde provided the acrylamide (E)-II. In a guanylate cyclase assay measuring the formation of cyclic GMP from GTP, the latter exhibited a mean basal efficacy of 353% at 100 .mu.M, a mean efficacy of 506% when combined with 1 .mu.M of sodium nitro prusside (SNP), and a mean activation of 7.9 at 100 .mu.M. Results of the GC assay show that compds. of the invention potentiate the activation of sGC by nitric oxide (NO), resulting in increased levels of cGMP. Thus, I and their pharmaceutical compns. are useful for treating disorders ameliorated by increasing cGMP levels, such as sexual dysfunction, angina pectoris, diastolic dysfunction, benign prostatic hyperplasia (BPH), incontinence, endothelial dysfunction, thrombosis, diabetes, liver cirrhosis, cognitive disorders, Alzheimer's disease, anxiety, stress, depression, sleep disorders, migraine, cerebral ischemia, brain trauma, pain, and memory and learning disorders (no data).

ST phenyl acrylamide propanamide prepn guanylate cyclase activator; phenylacrylamide phenylpropanamide prepn sGC activator sexual dysfunction treatment; cardiovascular antithrombotic antidiabetic CNS agent phenylacrylamide phenylpropanamide prepn

IT Proteins
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(GCAP (guanylate cyclase-activating protein); preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Heart, disease
(angina pectoris; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Antiarteriosclerotics
(antiatherosclerotics; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT **Prostate gland, disease**
(benign **hyperplasia**; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Mental disorder
(cognitive; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Adrenoceptor antagonists
Dopamine agonists
(combination therapy; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Mental disorder
(depression; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Blood pressure
(diastolic, dysfunction; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Cognition
Learning
Memory, biological
Sexual behavior
Sleep
(disorder; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Blood vessel, disease

(endothelium; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Sexual behavior
(impotence; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT **Bladder, disease**
(**incontinence**; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Brain, disease
(ischemia; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Headache
(migraine; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Alzheimer's disease
Analgesics
Anti-Alzheimer's agents
Anti-ischemic agents
Antianginal agents
Anticoagulants
Antidepressants
Antidiabetic agents
Antimigraine agents
Anxiety
Anxiolytics
Atherosclerosis
Cardiovascular agents
Cardiovascular system, disease
Cirrhosis
Cognition enhancers
Diabetes mellitus
Drug delivery systems
Hypnotics and Sedatives
Pain
Stress, biological
Thrombolytics
Thrombosis
(preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Drug delivery systems
(prodrugs; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT Brain, disease
(trauma; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT 9068-52-4, Phosphodiesterase 5
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors, combination therapy; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT 955-63-5P, 2-[(4-Chlorophenyl)thio]-6-methylnicotinonitrile 41932-35-8P, 1-[2-[(4-Chlorophenyl)thio]phenyl]ethanone 62351-50-2P, 2-[(4-Methylphenyl)thio]benzaldehyde 74801-39-1P, 3-[2-[(4-Chlorophenyl)thio]phenyl]propanoic acid 280752-46-7P, 2-[(2,4-Dichlorophenyl)thio]benzaldehyde 280752-47-8P, (2E)-3-[2-[(2,4-Dichlorophenyl)thio]phenyl]-2-propenoic acid 710959-93-6P, Ethyl 3-[2-[(4-chlorophenyl)thio]phenyl]acrylate 710959-95-8P, Methyl 3-[2-[(4-chlorophenyl)thio]phenyl]propanoate 710960-09-1P, 2-[(4-Chlorophenyl)thio]-3-fluorobenzaldehyde 710960-13-7P, 2-[(4-Chlorophenyl)thio]-5-fluorobenzaldehyde 710960-17-1P, 3-[2-[(4-Chlorophenyl)thio]phenyl]acrylic acid 710960-19-3P, 1-[3-[2-[(4-Chlorophenyl)thio]phenyl]-2-propenoyl]-2-pyrrolidinone 710960-21-7P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(4-hydroxypentyl)-2-propenamide 710960-26-2P, Ethyl 3-[2-[(4-chlorophenyl)thio]phenyl]-2-butenoate 710960-28-4P, (E)-3-[2-[(4-Chlorophenyl)thio]phenyl]-2-butenoate 710960-30-8P, (Z)-3-[2-[(4-Chlorophenyl)thio]phenyl]-2-butenoate 710960-32-0P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-2-butenoic acid 710960-34-2P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-methyl-N-(1-methyl-4-piperidinyl)-2-

butenamide 710960-45-5P 710960-74-0P, 2-[(4-Chlorophenyl)thio]-6-methylnicotinaldehyde 710960-78-4P, Ethyl (2E)-3-[2-[(2,4-dichlorophenyl)thio]phenyl]-2-propenoate 710961-05-0P, 2-[(4-Chlorophenyl)thio]-6-fluorobenzaldehyde 710961-10-7P, 3-(2-Bromophenyl)-N-(4-hydroxybutyl)-2-propenamide 710961-37-8P, 2-[(2,4-Dimethylphenyl)thio]benzaldehyde 710961-42-5P, 2-[(3-Methylbutyl)thio]benzaldehyde 710961-44-7P, (2E)-3-[2-[(3-Methylbutyl)thio]phenyl]-2-propenoic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT 86-01-1, GTP 7665-99-8, CGMP 9054-75-5, Guanylate cyclase 10102-43-9, Nitric oxide, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT 78-96-6, 1-Amino-2-propanol 106-45-6, 4-Methylbenzenethiol 106-54-7, 4-Chlorobenzenethiol 109-73-9, 1-Butanamine, reactions 156-87-6, 3-Amino-1-propanol 372-66-7, 6-Amino-2-methyl-2-heptanol 437-81-0, 2,6-Difluorobenzaldehyde 446-52-6, 2-Fluorobenzaldehyde 541-31-1, 3-Methyl-1-butanethiol 552-89-6, 2-Nitrobenzaldehyde **614-21-1**, 2-Nitroacetophenone 616-45-5, 2-Pyrrolidinone 622-26-4, 2-(4-Piperidinyl)ethanol 696-63-9, 4-Methoxybenzenethiol 867-13-0, Triethyl phosphonoacetate 1122-41-4, 2,4-Dichlorobenzenethiol 2508-29-4, 5-Amino-1-pentanol 2646-90-4, 2,5-Difluorobenzaldehyde 2646-91-5, 2,3-Difluorobenzaldehyde 3095-95-2, (Diethoxyphosphoryl)acetic acid 5382-16-1, 4-Piperidinol 6850-38-0, 2-Aminocyclohexanol 6850-65-3, 4-Aminocyclohexanol 6859-99-0, 3-Piperidinol 7345-79-1, (2E)-3-(2-Bromophenyl)-2-propenoic acid 13258-63-4, 4-(2-Aminoethyl)pyridine 13325-10-5, 4-Amino-1-butanol 13552-21-1, 1-Amino-2-butanol 13616-82-5, 2,4-Dimethylbenzenethiol 28900-10-9, 2-Chloro-3-cyano-6-methylpyridine 36943-39-2, 2-(Phenylthio)benzaldehyde 39546-32-2, 4-Piperidinecarboxamide 39884-48-5, 4-Amino-2-butanol 53606-32-9, 2-(Isopropylthio)benzaldehyde 73579-08-5, 1-Methyl-4-(methylamino)piperidine 90133-56-5, 2-[(3-Methylphenyl)thio]benzaldehyde 107572-07-6, 2-[(4-Chlorophenyl)thio]benzaldehyde 127905-37-7, 2-[(3-Methoxyphenyl)thio]benzaldehyde 128958-85-0, 2-[(4-Methoxyphenyl)thio]benzaldehyde 319454-93-8, 5-Methoxy-2-[(4-methylphenyl)thio]benzaldehyde 338982-20-0, 2-[(4-Methylphenyl)thio]nicotinaldehyde 338982-28-8, 2-[(4-Chlorophenyl)thio]nicotinaldehyde 338982-29-9, 2-[(2,4-Dichlorophenyl)thio]nicotinaldehyde 338982-30-2, 2-[(4-Bromophenyl)thio]nicotinaldehyde 338982-31-3, 2-(Phenylthio)nicotinaldehyde 338982-32-4, 2-[(2-Chlorophenyl)thio]nicotinaldehyde 503065-08-5, 2-(Cyclohexylthio)benzaldehyde 643763-14-8, 2-[(4-Fluorophenyl)thio]benzaldehyde 643763-25-1, 2-(Cyclopentylthio)benzaldehyde 643763-27-3, 2-(Isobutylthio)benzaldehyde 710960-62-6 710960-70-6, 2-(Pentylthio)benzaldehyde
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT 713131-93-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(sGC activator; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT 710959-91-4P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(4-hydroxybutyl)propanamide 710959-98-1P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(5-hydroxy-1,5-dimethylhexyl)propanamide 710960-00-2P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(5-hydroxypentyl)propanamide 710960-01-3P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(4-hydroxycyclohexyl)propanamide 710960-03-5P, N-(4-Hydroxybutyl)-3-[2-[(4-methylphenyl)thio]phenyl]propanamide 710960-05-7P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-[4-[(methylsulfonyl)amino]butyl]propanamide 710960-07-9P, 3-[2-[(4-Chlorophenyl)thio]-3-fluorophenyl]-N-(4-hydroxybutyl)propanamide 710960-11-5P, 3-[2-[(4-Chlorophenyl)thio]-5-fluorophenyl]-N-(4-hydroxybutyl)propanamide 710960-15-9P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(4-hydroxypentyl)propanamide 710960-23-9P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-methyl-N-(1-methyl-4-

piperidinyl)butanamide 710960-36-4P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-[2-(4-pyridinyl)ethyl]butanamide 710960-38-6P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-[4-(methoxyamino)butyl]propanamide 710960-40-0P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-[4-(methylamino)butyl]propanamide 710960-42-2P, 3-[2-[(4-Chlorophenyl)thio]phenyl]-N-[5-(methylamino)pentyl]propanamide 710960-57-9P 710960-60-4P 710960-64-8P 710960-76-2P, (2E)-3-[2-[(2,4-Dichlorophenyl)thio]phenyl]-N-(4-hydroxybutyl)-2-propenamide 710960-83-1P, (2E)-3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(5-hydroxy-1,5-dimethylhexyl)-2-propenamide 710960-85-3P, (2E)-3-[2-[(4-Chlorophenyl)thio]phenyl]-N-ethyl-2-propenamide 710960-87-5P, (2E)-N-Butyl-3-[2-[(4-chlorophenyl)thio]phenyl]-2-propenamide 710960-89-7P, (2E)-3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(4-hydroxybutyl)-2-propenamide 710960-91-1P, (2E)-3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(5-hydroxypentyl)-2-propenamide 710960-93-3P, (2E)-N-(4-Hydroxybutyl)-3-[2-[(4-methylphenyl)thio]phenyl]-2-propenamide 710960-95-5P, (2E)-3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(2-hydroxypropyl)-2-propenamide 710960-97-7P, (2E)-3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(3-hydroxybutyl)-2-propenamide 710960-99-9P, (2E)-3-[2-[(4-Chlorophenyl)thio]phenyl]-N-(2-hydroxybutyl)-2-propenamide 710961-01-6P 710961-03-8P, (2E)-3-[2-[(4-Chlorophenyl)thio]-6-fluorophenyl]-N-(4-hydroxybutyl)-2-propenamide 710961-08-3P, (2E)-N-(4-Hydroxybutyl)-3-[2-[(4-methoxyphenyl)thio]phenyl]-2-propenamide 710961-22-1P 710961-24-3P 710961-26-5P 710961-28-7P 710961-30-1P 710961-35-6P, (2E)-3-[2-[(2,4-Dimethylphenyl)thio]phenyl]-N-(5-hydroxy-1,5-dimethylhexyl)-2-propenamide 710961-39-0P, (2E)-3-[2-[(4-Chlorophenyl)thio]-5-fluorophenyl]-N-(4-hydroxybutyl)-2-propenamide 710961-46-9P 710961-48-1P, (2E)-N-(3-Hydroxypropyl)-3-[2-[(3-methylbutyl)thio]phenyl]-2-propenamide 710961-51-6P, (2E)-N-(4-Hydroxybutyl)-3-[2-[(3-methylbutyl)thio]phenyl]-2-propenamide 710961-53-8P, (2E)-N-(5-Hydroxypentyl)-3-[2-[(3-methylbutyl)thio]phenyl]-2-propenamide 710961-55-0P, 1-[(2E)-3-[2-[(3-Methylbutyl)thio]phenyl]-2-propenoyl]-4-piperidinol 710961-57-2P, 1-[(2E)-3-[2-[(3-Methylbutyl)thio]phenyl]-2-propenoyl]-3-piperidinol 710961-59-4P, 2-[1-[(2E)-3-[2-[(3-Methylbutyl)thio]phenyl]-2-propenoyl]-4-piperidinyl]ethanol 710961-61-8P, 1-[(2E)-3-[2-[(3-Methylbutyl)thio]phenyl]-2-propenoyl]-4-piperidinecarboxamide 713131-92-1P 713131-94-3P 713131-95-4P 713131-96-5P 713131-97-6P 713131-98-7P 713131-99-8P 713132-00-4P 713132-01-5P 713132-02-6P 713132-03-7P 713132-04-8P 713132-05-9P 713132-06-0P 713132-07-1P 713132-08-2P 713132-09-3P 713132-10-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(sGC activator; preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

IT **614-21-1**, 2-Nitroacetophenone
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of phenylacrylamides and phenylpropanamides as activators of sGC for treatment of disorders ameliorated by increasing cGMP levels)

RN 614-21-1 HCAPLUS
CN Ethanone, 2-nitro-1-phenyl- (9CI) (CA INDEX NAME)

L42 ANSWER 9 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:451668 HCAPLUS
DN 141:23213
ED Entered STN: 04 Jun 2004
TI Preparation of 3,4-di-substituted cyclobutene-1,2-diones as CXC-chemokine receptor ligands
IN Taveras, Arthur G.; Aki, Cynthia J.; Bond, Richard W.; Chao, Jianping; Dwyer, Michael; Ferreira, Johan A.; Chao, Jianhua; Yu, Younong; Baldwin, John J.; Kaiser, Bernd; Li, Ge; Merritt, J. Robert; Biju, Purakkattle J.; Nelson, Kingsley H.; Rokosz, Laura L.
PA Schering Corporation, USA
SO U.S. Pat. Appl. Publ., 331 pp., Cont.-in-part of U.S. Ser. No. 208,412.
CODEN: USXXCO
DT **Patent**
LA English

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IC   ICM  C07D333-36
     ICS  C07D333-42; C07D265-30; C07D403-02; C07D413-02; C07D405-02;
          C07D409-02
NCL  544162000; 546334000; 544387000; 544379000; 548530000; 564164000;
     544295000; 544360000; 548950000; 549068000
CC   24-3 (Alicyclic Compounds)
     Section cross-reference(s): 1, 25, 63
FAN.CNT 5
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     US 2004097547        A1    20040520    US 2002-208412         20020730 <--
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             ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
             MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE,
             SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA,
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         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
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     US 2004147559        A1    20040729    US 2003-630258         20030730 <--
PRAI US 2001-284026P      P     20010416  <--
     US 2002-122841       A2    20020415  <--
     US 2002-208412       A2    20020730  <--
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CLASS
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                         C07D405-02; C07D409-02
                  NCL    544162000; 546334000; 544387000; 544379000; 548530000;
                         564164000; 544295000; 544360000; 548950000; 549068000
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                         C07C255/59; C07C311/39; C07D207/32C4; C07D021/74;
                         C07D217/24; C07D295/22C2; C07D307/38C; C07D307/52;
                         C07D307/68; C07D307/81; C07D307/82B; C07D307/83;
                         C07D317/46; C07D319/18; C07D333/20; C07D333/36;
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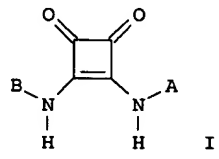

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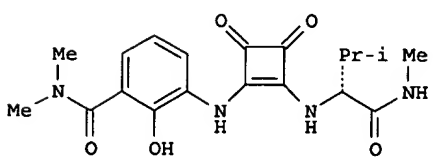

II

Search done by Noble Jarrell

AB Title compds. I [A = (un)substituted heterocycle, heterocyclylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, etc.; B = (un)substituted aryl, heteroaryl, heterocycle, heteroarylarene, etc.], or a pharmaceutically acceptable salt or solvate thereof, are prepared and disclosed as cxc-chemokine receptor ligands. Thus, II was prepared by substitution of (dimethylaminocarbonylhydroxyphenylamino)(ethoxy)cyclobutenedione [preparation given] with (R)-2-amino-N,3-dimethylbutanamide monohydrochloride [preparation given]. Compds. of the invention demonstrated an IC50 value of < 20 .mu.M in CXCR1 SPA assay and < 5 .mu.M in CXCR2 SPA assay. I are useful for the treatment of chemokine-mediated diseases such as acute and chronic inflammatory disorders and cancer.

ST cyclobutenedione prepn cxc chemokine receptor ligand antiinflammatory antitumor; alkylaminoarylamino cyclobutenedione stereoselective prepn cxc chemokine receptor ligand

IT Respiratory tract, disease
(Adult; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Neoplasm
(CNS tumor; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Chemokine receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(CXCR1; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Chemokine receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(CXCR2; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Intestine, disease
(Crohn's; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Sarcoma
(Kaposi's; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Hepatitis
(acute, acute alc. hepatitis; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Respiratory distress syndrome
(acute; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Liver, disease
(alc.; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Transplant rejection
(allotransplant; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Eye, disease
(angiogenic; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Antiarteriosclerotics
(antiatherosclerotics; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Cytotoxic agents
(antimetabolites, co-drugs; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands for treating cancer in combination with other anticancer agents)

IT Dermatitis
(atopic; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Bronchi, disease
(bronchiectasis; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Bronchi, disease
(bronchiolitis; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Burn
(burn therapy; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Stomach, neoplasm
(carcinoma; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Bronchi, disease
(chronic bronchitis; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Lung, disease

(chronic obstructive; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Alkylating agents, biological
(co-drugs; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands for treating cancer in combination with other anticancer agents)
IT Natural products
Steroids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(co-drugs; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands for treating cancer in combination with other anticancer agents)
IT Allergy
(delayed hypersensitivity; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Eye, disease
(diabetic retinopathy; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Meninges
(disease, subarachnoid hemorrhage; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Intestine, disease
(duodenum, ulcer; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Breathing (animal)
(dyspnea; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Transplant and Transplantation
(early transplantation; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Esophagus, disease
(esophagitis; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Lung, disease
(fibrosis; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Gingiva, disease
(gingivitis; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT **Kidney, disease**
(**glomerulonephritis**; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Tongue, disease
(glossitis; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Transplant and Transplantation
(graft-vs.-host reaction; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Sepsis
(gram neg.; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Skin, disease
(herpes; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Hormones, animal, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hormones and anti-hormones as co-drugs; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands for treating cancer in combination with other anticancer agents)
IT Respiratory tract, disease
(hyperresponsiveness; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Allergy
(hypersensitivity; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Hypoxia, animal
(hypoxemia; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Eye, disease
(inflammation; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Intestine, disease
(inflammatory; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Reperfusion
(injury; stereoselective preparation of disubstituted cyclobutenediones as

cxc-chemokine receptor ligands)
IT Lung, disease
(interstitial pneumonitis; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Brain, disease
Heart, disease
(ischemia; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Eye, disease
(macula, degeneration; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Angiogenesis
(neovascularization, eye; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Eye, disease
(neovascularization; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Lung, neoplasm
(non-small-cell carcinoma; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Pancreas, disease
(pancreatitis; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Periodontium, disease
(periodontitis; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Peritoneum, disease
(peritonitis, associated with CAPD; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Muscle, disease
(polymyositis; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Parturition
(premature; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Intestine, disease
(pseudomembranous enterocolitis; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Arthritis
(psoriatic arthritis; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Hypertension
(pulmonary; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT **Kidney**
(renal reperfusion **injury**; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Heart
(reperfusion injury; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Eye, disease
(retrolental fibroplasia; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Heart, disease
(right ventricle, hypertrophy; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Shock (circulatory collapse)
(septic; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Respiratory tract, disease
(sinusitis, chronic sinusitis; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT AIDS (disease)
Acne
Alzheimer's disease
Angiogenesis inhibitors
Anti-AIDS agents
Anti-Alzheimer's agents
Anti-inflammatory agents
Anti-ischemic agents
Antiarthritics
Antiasthmatics
Anticoagulants
Antihypertensives
Antimalarials
Antitumor agents

Antiulcer agents
Antiviral agents
Arteriosclerosis
Arthritis
Asthma
Asymmetric synthesis and induction
Atherosclerosis
Celiac disease
Common cold
Cough
Cystic fibrosis
Emphysema
Encephalitis
Gout
Herpesviridae
Human
Human respiratory syncytial virus
Hypercapnia
Hypoxia, animal
Immunosuppressants
Inflammation
Injury
Lupus erythematosus
Malaria
Melanoma
Meningitis
Multiple organ failure
Multiple sclerosis
Osteoarthritis
Pruritus
Psoriasis
Sarcoidosis
Strain
Thrombosis
(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Brain, disease
(stroke; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Lung, disease
(surgical lung volume reduction; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Shock (circulatory collapse)
(toxic shock syndrome; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Brain, disease
Injury
(trauma; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Stomach, disease
(ulcer; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Intestine, disease
(ulcerative colitis; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Blood vessel, disease
(vasculitis, CNS vasculitis; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Hepatitis
Infection
(viral; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Breathing (animal)
(wheezing; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Interleukin 8 receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(.alpha.; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Interleukin 8 receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(.beta.; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT 473729-73-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

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473728-28-8P 473728-29-9P 473728-30-2P 473728-31-3P 473728-32-4P
473728-33-5P 473728-34-6P 473728-35-7P 473728-36-8P 473728-37-9P
473728-38-0P 473728-39-1P 473728-40-4P 473728-41-5P 473728-42-6P
473728-43-7P 473728-44-8P 473728-45-9P 473728-46-0P 473728-47-1P
473728-48-2P 473728-49-3P 473728-50-6P 473728-51-7P 473728-52-8P
473728-53-9P 473728-54-0P 473728-55-1P 473728-56-2P 473728-57-3P
473728-58-4P 473728-59-5P 473728-60-8P 473728-61-9P 473728-62-0P

473728-63-1P 473728-64-2P 473728-65-3P 473728-66-4P 473728-67-5P
473728-68-6P 473728-69-7P 473728-70-0P 473728-71-1P 473728-72-2P
473728-73-3P 473728-74-4P 473728-75-5P 473728-76-6P 473728-77-7P
473728-78-8P 473728-79-9P 473728-80-2P 473728-81-3P 473728-82-4P
473728-83-5P 473728-84-6P 473728-85-7P 473728-86-8P 473728-87-9P
473728-88-0P 473728-89-1P 473728-90-4P 473728-91-5P 473728-92-6P
473728-93-7P 473728-94-8P 473728-95-9P 473728-96-0P 473728-97-1P
473728-98-2P 473728-99-3P 473729-00-9P 473729-01-0P 473729-02-1P
473729-03-2P 473729-04-3P 473729-05-4P 473729-06-5P 473729-07-6P
473729-08-7P 473729-09-8P 473729-10-1P 473729-11-2P 473729-12-3P
473729-13-4P 473729-14-5P 473729-15-6P 473729-16-7P 473729-17-8P
473729-18-9P 473729-19-0P 473729-20-3P 473729-21-4P 473729-22-5P
473729-23-6P 473729-24-7P 473729-25-8P 473729-26-9P 473729-27-0P
473729-28-1P 473729-29-2P 473729-30-5P 473729-31-6P 473729-32-7P
473729-33-8P 473729-34-9P 473729-35-0P 473729-36-1P 473729-37-2P
473729-38-3P 473729-39-4P 473729-40-7P 473729-41-8P 473729-42-9P
473729-43-0P 473729-44-1P 473729-45-2P 473729-46-3P 473729-47-4P
473729-48-5P 473729-49-6P 473729-50-9P 473729-51-0P 473729-52-1P
473729-53-2P 473729-54-3P 473729-55-4P 473729-56-5P 473729-57-6P
473729-58-7P 473729-59-8P 473729-60-1P 473729-61-2P 473729-62-3P
473729-63-4P 473729-64-5P 473729-65-6P 473729-66-7P 473729-67-8P
473729-68-9P 473729-69-0P 473729-70-3P 473729-71-4P 473729-72-5P
473729-74-7P 473729-75-8P 473729-76-9P 473729-77-0P 473729-78-1P
473729-79-2P 473729-80-5P 473729-81-6P 473729-82-7P 473729-83-8P
473729-84-9P 473729-85-0P 473729-86-1P 473729-87-2P 473729-88-3P
473729-89-4P 473729-90-7P 473729-91-8P 473729-92-9P 473729-93-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT 473729-94-1P 473729-95-2P 473729-96-3P 473729-97-4P 473729-98-5P
473729-99-6P 473730-00-6P 473730-01-7P 473730-02-8P 473730-03-9P
473730-04-0P 473730-05-1P 473730-06-2P 473730-07-3P 473730-08-4P
473730-09-5P 473730-10-8P 473730-11-9P 473730-12-0P 473730-13-1P
473730-14-2P 473730-15-3P 473730-16-4P 473730-17-5P 473730-18-6P
473730-19-7P 473730-20-0P 473730-21-1P 473730-22-2P 473730-23-3P
473730-24-4P 473730-25-5P 473730-26-6P 473730-27-7P 473730-28-8P
473730-29-9P 473730-30-2P 473730-31-3P 473730-32-4P 473730-33-5P
473730-35-7P 473730-36-8P 473730-37-9P 473730-38-0P 473730-39-1P
473730-40-4P 473730-41-5P 473730-42-6P 473730-43-7P 473730-44-8P
473730-45-9P 473730-46-0P 473730-47-1P 473730-48-2P 473730-49-3P
473730-50-6P 473730-51-7P 473730-52-8P 473730-53-9P 473730-54-0P
473730-55-1P 473730-56-2P 473730-57-3P 473730-58-4P 473730-59-5P
473730-60-8P 473730-61-9P 473730-62-0P 473730-63-1P 473730-64-2P
473730-65-3P 473730-66-4P 473730-67-5P 473730-69-7P 473730-70-0P
473730-71-1P 473730-72-2P 473730-73-3P 473730-74-4P 473730-75-5P
473730-76-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT 608537-96-8P 608537-97-9P 608537-98-0P 608537-99-1P 608538-00-7P
608538-01-8P 608538-02-9P 608538-03-0P 608538-04-1P 608538-05-2P
608538-06-3P 608538-07-4P 608538-08-5P 608538-09-6P 608538-10-9P
608538-11-0P 608538-12-1P 608538-13-2P 608538-14-3P 608538-15-4P
608538-16-5P 608538-17-6P 608538-18-7P 608538-19-8P 608538-20-1P
608538-21-2P 608538-24-5P 608538-26-7P 608538-27-8P 608538-28-9P
608538-29-0P 608538-32-5P 608538-33-6P 608538-34-7P 608538-35-8P
608538-36-9P 608538-37-0P 608538-39-2P 608538-40-5P 608538-41-6P
608538-42-7P 608538-43-8P 608538-51-8P 654680-52-1P 654681-04-6P
690637-01-5P 699010-32-7P 699010-33-8P 699010-42-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT 473734-35-9P 473734-50-8P 473738-60-2P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT 50-85-1 62-53-3, Benzenamine, reactions 67-36-7 67-47-0 67-63-0, 2-Propanol, reactions 75-31-0, 2-Propanamine, reactions 75-64-9, reactions 77-55-4 78-81-9 78-82-0 78-96-6 79-44-7 79-46-9

85-38-1 88-15-3 89-55-4 89-98-5 91-00-9 92-54-6 95-54-5,
1,2-Benzenediamine, reactions 95-55-6 98-01-1, 2-Furancarboxaldehyde,
reactions 98-03-3, 2-Thiophenecarboxaldehyde 98-09-9, Benzenesulfonyl
chloride 98-80-6 98-88-4, Benzoyl chloride 98-98-6,
2-Pyridinecarboxylic acid 99-03-6 99-05-8 99-09-2 100-46-9,
Benzenemethanamine, reactions 100-49-2, Cyclohexanemethanol 100-52-7,
Benzaldehyde, reactions 100-58-3 100-60-7 102-28-3 103-49-1,
Dibenzylamine 103-67-3 105-41-9 106-41-2 107-10-8, 1-Propanamine,
reactions 108-09-8 108-23-6 108-91-8, Cyclohexanamine, reactions
109-61-5 109-73-9, 1-Butanamine, reactions 109-83-1 110-73-6
110-78-1 110-85-0, Piperazine, reactions 110-89-4, Piperidine,
reactions 110-91-8, Morpholine, reactions 111-42-2, reactions
111-49-9 118-92-3 120-21-8 120-43-4 120-57-0, 1,3-Benzodioxole-5-
carboxaldehyde 121-47-1 121-92-6 122-09-8 122-98-5 123-11-5,
reactions 123-38-6, Propanal, reactions 123-75-1, Pyrrolidine,
reactions 123-82-0, 2-Heptanamine 124-68-5 135-00-2 140-28-3
142-25-6 321-14-2 344-25-2, D-Proline 349-43-9 406-87-1 420-90-6
434-45-7 446-36-6 446-52-6 447-61-0 454-89-7 456-48-4 459-57-4
460-40-2 492-41-1 498-60-2, 3-Furancarboxaldehyde 498-62-4,
3-Thiophenecarboxaldehyde 498-94-2, 4-Piperidinecarboxylic acid
498-95-3, 3-Piperidinecarboxylic acid 503-29-7, Azetidine 513-49-5
527-69-5, 2-Furancarbonyl chloride 529-20-4 534-22-5 535-75-1,
2-Piperidinecarboxylic acid 543-82-8 554-14-3 585-32-0 585-70-6,
5-Bromo-2-furoic acid 587-04-2 591-31-1 594-19-4 594-39-8
598-74-3 611-20-1 611-24-5 611-71-2 613-69-4 616-24-0,
3-Pentanamine 616-44-4 617-89-0, 2-Furanmethanamine 618-27-9
618-36-0 620-02-0 621-31-8 625-45-6 626-56-2 630-19-3 656-42-8
659-28-9 704-38-1 765-30-0, Cyclopropanamine 920-39-8 927-77-5
930-27-8 931-15-7 931-50-0 1003-03-8, Cyclopentanamine 1003-09-4
1003-31-2, 2-Thiophenecarbonitrile 1011-11-6 1013-88-3 1072-67-9
1120-87-2 1122-60-7, Nitrocyclohexane 1204-60-0, [1,1'-Biphenyl]-3-
carboxaldehyde 1423-26-3 1436-60-8 1436-61-9 1484-84-0,
2-Piperidineethanol 1692-15-5 1692-25-7 1700-37-4 1722-12-9
1730-25-2 1738-68-7 1857-20-1 1885-14-9 1888-75-1 1899-24-7
2026-48-4 2032-35-1 2133-40-6 2201-24-3 2211-64-5 2402-95-1
2516-34-9, Cyclobutanamine 2562-38-1, Nitrocyclopentane 2577-90-4
2627-86-3 2689-59-0 2762-32-5, 2-Piperazinecarboxylic acid 2786-07-4
2799-21-5 2941-20-0 2987-16-8 3002-94-6 3082-64-2 3234-64-8
3405-77-4 3433-37-2, 2-Piperidinemethanol 3544-24-9 3674-13-3
3694-52-8 3731-53-1, 4-Pyridinemethanamine 3789-59-1 3886-69-9
4083-57-2 4138-26-5, 3-Piperidinecarboxamide 4265-16-1,
2-Benzofurancarboxaldehyde 4276-09-9 4333-56-6, Cyclopropyl bromide
4418-61-5, 1H-Tetrazol-5-amine 4543-47-9, 3-Furanmethanamine
4606-65-9, 3-Piperidinemethanol 4747-21-1 5006-62-2 5222-73-1
5231-87-8 5271-67-0, 2-Thiophenecarbonyl chloride 5333-83-5
5382-16-1, 4-Piperidinol 5452-35-7, Cycloheptanamine 5473-12-1
5680-79-5 5691-15-6 5691-21-4 5779-95-3 5832-01-9 5834-16-2
5856-62-2 5856-63-3 5913-13-3 5973-71-7 6165-69-1 6193-47-1
6250-76-6 6287-38-3 6309-16-6 6321-23-9 6542-60-5,
Cyclopropaneacetonitrile 6662-17-5 6859-99-0, 3-Piperidinol
6921-34-2 6973-60-0 6982-39-4 7003-32-9 7051-34-5 7210-75-5
7283-96-7 10200-59-6, 2-Thiazolecarboxaldehyde 10277-74-4 13250-12-9
13349-82-1 13515-93-0 13679-70-4 13679-75-9 13734-41-3
13889-98-0 13952-84-6, 2-Butanamine 14305-17-0 14321-27-8
14338-36-4 15231-41-1 15433-83-7 16114-47-9 16466-97-0
16596-41-1, 1-Pyrrolidinamine 16751-59-0, 4-Heptanamine 17249-80-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(stereoselective preparation of disubstituted cyclobutenediones as
cxc-chemokine receptor ligands)

IT 17573-92-1, 3-Methoxythiophene 17766-28-8 18791-75-8 20173-04-0
20409-48-7 20980-22-7 20989-17-7 21124-40-3 21443-96-9,
1H-Indazol-7-amine 21685-51-8 21906-17-2 21921-76-6 22078-59-7
22095-34-7 22147-09-7 22148-82-9 22526-46-1 22526-47-2
22838-58-0 23074-10-4 23356-96-9 23357-46-2 23357-52-0
24247-77-6 24962-75-2 27757-85-3, 2-Thiophenemethanamine 27757-86-4,
3-Thiophenemethanamine 27948-38-5 28022-43-7 28292-43-5 29138-64-5
30389-18-5 30543-88-5 30543-89-6 30543-90-9 32085-88-4
34035-04-6 34328-61-5 34566-04-6 34566-05-7 34592-47-7
34701-33-2 34803-66-2 35320-23-1 35748-36-8 37143-52-5
37577-28-9 38118-79-5 38955-11-2 39515-51-0 39890-42-1
40114-49-6 40172-95-0 41049-53-0 42142-52-9 42195-92-6
43200-31-3 44745-29-1 45121-22-0 45347-82-8, 3-Azetidinol
50392-78-4 52130-30-0 52480-43-0 52771-21-8 54542-13-1
55661-33-1, 2-Thiazolemethanamine 56286-73-8 57260-67-0 57260-71-6
59260-76-3 59915-99-0 60289-68-1 62348-13-4, 5-Isoxazolecarbonyl
chloride 63493-28-7, 2-Pentanamine 64270-99-1 64271-00-7

64951-50-4 66228-31-7 66399-30-2 66414-02-6, 4-Ethylfuran-2-carboxaldehyde 68005-54-9 68820-12-2 68832-13-3 70039-64-4
70419-10-2 70419-11-3 77873-76-8, 3-Morpholinecarboxylic acid
79852-25-8 80864-16-0 81097-48-5 84547-84-2 91298-74-7
94098-56-3 94651-33-9 95201-93-7 95333-13-4, 4-Benzofurancarboxaldehyde 98454-43-4 100243-39-8 110013-19-9
110480-86-9 110480-87-0 114745-45-8 114853-61-1 119461-40-4
123297-88-1, 6-Benzofurancarboxaldehyde 128796-39-4 132523-44-5
135427-08-6 138769-17-2 138769-18-3 142559-11-3 147701-78-8
152932-57-5 153922-90-8 163461-02-7 165735-63-7, 3-Benzofuranmethanamine 177971-32-3 180736-67-8 181657-57-8
184637-48-7 188816-39-9 189321-66-2 204339-72-0 216394-06-8
216394-07-9 276702-20-6 300582-83-6, 2-Morpholinecarboxylic acid
345658-02-8 374898-01-8 432047-36-4 464913-61-9 473734-68-8
473734-69-9 473734-71-3 473734-74-6 473734-93-9 473734-95-1
473735-04-5 473735-05-6 473735-06-7 473735-07-8 473735-08-9
473735-09-0 473735-10-3 473735-11-4 473735-12-5 473735-13-6
473735-14-7 473735-15-8 473735-16-9 473735-17-0 473735-18-1
473735-19-2 473735-20-5 473735-21-6 473735-22-7 473735-23-8
473735-24-9 473735-25-0 473735-26-1 473735-27-2 473735-28-3
473735-29-4 473735-30-7 473735-31-8 473735-32-9 473735-33-0
473735-34-1 473735-35-2 473735-36-3 473735-37-4 473735-38-5
473735-39-6 473735-40-9 473735-41-0 473735-42-1 473735-43-2
473735-44-3 473735-45-4 473735-46-5 473735-47-6 473735-48-7
473735-49-8 473735-50-1 473735-51-2 473735-56-7 473736-06-0
473736-30-0 473736-93-5 473736-94-6 473736-96-8 473736-98-0
473737-00-7 473737-02-9 473738-09-9 473738-37-3 608537-49-1
608537-54-8 608537-74-2 608538-44-9 654683-17-7 654683-20-2
681510-00-9 699010-41-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT 655-25-4P 1008-91-9P 3082-71-1P 5693-42-5P 5913-12-2P 6030-36-0P
6132-37-2P, 5-Bromofuran-2-carboxylic acid ethyl ester 6299-39-4P
6315-55-5P 6560-72-1P 6668-27-5P **6739-22-6P** 10035-16-2P, 5-Benzofurancarboxaldehyde 10558-44-8P 13754-38-6P 14172-55-5P
16015-07-9P 16635-00-0P 17380-74-4P 17515-80-9P 18076-61-4P, 1H-Benzotriazol-4-amine 18087-60-0P 18087-61-1P 18207-47-1P
21508-19-0P 22621-41-6P 23145-07-5P 23844-66-8P 32412-47-8P
33342-49-3P 35748-34-6P 35748-38-0P 37008-22-3P 37038-26-9P
37073-18-0P 38071-65-7P 39558-31-1P 39639-98-0P 40023-85-6P
40023-86-7P 40023-89-0P 40297-12-9P 41340-78-7P 50606-31-0P
50606-33-2P 51449-77-5P 51586-24-4P 52063-83-9P 52617-05-7P
54818-70-1P 55276-43-2P 57393-55-2P 57500-47-7P 57883-06-4P
59413-60-4P 59413-65-9P 59413-66-0P 59414-10-7P 61423-31-2P
61962-83-2P 63493-29-8P 63980-43-8P 65686-95-5P 65865-28-3P
66952-65-6P 66952-81-6P 70112-21-9P 70783-48-1P 70978-09-5P
70978-44-8P 72351-59-8P 73153-81-8P 77278-38-7P 80649-66-7P
81289-15-8P 83948-35-0P 83948-38-3P 84005-98-1P 89941-07-1P
90812-89-8P 98961-97-8P 99113-85-6P 100245-03-2P 100475-32-9P
101384-09-2P 105729-09-7P 106910-83-2P, 3-Morpholinemethanol
108408-92-0P 110545-67-0P 110545-68-1P 112598-18-2P 115151-94-5P
115617-42-0P 120057-16-1P 122902-99-2P 127292-42-6P 128404-37-5P
130339-50-3P 132289-57-7P 132523-48-9P 133170-58-8P 133712-89-7P
135132-37-5P 144207-56-7P 171661-56-6P 173305-19-6P 184039-62-1P
188772-69-2P 188772-70-5P 188772-72-7P 194413-46-2P 202825-94-3P
204452-94-8P 239105-45-4P 253176-45-3P 261925-40-0P 292636-64-7P
303070-22-6P 337956-36-2P 343271-91-0P 357405-29-9P 389628-28-8P
434307-26-3P 437768-45-1P 454471-73-9P 464912-84-3P 464912-88-7P
464912-89-8P 464913-11-9P 464913-13-1P 464913-29-9P 464913-33-5P
464913-35-7P 464913-37-9P 464913-57-3P 464913-60-8P 464913-63-1P
464913-65-3P 467231-62-5P 473249-01-3P 473730-77-7P 473730-78-8P
473730-79-9P 473730-80-2P 473730-81-3P 473730-82-4P 473730-83-5P
473730-84-6P 473730-85-7P 473730-86-8P 473730-87-9P 473730-88-0P
473730-89-1P 473730-90-4P 473730-91-5P 473730-92-6P 473730-93-7P
473730-94-8P 473730-95-9P 473730-96-0P 473730-97-1P 473730-98-2P
473730-99-3P 473731-00-9P 473731-01-0P 473731-02-1P 473731-03-2P
473731-04-3P 473731-05-4P 473731-06-5P 473731-07-6P 473731-08-7P
473731-09-8P 473731-10-1P 473731-11-2P 473731-12-3P 473731-13-4P
473731-14-5P 473731-15-6P 473731-16-7P 473731-17-8P 473731-18-9P
473731-19-0P 473731-20-3P 473731-21-4P 473731-22-5P 473731-23-6P
473731-24-7P 473731-25-8P 473731-26-9P 473731-27-0P 473731-28-1P
473731-29-2P 473731-30-5P 473731-31-6P 473731-32-7P 473731-33-8P
473731-34-9P 473731-35-0P 473731-36-1P 473731-37-2P 473731-38-3P
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473731-44-1P 473731-45-2P 473731-46-3P 473731-47-4P 473731-48-5P

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

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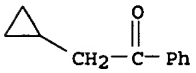
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT **6739-22-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

RN 6739-22-6 HCAPLUS
CN Ethanone, 2-cyclopropyl-1-phenyl- (9CI) (CA INDEX NAME)



L42 ANSWER 10 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:414638 HCAPLUS
DN 140:406571
ED Entered STN: 21 May 2004
TI Preparation of 3,4-di-substituted cyclobutene-1,2-diones as CXC-chemokine receptor ligands
IN Taveras, Arthur G.; Aki, Cynthia J.; Bond, Richard W.; Chao, Jianping; Dwyer, Michael; Ferreira, Johan A.; Chao, Jianhua; Yu, Younong; Baldwin, John J.; Kaiser, Bernd; Li, Ge; Merritt, J. Robert; Nelson, Kingsley H.; Rokosz, Laura L.
PA USA
SO U.S. Pat. Appl. Publ., 308 pp., Cont.-in-part of U.S. Ser. No. 122,841.
CODEN: USXXCO
DT **Patent**
LA English
IC ICM A61K031-445
ICS A61K031-426; A61K031-4172; A61K031-421; A61K031-343; A61K031-137
NCL 514317000; 514357000; 514650000; 514365000; 514374000; 514464000; 514469000; 514397000; 546229000; 546334000
CC 24-3 (Alicyclic Compounds)
Section cross-reference(s): 1, 25, 63
FAN.CNT 5

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PI	US 2004097547	A1	20040520	US 2002-208412	20020730 <--
	US 2004106794	A1	20040603	US 2002-241326	20020911 <--
	WO 2004011418	A1	20040205	WO 2003-US23785	20030730 <--
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	US 2002-122841	A2	20020415 <--		
	US 2002-208412	A2	20020730 <--		
	US 2002-241326	A	20020911 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004097547	ICM	A61K031-445
	ICS	A61K031-426; A61K031-4172; A61K031-421; A61K031-343; A61K031-137
	NCL	514317000; 514357000; 514650000; 514365000; 514374000;

514464000; 514469000; 514397000; 546229000; 546334000
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C07D307/52; C07D333/36 <--
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C07C255/59; C07C311/39; C07D207/32C4; C07D021/74;
C07D217/24; C07D295/22C2; C07D307/38C; C07D307/52;
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C07D317/46; C07D319/18; C07D333/20; C07D333/36;
C07D405/12; C07D409/12; C07D409/12; C07D409/14;
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C07D217/24; C07D295/22C2; C07D307/38C; C07D307/52;
C07D307/68; C07D307/81; C07D307/82B; C07D307/83;
C07D317/46; C07D319/18; C07D333/20; C07D333/36;
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C07D413/12; C07D413/14 <--
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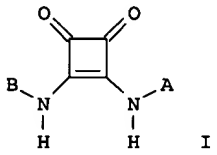

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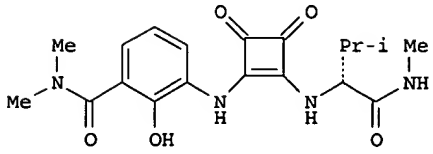

II

AB Title compds. I [A = (un)substituted heterocycle, heterocyclealkyl, heteroaryl, heteroarylalkyl, cycloalkyl, etc.; B = (un)substituted aryl, heteroaryl, heterocycle, heteroarylarene, etc.], or a pharmaceutically acceptable salt or solvate thereof, are prepared and disclosed as cxc-chemokine receptor ligands. Thus, II was prepared by substitution of (dimethylaminocarbonylhydroxyphenylamino)(ethoxy)cyclobutenedione [preparation given] with (R)-2-amino-N,3-dimethylbutanamide monohydrochloride [preparation given]. Compds. of the invention demonstrated an IC50 value of < 20 .mu.M in CXCR1 SPA assay and < 5 .mu.M in CXCR2 SPA assay. I are useful for the treatment of chemokine-mediated diseases such as acute and chronic inflammatory disorders and cancer.

ST cyclobutenedione prepn cxc chemokine receptor ligand antiinflammatory antitumor; alkylaminoarylamino cyclobutenedione stereoselective prepn cxc chemokine receptor ligand

IT Respiratory tract, disease
(Adult; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Chemokine receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(CXCR1; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Chemokine receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(CXCR2; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Intestine, disease
(Crohn's; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Sarcoma
(Kaposi's; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Respiratory distress syndrome
(acute; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Transplant rejection
(allotransplant; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Eye, disease
(angiogenic; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Antiarteriosclerotics
(antiatherosclerotics; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Dermatitis
(atopic; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Stomach, neoplasm
(carcinoma; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Lung, disease
(chronic obstructive; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Allergy
(delayed hypersensitivity; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Eye, disease
(diabetic retinopathy; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Gingiva, disease
(gingivitis; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT **Kidney, disease**
(**glomerulonephritis**; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Transplant and Transplantation
(graft-vs.-host reaction; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Sepsis
(gram neg.; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Eye, disease
(inflammation; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Intestine, disease
(inflammatory; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Reperfusion
(injury; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Brain, disease
Heart, disease
(ischemia; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Eye, disease
(macula, degeneration; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Angiogenesis
(neovascularization, eye; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Eye, disease
(neovascularization; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Lung, neoplasm
(non-small-cell carcinoma; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Heart
(reperfusion injury; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Eye, disease
(retrolental fibroplasia; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT Shock (circulatory collapse)
(septic; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)
IT AIDS (disease)
Acne
Alzheimer's disease
Angiogenesis inhibitors
Anti-AIDS agents
Anti-Alzheimer's agents

Anti-inflammatory agents
Anti-ischemic agents
Antiarthritics
Antiasthmatics
Anticoagulants
Antimalarials
Antitumor agents
Antiviral agents
Arteriosclerosis
Arthritis
Asthma
Asymmetric synthesis and induction
Atherosclerosis
Cystic fibrosis
Hepatitis
Herpesviridae
Human
Human respiratory syncytial virus
Immunosuppressants
Inflammation
Malaria
Melanoma
Neoplasm
Psoriasis
Thrombosis
(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Brain, disease
(stroke; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Shock (circulatory collapse)
(toxic shock syndrome; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Intestine, disease
(ulcerative colitis; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Infection
(viral; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Interleukin 8 receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(.alpha.; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT Interleukin 8 receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(.beta.; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT 473729-73-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

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473725-45-0P 473725-47-2P 473725-49-4P 473725-51-8P 473725-53-0P
473725-55-2P 473725-56-3P 473725-59-6P 473725-61-0P 473725-62-1P
473725-64-3P 473725-66-5P 473725-67-6P 473725-69-8P 473725-71-2P
473725-74-5P 473725-76-7P 473725-79-0P 473725-81-4P 473725-83-6P
473725-85-8P 473725-87-0P 473725-89-2P 473725-91-6P 473725-93-8P

473725-95-0P 473725-97-2P 473725-99-4P 473726-01-1P 473726-03-3P
473726-05-5P 473726-07-7P 473726-09-9P 473726-11-3P 473726-13-5P
473726-15-7P 473726-16-8P 473726-17-9P 473726-18-0P 473726-19-1P
473726-20-4P 473726-21-5P 473726-22-6P 473726-24-8P 473726-26-0P
473726-28-2P 473726-29-3P 473726-31-7P 473726-33-9P 473726-35-1P
473726-37-3P 473726-39-5P 473726-41-9P 473726-43-1P 473726-45-3P
473726-47-5P 473726-49-7P 473726-51-1P 473726-53-3P 473726-55-5P
473726-57-7P 473726-59-9P 473726-61-3P 473726-63-5P 473726-65-7P
473726-67-9P 473726-69-1P 473726-70-4P 473726-71-5P 473726-73-7P
473726-75-9P 473726-77-1P 473726-79-3P 473726-80-6P 473726-82-8P
473726-83-9P 473726-85-1P 473726-87-3P 473726-89-5P 473726-90-8P
473726-92-0P 473726-93-1P 473726-95-3P 473726-96-4P 473726-97-5P
473726-98-6P 473726-99-7P 473727-00-3P 473727-01-4P 473727-02-5P
473727-03-6P 473727-04-7P 473727-05-8P 473727-06-9P 473727-07-0P
473727-08-1P 473727-09-2P 473727-10-5P 473727-11-6P 473727-12-7P
473727-13-8P 473727-14-9P 473727-15-0P 473727-16-1P 473727-17-2P
473727-18-3P 473727-19-4P 473727-20-7P 473727-21-8P 473727-22-9P
473727-23-0P 473727-24-1P 473727-25-2P 473727-26-3P 473727-27-4P
473727-28-5P 473727-29-6P 473727-30-9P 473727-31-0P 473727-32-1P
473727-33-2P 473727-34-3P 473727-35-4P 473727-36-5P 473727-37-6P
473727-38-7P 473727-39-8P 473727-40-1P 473727-41-2P 473727-42-3P
473727-43-4P 473727-44-5P 473727-45-6P 473727-46-7P 473727-47-8P
473727-48-9P 473727-49-0P 473727-50-3P 473727-51-4P 473727-52-5P
473727-53-6P 473727-54-7P 473727-55-8P 473727-56-9P 473727-57-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT 473727-58-1P 473727-59-2P 473727-60-5P 473727-61-6P 473727-62-7P
473727-63-8P 473727-64-9P 473727-65-0P 473727-66-1P 473727-67-2P
473727-68-3P 473727-69-4P 473727-70-7P 473727-71-8P 473727-72-9P
473727-73-0P 473727-74-1P 473727-75-2P 473727-76-3P 473727-77-4P
473727-78-5P 473727-79-6P 473727-80-9P 473727-81-0P 473727-82-1P
473727-83-2P 473727-84-3P 473727-85-4P 473727-86-5P 473727-87-6P
473727-88-7P 473727-89-8P 473727-90-1P 473727-91-2P 473727-92-3P
473727-93-4P 473727-94-5P 473727-95-6P 473727-96-7P 473727-97-8P
473727-98-9P 473727-99-0P 473728-00-6P 473728-01-7P 473728-02-8P
473728-03-9P 473728-04-0P 473728-05-1P 473728-06-2P 473728-07-3P
473728-08-4P 473728-09-5P 473728-10-8P 473728-11-9P 473728-12-0P
473728-13-1P 473728-14-2P 473728-15-3P 473728-16-4P 473728-17-5P
473728-18-6P 473728-19-7P 473728-20-0P 473728-21-1P 473728-22-2P
473728-23-3P 473728-24-4P 473728-25-5P 473728-26-6P 473728-27-7P
473728-28-8P 473728-29-9P 473728-30-2P 473728-31-3P 473728-32-4P
473728-33-5P 473728-34-6P 473728-35-7P 473728-36-8P 473728-37-9P
473728-38-0P 473728-39-1P 473728-40-4P 473728-41-5P 473728-42-6P
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473728-53-9P 473728-54-0P 473728-55-1P 473728-56-2P 473728-57-3P
473728-58-4P 473728-59-5P 473728-60-8P 473728-61-9P 473728-62-0P
473728-63-1P 473728-64-2P 473728-65-3P 473728-66-4P 473728-67-5P
473728-68-6P 473728-69-7P 473728-70-0P 473728-71-1P 473728-72-2P
473728-73-3P 473728-74-4P 473728-75-5P 473728-76-6P 473728-77-7P
473728-78-8P 473728-79-9P 473728-80-2P 473728-81-3P 473728-82-4P
473728-83-5P 473728-84-6P 473728-85-7P 473728-86-8P 473728-87-9P
473728-88-0P 473728-89-1P 473728-90-4P 473728-91-5P 473728-92-6P
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473728-98-2P 473728-99-3P 473729-00-9P 473729-01-0P 473729-02-1P
473729-03-2P 473729-04-3P 473729-05-4P 473729-06-5P 473729-07-6P
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473729-13-4P 473729-14-5P 473729-15-6P 473729-16-7P 473729-17-8P
473729-18-9P 473729-19-0P 473729-20-3P 473729-21-4P 473729-22-5P
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473729-28-1P 473729-29-2P 473729-30-5P 473729-31-6P 473729-32-7P
473729-33-8P 473729-34-9P 473729-35-0P 473729-36-1P 473729-37-2P
473729-38-3P 473729-39-4P 473729-40-7P 473729-41-8P 473729-42-9P
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473729-48-5P 473729-49-6P 473729-50-9P 473729-51-0P 473729-52-1P
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473729-58-7P 473729-59-8P 473729-60-1P 473729-61-2P 473729-62-3P
473729-63-4P 473729-64-5P 473729-65-6P 473729-66-7P 473729-67-8P
473729-68-9P 473729-69-0P 473729-70-3P 473729-71-4P 473729-72-5P
473729-74-7P 473729-75-8P 473729-76-9P 473729-77-0P 473729-78-1P
473729-79-2P 473729-80-5P 473729-81-6P 473729-82-7P 473729-83-8P
473729-84-9P 473729-85-0P 473729-86-1P 473729-87-2P 473729-88-3P
473729-89-4P 473729-90-7P 473729-91-8P 473729-92-9P 473729-93-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT 473729-94-1P 473729-95-2P 473729-96-3P 473729-97-4P 473729-98-5P
473729-99-6P 473730-00-6P 473730-01-7P 473730-02-8P 473730-03-9P
473730-04-0P 473730-05-1P 473730-06-2P 473730-07-3P 473730-08-4P
473730-09-5P 473730-10-8P 473730-11-9P 473730-12-0P 473730-13-1P
473730-14-2P 473730-15-3P 473730-16-4P 473730-17-5P 473730-18-6P
473730-19-7P 473730-20-0P 473730-21-1P 473730-22-2P 473730-23-3P
473730-24-4P 473730-25-5P 473730-26-6P 473730-27-7P 473730-28-8P
473730-29-9P 473730-30-2P 473730-31-3P 473730-32-4P 473730-33-5P
473730-35-7P 473730-36-8P 473730-37-9P 473730-38-0P 473730-39-1P
473730-40-4P 473730-41-5P 473730-42-6P 473730-43-7P 473730-44-8P
473730-45-9P 473730-46-0P 473730-47-1P 473730-48-2P 473730-49-3P
473730-50-6P 473730-51-7P 473730-52-8P 473730-53-9P 473730-54-0P
473730-55-1P 473730-56-2P 473730-57-3P 473730-58-4P 473730-59-5P
473730-60-8P 473730-61-9P 473730-62-0P 473730-63-1P 473730-64-2P
473730-65-3P 473730-66-4P 473730-67-5P 473730-69-7P 473730-70-0P
473730-71-1P 473730-72-2P 473730-73-3P 473730-74-4P 473730-75-5P
473730-76-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT 608537-96-8P 608537-98-0P 608537-99-1P 608538-00-7P 608538-01-8P
608538-02-9P 608538-03-0P 608538-04-1P 608538-05-2P 608538-06-3P
608538-07-4P 608538-08-5P 608538-09-6P 608538-10-9P 608538-11-0P
608538-12-1P 608538-13-2P 608538-14-3P 608538-15-4P 608538-16-5P
608538-17-6P 608538-51-8P 690637-01-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT 473734-35-9P 473734-50-8P 473738-60-2P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT 50-85-1 62-53-3, Benzenamine, reactions 67-36-7 67-47-0 67-63-0, 2-Propanol, reactions 75-31-0, 2-Propanamine, reactions 75-64-9, reactions 77-55-4 78-81-9 78-82-0 78-96-6 79-44-7 79-46-9 85-38-1 88-15-3 89-55-4 89-98-5 91-00-9 92-54-6 95-54-5, 1,2-Benzenediamine, reactions 95-55-6 98-01-1, 2-Furancarboxaldehyde, reactions 98-03-3, 2-Thiophenecarboxaldehyde 98-09-9, Benzenesulfonyl chloride 98-80-6 98-88-4, Benzoyl chloride 98-98-6, 2-Pyridinecarboxylic acid 99-03-6 99-05-8 99-09-2 100-46-9, Benzenemethanamine, reactions 100-49-2, Cyclohexanemethanol 100-52-7, Benzaldehyde, reactions 100-58-3 100-60-7 102-28-3 103-49-1, Dibenzylamine 103-67-3 105-41-9 106-41-2 107-10-8, 1-Propanamine, reactions 108-09-8 108-23-6 108-91-8, Cyclohexanamine, reactions 109-61-5 109-73-9, 1-Butanamine, reactions 109-83-1 110-73-6 110-78-1 110-85-0, Piperazine, reactions 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 111-42-2, reactions 111-49-9 118-92-3 120-21-8 120-43-4 120-57-0, 1,3-Benzodioxole-5-carboxaldehyde 121-47-1 121-92-6 122-09-8 122-98-5 123-11-5, reactions 123-38-6, Propanal, reactions 123-75-1, Pyrrolidine, reactions 123-82-0, 2-Heptanamine 124-68-5 135-00-2 140-28-3 142-25-6 321-14-2 344-25-2, D-Proline 349-43-9 406-87-1 420-90-6 434-45-7 446-36-6 446-52-6 447-61-0 454-89-7 456-48-4 459-57-4 460-40-2 492-41-1 498-60-2, 3-Furancarboxaldehyde 498-62-4, 3-Thiophenecarboxaldehyde 498-94-2, 4-Piperidinecarboxylic acid 498-95-3, 3-Piperidinecarboxylic acid 503-29-7, Azetidine 513-49-5 527-69-5, 2-Furancarbonyl chloride 529-20-4 534-22-5 535-75-1, 2-Piperidinecarboxylic acid 543-82-8 554-14-3 585-32-0 585-70-6, 5-Bromo-2-furoic acid 587-04-2 591-31-1 594-19-4 594-39-8 598-74-3 611-20-1 611-24-5 611-71-2 613-69-4 616-24-0, 3-Pentanamine 616-44-4 617-89-0, 2-Furanmethanamine 618-27-9 618-36-0 620-02-0 621-31-8 625-45-6 626-56-2 630-19-3 656-42-8 659-28-9 704-38-1 765-30-0, Cyclopropanamine 920-39-8 927-77-5 931-15-7 931-50-0 1003-03-8, Cyclopentanamine 1003-09-4 1003-31-2, 2-Thiophenecarbonitrile 1011-11-6 1013-88-3 1072-67-9 1120-87-2 1122-60-7, Nitrocyclohexane 1204-60-0, [1,1'-Biphenyl]-3-carboxaldehyde

1423-26-3 1436-60-8 1436-61-9 1484-84-0, 2-Piperidineethanol
1692-15-5 1692-25-7 1700-37-4 1722-12-9 1730-25-2 1738-68-7
1857-20-1 1885-14-9 1888-75-1 1899-24-7 2026-48-4 2032-35-1
2133-40-6 2201-24-3 2211-64-5 2402-95-1 2516-34-9, Cyclobutanamine
2562-38-1, Nitrocyclopentane 2577-90-4 2627-86-3 2689-59-0
2762-32-5, 2-Piperazinecarboxylic acid 2786-07-4 2799-21-5 2941-20-0
2987-16-8 3002-94-6 3082-64-2 3234-64-8 3405-77-4 3433-37-2,
2-Piperidinemethanol 3544-24-9 3674-13-3 3694-52-8 3731-53-1,
4-Pyridinemethanamine 3789-59-1 3886-69-9 4083-57-2 4138-26-5,
3-Piperidinecarboxamide 4265-16-1, 2-Benzofurancarboxaldehyde
4276-09-9 4333-56-6, Cyclopropyl bromide 4418-61-5,
1H-Tetrazol-5-amine 4543-47-9, 3-Furanmethanamine 4606-65-9,
3-Piperidinemethanol 4747-21-1 5006-62-2 5222-73-1 5231-87-8
5271-67-0, 2-Thiophenecarbonyl chloride 5333-83-5 5382-16-1,
4-Piperidinol 5452-35-7, Cycloheptanamine 5473-12-1 5680-79-5
5691-15-6 5691-21-4 5779-95-3 5832-01-9 5834-16-2 5856-62-2
5856-63-3 5913-13-3 5973-71-7 6165-69-1 6193-47-1 6250-76-6
6287-38-3 6309-16-6 6321-23-9 6542-60-5, Cyclopropaneacetonitrile
6662-17-5 6859-99-0, 3-Piperidinol 6921-34-2 6973-60-0 6982-39-4
7003-32-9 7051-34-5 7210-75-5 7283-96-7 10200-59-6,
2-Thiazolecarboxaldehyde 10277-74-4 13250-12-9 13349-82-1
13515-93-0 13679-70-4 13679-75-9 13734-41-3 13889-98-0
13952-84-6, 2-Butanamine 14305-17-0 14321-27-8 14338-36-4
15231-41-1 15433-83-7 16114-47-9 16466-97-0 16596-41-1,
1-Pyrrolidinamine 16751-59-0, 4-Heptanamine 17249-80-8 17573-92-1,
3-Methoxythiophene
RL: RCT (Reactant); RACT (Reactant or reagent)
(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT 17766-28-8 18791-75-8 20173-04-0 20409-48-7 20980-22-7
20989-17-7 21124-40-3 21443-96-9, 1H-Indazol-7-amine 21685-51-8
21906-17-2 21921-76-6 22078-59-7 22095-34-7 22147-09-7
22148-82-9 22526-46-1 22526-47-2 22838-58-0 23074-10-4
23356-96-9 23357-46-2 23357-52-0 24247-77-6 24962-75-2
27757-85-3, 2-Thiophenemethanamine 27757-86-4, 3-Thiophenemethanamine
27948-38-5 28022-43-7 28292-43-5 29138-64-5 30389-18-5
30543-88-5 30543-89-6 30543-90-9 32085-88-4 34035-04-6
34328-61-5 34566-04-6 34566-05-7 34592-47-7 34701-33-2
34803-66-2 35320-23-1 35748-36-8 37143-52-5 37577-28-9
38118-79-5 38955-11-2 39515-51-0 39890-42-1 40114-49-6
40172-95-0 41049-53-0 42142-52-9 42195-92-6 43200-31-3
44745-29-1 45121-22-0 45347-82-8, 3-Azetidinol 50392-78-4
52130-30-0 52480-43-0 52771-21-8 54542-13-1 55661-33-1,
2-Thiazolemethanamine 56286-73-8 57260-67-0 57260-71-6 59260-76-3
59915-99-0 60289-68-1 62348-13-4, 5-Isoxazolecarbonyl chloride
63493-28-7, 2-Pentanamine 64270-99-1 64271-00-7 64951-50-4
66228-31-7 66399-30-2 66414-02-6, 4-Ethylfuran-2-carboxaldehyde
68005-54-9 68820-12-2 68832-13-3 70039-64-4 70419-10-2
70419-11-3 77873-76-8, 3-Morpholinecarboxylic acid 79852-25-8
80864-16-0 81097-48-5 84547-84-2 91298-74-7 94098-56-3
94651-33-9 95201-93-7 95333-13-4, 4-Benzofurancarboxaldehyde
98454-43-4 100243-39-8 110013-19-9 110480-86-9 110480-87-0
114745-45-8 114853-61-1 119461-40-4 123297-88-1,
6-Benzofurancarboxaldehyde 128796-39-4 132523-44-5 135427-08-6
138769-17-2 138769-18-3 142559-11-3 147701-78-8 152932-57-5
153922-90-8 163461-02-7 165735-63-7, 3-Benzofuranmethanamine
177971-32-3 180736-67-8 181657-57-8 184637-48-7 188816-39-9
189321-66-2 204339-72-0 216394-06-8 216394-07-9 276702-20-6
300582-83-6, 2-Morpholinecarboxylic acid 345658-02-8 374898-01-8
432047-36-4 464913-61-9 473734-68-8 473734-69-9 473734-71-3
473734-74-6 473734-93-9 473734-95-1 473735-04-5 473735-05-6
473735-06-7 473735-07-8 473735-08-9 473735-09-0 473735-10-3
473735-11-4 473735-12-5 473735-13-6 473735-14-7 473735-15-8
473735-16-9 473735-17-0 473735-18-1 473735-19-2 473735-20-5
473735-21-6 473735-22-7 473735-23-8 473735-24-9 473735-25-0
473735-26-1 473735-27-2 473735-28-3 473735-29-4 473735-30-7
473735-31-8 473735-32-9 473735-33-0 473735-34-1 473735-35-2
473735-36-3 473735-37-4 473735-38-5 473735-39-6 473735-40-9
473735-41-0 473735-42-1 473735-43-2 473735-44-3 473735-45-4
473735-46-5 473735-47-6 473735-48-7 473735-49-8 473735-50-1
473735-51-2 473735-56-7 473736-06-0 473736-30-0 473736-93-5
473736-94-6 473736-96-8 473736-98-0 473737-00-7 473737-02-9
473738-09-9 473738-37-3 608537-49-1 608537-54-8 608537-74-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT 655-25-4P 1008-91-9P 3082-71-1P 5693-42-5P 5913-12-2P 6030-36-0P
6132-37-2P, 5-Bromofuran-2-carboxylic acid ethyl ester 6299-39-4P
6315-55-5P 6560-72-1P 6668-27-5P **6739-22-6P** 10035-16-2P,
5-Benzofurancarboxaldehyde 10558-44-8P 13754-38-6P 14172-55-5P
16015-07-9P 16635-00-0P 17380-74-4P 17515-80-9P 18076-61-4P,
1H-Benzotriazol-4-amine 18087-60-0P 18087-61-1P 18207-47-1P
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT 473731-75-8P 473731-76-9P 473731-77-0P 473731-78-1P 473731-79-2P
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473734-24-6P 473734-25-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

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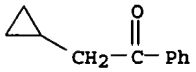
654683-18-8P 690637-02-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT **6739-22-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

RN 6739-22-6 HCAPLUS
CN Ethanone, 2-cyclopropyl-1-phenyl- (9CI) (CA INDEX NAME)



L42 ANSWER 11 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:392318 HCAPLUS
DN 140:400077
ED Entered STN: 14 May 2004
TI Pharmaceutical combinations including either a 5-HT4 receptor agonist or

antagonist or a 5-HT3 receptor antagonist and a co-agent and their use in treating gastrointestinal and abdominal visceral disorders

IN Billstein, Stephan Anthony; Dumovic, Peter; Franco, Nicola; Iwicki, Mark Thomas; Pfannkuche, Hans-Jurgen; Wilusz, Edward Joseph

PA USA

SO U.S. Pat. Appl. Publ., 14 pp., Cont.-in-part of U.S. Ser. No. 722,784, abandoned.
CODEN: USXXCO

DT **Patent**

LA English

IC ICM A61K031-5513
ICS A61K031-445

NCL 514221000; 514282000; 514317000

CC 1-9 (Pharmacology)
Section cross-reference(s): 63

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004092511	A1	20040513	US 2003-702688	20031106 <--
PRAI US 1999-266333P	P	19991210 <--		
US 2000-722784	B1	20001127 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004092511	ICM	A61K031-5513
	ICS	A61K031-445
	NCL	514221000; 514282000; 514317000

AB The invention discloses a combination of a first agent including either a 5-HT4 receptor agonist or antagonist or a 5-HT3 receptor antagonist and a co-agent and pharmaceutical compns. and formulations containing the combination. The invention also discloses a method for treating a gastrointestinal and abdominal visceral disorder by administering the pharmaceutical compns. to a patient. The pharmaceutical compns. may also be employed as laxatives, to prepare a patient for colonoscopy and to regulate and stabilize enterochromaffin cell secretory, pain and motility mechanisms, afferent fiber activity and GI and lower abdominal smooth muscle cells. The dosage is preferably oral and administration is preferably once or twice a day. The preferred first agent is tegaserod.

ST gastrointestinal abdominal visceral disorder serotoninergic 5HT4 agonist antagonist combination; serotoninergic 5HT3 antagonist combination gastrointestinal abdominal visceral disorder; tegaserod gastrointestinal abdominal visceral disorder

IT 5-HT antagonists
(5-HT3; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT 5-HT agonists
5-HT antagonists
(5-HT4; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Intestine, disease
(Crohn's; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Dopamine antagonists
(D2; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT GABA receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(GABAB, agonists or modulators; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Antihistamines
(H2; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Muscarinic antagonists
(M1; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Natural products, pharmaceutical
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Senna, senna concentrate; combinations of 5-HT4 agonist or antagonist or

5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)
IT Pain
(abdominal pain and discomfort; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)
IT Viscera
(abdominal viscera disorder; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)
IT Nerve
(afferent fiber; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)
IT Calcitonin gene-related peptide receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(agonists or antagonists; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)
IT Tachykinin receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(agonists; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)
IT Enkephalins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(analogs; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)
IT Cholecystokinin receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(antagonists; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)
IT Flatulence
(antiflatulents; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)
IT Intestine
(anus, anal incontinence; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)
IT Alkaloids, biological studies
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(belladonna; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)
IT Digestive tract
(bloating; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)
IT Intestine
(colon, colonoscopy; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)
IT 5-HT reuptake inhibitors
Absorbents
Analgesics
Antacids
Anti-inflammatory agents
Antiemetics
Antiulcer agents
Anxiolytics
Atropa belladonna
Drug delivery systems
Drug interactions
Dyspepsia
Gastrointestinal motility
Human
Immunomodulators
Laxatives
Muscarinic antagonists
Nausea
Ulcer

Vomiting
(combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Enzymes, biological studies
Steroids, biological studies
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT **Bladder, disease**
(**cystitis**, interstitial; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT **Bladder, disease**
(**cystitis**, spastic; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Viscera
(disease, pain; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Intestine
Stomach
(enterochromaffin cell; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Pain
(epigastric; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Intestine
(evaculation; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Drug delivery systems
(fast-melt; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Feces
(fecal softeners; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Digestive tract, disease
(gastroesophageal reflux; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Drugs
(gastrointestinal; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Intestine, disease
(ileus; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Tumor necrosis factors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Intestine, disease
(irritable bowel syndrome; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Gastrointestinal hormone receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(motilin, agonists or antagonists; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Vegetable
(natural vegetable stimulants; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Anti-inflammatory agents
(nonsteroidal; combinations of 5-HT4 agonist or antagonist or 5-HT3

antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Drug delivery systems
(oral; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Physiological saline solutions
(phosphate-buffered; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Transport proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(proton pump, inhibitors; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Intestine
(pseudo-obstruction; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Digestive tract, disease
(pyrosis; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Digestive tract
(regurgitation; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Digestive tract
(relaxants; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Appetite
(satiety, early; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Muscle
(smooth, GI and lower abdominal; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Muscle relaxants
(spasmolytics; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Mast cell
(stabilizers; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Diet
(supplements, fiber supplement; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Antidepressants
(tricyclic; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Tachykinin receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(type NK1, agonists or antagonists; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Intestine, disease
(ulcerative colitis; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Pain
(visceral; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Opioids
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(.kappa.-; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT Opioid antagonists
(.kappa.-opioid; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal

visceral disorders)
IT 398507-81-8, DNK 333
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(DNK 333; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)
IT 81-90-3, Ex-Lax
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Ex-Lax; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)
IT 154775-08-3, L 737488
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(L 737488; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)
IT 158276-60-9, PD 147714
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(PD 147714; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)
IT 7440-69-9, Bismuth, biological studies
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(bismuth-containing prepns.; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)
IT 50-48-6, Amitriptyline 50-70-4, Sorbitol, biological studies 51-34-3, Scopolamine. 51-55-8, Atropine, biological studies 68-88-2, Hydroxyzine 69-72-7D, Salicylic acid, derivs. 77-19-0, Dicyclomine 89-57-6, Mesalamine. 101-31-5, Hyoscyamine. 114-07-8D, Erythromycin A, derivs. 125-71-3, Dextromethorphan 364-62-5, Metoclopramide 438-41-5, LIBRIUM 439-14-5, VALIUM 446-86-6, Azathioprine 599-79-1, Sulfasalazine 603-50-9, Bisacodyl 915-30-0, Diphenoxylate 1134-47-0, ()-Baclofen 1229-29-4, Sinequan 1305-62-0, Calcium hydroxide, biological studies 7429-90-5D, Aluminum, compds. 7439-95-4D, Magnesium, compds. 8050-81-5, Simethicone 11041-12-6, Cholestyramine 12794-10-4D, Benzodiazepine, derivs. 14611-51-9, Selegiline 14882-18-9, Bismuth subsalicylate 15722-48-2, Olsalazine 28981-97-7, XANAX 34580-13-7, Ketotifen. 34911-55-2, Bupropion 37300-21-3, Pentosan polysulfate 51481-61-9, Cimetidine 53179-11-6, Loperamide 54739-18-3, Fluvoxamine 54910-89-3, Fluoxetine 57717-80-3, CGP7930 57808-66-9, Domperidone. 59729-33-8, Citalopram 60118-07-2D, Endorphin, analogs 61869-08-7, Paroxetine 66357-35-5, Ranitidine. 66514-99-6, S-Baclofen 69308-37-8, R-Baclofen 73590-58-6, Omeprazole 76824-35-6, Famotidine 76963-41-2, Nizatidine 79617-96-2, Sertraline 81098-60-4, Cisapride 83366-66-9, Nefazodone 83863-69-8, Nor-cisapride 89565-68-4, Tropisetron 90182-92-6, Zacopride 90667-30-4, Cyanodothiepin 92623-85-3, Milnacipran 93413-69-5, Venlafaxine 97964-56-2, Lorglumide 99614-02-5, Ondansetron 102625-70-7, Pantoprazole 103420-77-5, L364718 103577-45-3, Lansoprazole. 104987-11-3, Tacrolimus 107097-80-3, Loxiglumide 109889-09-0, Granisetron 112727-80-7, Renzapride 112885-41-3, Mosapride 112922-55-1, Cericlamine 115607-61-9, SKF 96067 116539-59-4, Duloxetine 117976-89-3, Rabeprazole 119141-88-7, Esomeprazole 119817-90-2, Dexloxiglumide 120635-74-7, Cilansetron 122852-42-0, Alosetron 123040-69-7, Azasetron 123258-98-0, DAU 6285 123618-00-8, Fedotozine. 125787-94-2, FK-224 127595-43-1, BIMU 1 127618-28-4, DAU 6215 127729-35-5, SK&F97541 128794-94-5, Mycophenolate mofetil 129299-90-7, FK 1052 129623-01-4, GR82334 130404-91-0, CI 988 132036-88-5, Ramosetron 132746-60-2, CP-96345 133099-04-4, Darifenacin 133345-68-3, CGP44532 133345-73-0, CGP47656 134296-40-5, BIMU 8 135911-02-3, RP-67580 135938-17-9, SB 203186 136982-36-0, CP-99994 137196-67-9, SDZ 205-557 138449-07-7, FK 888 138752-34-8 141196-99-8, SC 53116 142001-63-6, SR-48968 144177-30-0, WIN 51708 144453-77-0, , SKF 97574 144625-51-4, GR 113808 144625-67-2, GR 125487 145158-71-0, Tegaserod 145742-28-5, CP122721 147523-65-7, LY288513. 148700-85-0, L 733060 148702-58-3, SB 204070 148703-08-6, SB 207710 149250-10-2, S-16474 149719-06-2, RS 23597 150705-88-7, CGP-49823 150785-53-8 151898-33-8, SC 53606 152811-62-6, SB 207266 152923-56-3, Daclizumab 153438-49-4, RPR-100893 153966-48-4, ANQ-11125 154967-61-0, L740093 155418-05-6, SR-140333

157351-81-0, MEN-10627 158364-59-1, BY 841 158848-32-9, GR-159897
158991-23-2, PD 154075 159706-39-5, L 742694 160472-97-9, , N 3389
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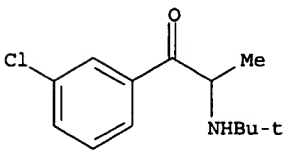
167710-87-4, RS 39604 167946-16-9 168266-90-8, GR 205171
168398-02-5, GR-203040 168570-35-2, PD-161182 168986-60-5, RS 67333
168986-61-6, RS 67506 169340-04-9, ZM-253270 170277-31-3, Infliximab
170566-84-4, LY 303870 170729-80-3, MK 869 171272-39-2, MEN-10930
171752-63-9, , ZD-7944 171859-02-2, RS 100235 172673-20-0, L758298
173050-51-6, SR-142801 174635-69-9, SB-222200 174636-32-9, SB-223412
174769-78-9, S18523 174858-27-6, OT 7100 175413-81-7, SB 205149
176390-32-2, LY0353433 178307-42-1, YH1885. 179045-86-4, Basiliximab
179474-81-8, Prucalopride 180046-99-5, SDZ-NKT-343 183005-37-0, SC
56184 187724-85-2, L 741671 188241-50-1, S19752 193694-35-8,
MDL-105172A 195889-55-5, YH1238 196004-82-7, SB 205800 196004-83-8,
SB 207058 201152-86-5, SR-144190 206052-25-7, MEN-11149 350610-61-6,
NKP-608A 439915-38-5, , L-743986 439915-38-5D, , L-743986, analogs
439915-42-1, RPR-106145 688320-93-6, R 59595 688321-02-0, DAU 6258
688321-03-1, H 40502 688321-07-5, BY 112 688321-08-6, L 363260
688321-17-7, ABT 269 688321-18-8, A 173508 688321-19-9, TKA 457
688321-21-3, RPR 111905 688321-22-4, YM 383336
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT 125978-95-2, Nitric oxide synthase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

IT **34911-55-2**, Bupropion
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(combinations of 5-HT4 agonist or antagonist or 5-HT3 antagonist and co-agent for treatment of gastrointestinal and abdominal visceral disorders)

RN 34911-55-2 HCAPLUS
CN 1-Propanone, 1-(3-chlorophenyl)-2-[(1,1-dimethylethyl)amino]- (9CI) (CA INDEX NAME)



L42 ANSWER 12 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:3672 HCAPLUS
DN 140:35941
ED Entered STN: 04 Jan 2004
TI Methods for treating Crohn's and other TNF associated diseases by administering bupropion
IN Altschuler, Eric
PA USA
SO U.S. Pat. Appl. Publ., 11 pp.
CODEN: USXXCO
DT **Patent**
LA English
IC ICM A61K031-137
NCL 514649000
CC 1-7 (Pharmacology)
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004002546	A1	20040101	US 2002-244037	20020914 <--
PRAI US 2001-322892P	P	20010915 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004002546	ICM	A61K031-137
	NCL	514649000

AB A new method employing a known compound, bupropion hydrochloride (.+-.)-1-(3-chlorophenyl)-2-[(1,1-dimethylethyl)amino]-1-propanone hydrochloride, and its analogs, in a new use for the treatment of TNF-related disorders is described. A patient with Crohn's disease was treated with bupropion.

ST bupropion treatment Crohn disease; TNF assocd disease treatment bupropion

IT Intestine, disease
(Crohn's, treatment of; bupropion for treating Crohn's and other TNF associated diseases)

IT **Kidney, disease**
(**IgA nephropathy**, treatment of; bupropion for treating Crohn's and other TNF associated diseases)

IT Disease, animal
(associated with TNF, treatment of; bupropion for treating Crohn's and other TNF associated diseases)

IT Antiglaucoma agents
Antirheumatic agents
Glaucoma (disease)
Human
Multiple sclerosis
(bupropion for treating Crohn's and other TNF associated diseases)

IT Tumor necrosis factors
RL: ADV (Adverse effect, including toxicity); BSU (Biological study, unclassified); BIOL (Biological study)
(bupropion for treating Crohn's and other TNF associated diseases)

IT Disease, animal
(chronic, treatment of anemia related to; bupropion for treating Crohn's and other TNF associated diseases)

IT Heart, disease
(failure; bupropion for treating Crohn's and other TNF associated diseases)

IT Myeloproliferative disorders
(myelodysplasia, treatment of; bupropion for treating Crohn's and other TNF associated diseases)

IT Anemia (disease)
Psoriasis
Rheumatoid arthritis
(treatment of; bupropion for treating Crohn's and other TNF associated diseases)

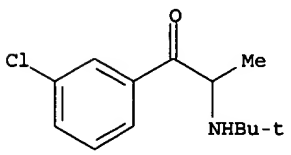
IT Adrenoceptors
RL: ADV (Adverse effect, including toxicity); BSU (Biological study, unclassified); BIOL (Biological study)
(.beta.-, disease treatment without causing down-regulation of; bupropion for treating Crohn's and other TNF associated diseases)

IT 31677-93-7, Bupropion hydrochloride **34911-55-2**, Bupropion
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(bupropion for treating Crohn's and other TNF associated diseases)

IT **34911-55-2**, Bupropion
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(bupropion for treating Crohn's and other TNF associated diseases)

RN 34911-55-2 HCAPLUS

CN 1-Propanone, 1-(3-chlorophenyl)-2-[(1,1-dimethylethyl)amino]- (9CI) (CA INDEX NAME)



L42 ANSWER 13 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:678499 HCAPLUS

DN 139:191454

ED Entered STN: 29 Aug 2003

TI Aryl phosphate, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/phosphate co-transport in humans

IN Peerce, Brian E.

PA USA

SO U.S. Pat. Appl. Publ., 42 pp., Cont.-in-part of U.S. Ser. No. 40,708.

CODEN: USXXCO
DT Patent
LA English
IC ICM A61K031-66
ICS C07F009-02
NCL 514102000; 558156000; 558157000
CC 1-10 (Pharmacology)
Section cross-reference(s): 29, 63
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 2003162753	A1	20030828	US 2002-292916	20021112	<--
	WO 2000043402	A2	20000727	WO 2000-US1681	20000121	<--
	W: AE, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
	US 6355823	B1	20020312	US 2000-646654	20000920	<--
	US 2002133036	A1	20020919	US 2002-40708	20020107	<--
	US 6787528	B2	20040907			
PRAI	US 1999-126417P	P	19990121	<--		
	WO 2000-US1681	W	20000121	<--		
	US 2000-646654	A1	20000920	<--		
	US 2002-40708	A2	20020107	<--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
US 2003162753	ICM	A61K031-66	
	ICS	C07F009-02	
	NCL	514102000; 558156000; 558157000	
US 2003162753	ECLA	C07F009/12; C07F009/18; C07F009/24C4	<--
US 2002133036	ECLA	C07F009/12; C07F009/18; C07F009/24C4	<--

OS MARPAT 139:191454

AB Hydrophilic aryl phosphate, thiophosphate, and aminophosphate intestinal apical membrane sodium-mediated phosphate co-transport inhibitors are disclosed. The compds. can be administered orally, where they act to inhibit sodium-dependent phosphate uptake in the intestines, or internally, where they interact with the phosphate control functions of the kidneys and parathyroid. They are therefore useful for inhibiting alkaline phosphatase activity and sodium-mediated phosphate uptake, reducing serum PTH, calcium, calcitriol, and phosphate, and treating renal disease in an animal, including a human. Compds. of the invention include e.g. 2'-phosphophloretin (preparation described).

ST sodium phosphate cotransport inhibitor aryl phosphate; thiophosphate aryl sodium phosphate cotransport inhibitor; aminophosphate aryl sodium phosphate cotransport inhibitor; intestine apical membrane sodium phosphate cotransport inhibitor; serum PTH calcium calcitriol aryl phosphate; phosphophloretin sodium phosphate cotransport inhibitor; renal disease aryl phosphate thiophosphate aminophosphate

IT Cell membrane
(apical; aryl phosphate, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/phosphate co-transport, and therapeutic use)

IT Human
Intestine
Kidney, disease
(aryl phosphate, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/phosphate co-transport, and therapeutic use)

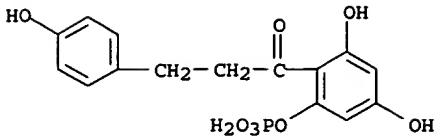
IT Brush border
(brush border membrane; aryl phosphate, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/phosphate co-transport, and therapeutic use)

IT Biological transport
(cotransport; aryl phosphate, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/phosphate co-transport, and therapeutic use)

IT Drug delivery systems
(oral; aryl phosphate, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/phosphate co-transport, and therapeutic use)

IT Drug delivery systems
(parenterals; aryl phosphate, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/phosphate co-transport, and therapeutic use)
IT Transport proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(phosphate-sodium cotransporter; aryl phosphate, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/phosphate co-transport, and therapeutic use)
IT 7440-23-5, Sodium, biological studies 7440-70-2, Calcium, biological studies 9001-78-9, Alkaline phosphatase 9002-64-6, Parathyroid hormone 14265-44-2, Phosphate, biological studies 32222-06-3, Calcitriol
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(aryl phosphate, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/phosphate co-transport, and therapeutic use)
IT **286382-93-2P**, 2'-Phosphophloretin
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aryl phosphate, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/phosphate co-transport, and therapeutic use)
IT 586351-82-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(aryl phosphate, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/phosphate co-transport, and therapeutic use)
IT 51146-50-0P, 4-Phosphophloretin 51146-51-1P, 4'-Phosphophloretin 286382-95-4P 286382-96-5P 286382-97-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aryl phosphate, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/phosphate co-transport, and therapeutic use)
IT 286382-94-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aryl phosphate, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/phosphate co-transport, and therapeutic use)
IT 586351-79-3P
RL: PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(aryl phosphate, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/phosphate co-transport, and therapeutic use)
IT 60-81-1, Phlorizin 60-82-2, Phloretin 100-39-0, Benzyl bromide 108-24-7, Acetic anhydride 108-73-6, Phloroglucinol 500-99-2, 3,5-Dimethoxyphenol 20734-67-2, 3,5-Dihydroxyaniline 22440-58-0, 4-Nitrocinnamoyl chloride 51202-76-7 52820-26-5 82575-52-8 548792-20-7 586351-81-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(aryl phosphate, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/phosphate co-transport, and therapeutic use)
IT 10272-07-8P, 3,5-Dimethoxyaniline 29287-33-0P 42546-55-4P 56798-34-6P 76344-03-1P 126116-75-4P 286383-02-6P 286383-04-8P 286383-05-9P 586351-76-0P 586351-77-1P 586351-78-2P 586351-80-6P 586351-83-9P 586351-84-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(aryl phosphate, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/phosphate co-transport, and therapeutic use)
IT **286382-93-2P**, 2'-Phosphophloretin
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aryl phosphate, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/phosphate co-transport, and therapeutic use)
RN 286382-93-2 HCAPLUS
CN 1-Propanone, 1-[2,4-dihydroxy-6-(phosphonooxy)phenyl]-3-(4-hydroxyphenyl)-

(9CI) (CA INDEX NAME)



L42 ANSWER 14 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:755212 HCAPLUS
DN 137:279361
ED Entered STN: 04 Oct 2002
TI Preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction
IN Garvey, David S.; Saenz De Tejada, Inigo; Gaston, Ricky D.; Khanapure, Subhash P.; Shelekhin, Tatiana E.; Wang, Tiansheng
PA USA
SO U.S. Pat. Appl. Publ., 61 pp., Cont.-in-part of U.S. 6,294,517.
CODEN: USXXCO
DT Patent
LA English
IC ICM A61K031-551
ICS A61K031-517; C07D043-02
NCL 514218000
CC 31-5 (Alkaloids)
Section cross-reference(s): 1, 21, 34, 63
FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 2002143007	A1	20021003	US 2002-146671	20020516	<--
	US 5932538	A	19990803	US 1996-595732	19960202	<--
	US 5994294	A	19991130	US 1996-714313	19960918	<--
	US 6294517	B1	20010925	US 1998-145143	19980901	<--
PRAI	US 1996-595732	A2	19960202	<--		
	US 1996-714313	A2	19960918	<--		
	US 1998-145143	A2	19980901	<--		
	WO 1997-US1294	A2	19970128	<--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
US 2002143007	ICM	A61K031-551	
	ICS	A61K031-517; C07D043-02	
	NCL	514218000	
US 2002143007	ECLA	A61K045/06; C07C381/00; C07D211/62; C07D233/24; C07D239/95; C07D401/04; C07D405/12; C07D459/00C2	<--
US 5932538	ECLA	C07D233/24; C07D239/95; C07D401/04; C07D405/12; C07D459/00C2	<--
US 5994294	ECLA	C07D233/24; C07D239/95; C07D401/04; C07D405/12; C07D459/00C2	<--

OS MARPAT 137:279361
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I, II, III, etc. [R1 = H, alkoxy; R2 = NMe(CH2)aNHCORc, 6,7-dimethoxy-1,2,3,4-tetrahydroisoquinolin-2-yl, etc.; a= 2, 3; Rc = heterocyclic, alkyl, hydroxyalkyl, etc.; D = NO, NO2, etc.; R3 = CH2N(4-MeC6H4)(3-DOC6H4), CH2Ph, 2-methoxy-1,4-benzodioxin-2-yl, etc.; D1 = H or D with the proviso that D1 must be D if there is no other D in the compound; R4 = H, D, CORd; R5 = H, C(O)ORk, etc.; Rd = H, alkyl, cycloalkyl, etc.; Rk = H, alkyl] were prepared For example, nitrosylation of thiol IV (X = H), e.g., prepared from 4-[2-(dimethylamino)ethoxy]-2-methyl-5-(methylethyl)phenyl acetate in 3-steps, with NaNO2/HCl afforded IV.HCL (X = NO) in 82% yield. Compds. I, II, III, etc., donate, transfer or release nitric oxide or elevate levels of endogenous endothelium-derived relaxing factor, and are useful for treatment of sexual dysfunctions in males and females. In erectile response of anesthetized rabbits (2.5 kg), S-nitrosoglutathione, e.g., prepared from glutathione and NaNO2/HCl, at 500 .mu.g dosage was able to induce near maximal response relative to the standard

dose of pap/phent/PGE1.

ST quinazoline nitrosated nitrosylated prepn alpha adrenergic receptor antagonist; yohimbine deriv nitrosated nitrosylated prepn alpha adrenergic receptor antagonist; glutathione deriv nitrosated nitrosylated prepn alpha adrenergic receptor antagonist; sexual dysfunction treatment nitrosated nitrosylated quinazoline yohimbine deriv; endothelium derived relaxing factor elevation nitrosated nitrosylated quinazoline

IT Thiols (organic), biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(S-nitroso, donates, transfers or releases nitric oxide; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Heart, disease
(angina pectoris, treatment of Printzmetal; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT **Prostate gland, disease**
(benign **hyperplasia**; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Ion channel blockers
(calcium, compns. with; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Mental disorder
(cognitive; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Dopamine agonists
Opioid antagonists
(compns. with; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Prostaglandins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. with; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Nervous system, disease
(degeneration; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Cognition
Sexual behavior
(disorder; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Alkaloids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ergot, compns. with; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Heart, disease
(failure; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Alkanes, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(halo, nitrated or nitrosylated derivs.; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Sexual behavior
(impotence, treatment of; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT **Bladder, disease**
(**incontinence**; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Drug delivery systems
(injections, intracavernosal; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Alcohols, biological studies
Alkaloids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nitrated or nitrosylated derivs.; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Amines, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nitrated or nitrosylated; preparation of nitrosated and nitrosylated

.alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Drug delivery systems
(oral; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Ion channel openers
(potassium, compns. with; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Antianginal agents
Antihypertensives
Glaucoma (disease)
Human
Hypertension
(preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Anesthesia
(reversing the state of; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Blood vessel, disease
(spasm; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Drug delivery systems
(transdermal; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Drug delivery systems
(transurethral; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT **Bladder**
(treatment of overactive; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Adrenoceptor antagonists
(.beta.-, compns. with; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT 116243-73-3, Endothelin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. with antagonist of; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT 9025-82-5, Phosphodiesterase
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. with inhibitors of; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT 58-61-7, Adenosine, biological studies 749-02-0, Spiperone 19794-93-5, Trazodone 21102-95-4, BMY 7378 37221-79-7, Vasoactive intestinal peptide 57368-81-7, SNAP 1069 77472-95-8, Chloroethylclonidine 89197-32-0, Efaroxan 157066-76-7, SNAP 5089 160970-54-7, KMD 3213 169505-93-5, RS 17053 179388-65-9, AH 11110A
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. with; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT 56-85-9, Glutamine, biological studies 70-26-8, Ornithine 74-79-3D, L-Arginine, nitrated or nitrosylated derivs. 156-86-5D, L-Homoarginine, nitrated or nitrosylated derivs. 372-75-8, Citrulline 51209-75-7, S-Nitroso-cysteine 53054-07-2D, N.omega.-Hydroxy-L-arginine, nitrated or nitrosylated derivs. 56577-02-7, s-Nitroso-N-acetylcysteine 79032-48-7, S-Nitroso-N-acetylpenicillamine 122130-63-6, S-Nitroso-captopril 139427-42-2, S-Nitroso-homocysteine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(donates, transfers or releases nitric oxide; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT 10102-43-9, Nitric oxide, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(donations, transfer or release of; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT 1607-17-6P 23695-65-0P, Adamantan-2-thione 35231-36-8P 50746-09-3P, 3-Methyl-3-sulfanylbutyl acetate 154741-21-6P 183236-36-4P 194596-78-6P 194596-88-8P 194596-93-5P 194596-95-7P 194596-99-1P 194597-01-8P 194597-04-1P 194597-08-5P 194597-11-0P,

N-[2-[4-(2-Furylcarbonyl)piperazinyl]-6,7-dimethoxyquinazolin-4-yl]-3-methyl-3-sulfanylbutanamide 194597-16-5P 194597-17-6P 194597-19-8P 194597-20-1P 194597-31-4P 251369-36-5P 251369-37-6P 251369-38-7P 251369-39-8P 260267-95-6P 260267-99-0P 260268-00-6P 260268-02-8P 260268-03-9P 260268-04-0P 260268-05-1P 260268-06-2P 260268-07-3P 260268-08-4P 260268-10-8P 260268-14-2P 260268-15-3P 260268-16-4P, 2-Methyl-1-piperazinyl-propan-2-thiol 260268-18-6P 260268-20-0P, 2-[2-[n-(2-Methyl-2-sulfanylpropyl)carbamoyl]phenyl]benzoic acid 260268-21-1P 260268-22-2P 260268-23-3P 260268-24-4P 260268-25-5P 260268-26-6P 464885-33-4P 464885-35-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT 125978-95-2, Nitric oxide synthase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT 50-53-3D, Chlorpromazine, nitrated or nitrosylated derivs. 50-60-2D, Phentolamine, nitrated or nitrosylated derivs. 51-50-3D, Dibenamine, nitrated or nitrosylated derivs. **52-86-8D**, Haloperidol, nitrated or nitrosylated derivs. 54-32-0D, Moxisylyte, nitrated or nitrosylated derivs. 59-96-1D, Phenoxybenzamine, nitrated or nitrosylated derivs. 59-98-3D, Tolazoline, nitrated or nitrosylated derivs. 84-37-7D, Pseudoyohimbine, nitrated or nitrosylated derivs. 92-84-2D, Phenothiazine, nitrated or nitrosylated derivs. 110-85-0D, Piperazine, nitrated or nitrosylated derivs. 110-89-4D, Piperidine, nitrated or nitrosylated derivs. 120-72-9D, Indole, nitrated or nitrosylated derivs. 131-03-3D, Rauwolscine, nitrated or nitrosylated derivs. 253-82-7D, Quinazoline, nitrated or nitrosylated derivs. 483-04-5D, Raubasine, nitrated or nitrosylated derivs. 483-09-0D, Epi-3 .alpha.-yohimbine, nitrated or nitrosylated derivs. 486-04-4D, Corynathine, nitrated or nitrosylated derivs. 504-75-6D, Imidazoline, nitrated or nitrosylated derivs. 511-08-0D, Ergocristine, nitrated or nitrosylated derivs. 511-09-1D, Ergocryptine, nitrated or nitrosylated derivs. 523-13-7D, Yohimbol, nitrated or nitrosylated derivs. 549-84-8D, .beta.-Yohimbine, nitrated or nitrosylated derivs. 564-36-3D, Ergocornine, nitrated or nitrosylated derivs. 613-67-2D, WB 4101, nitrated or nitrosylated derivs. 642-17-1D, Akuammigine, nitrated or nitrosylated derivs. 2671-50-3D, Apoyohimbine, nitrated or nitrosylated derivs. 4287-19-8D, Phenoxypropanolamine, nitrated or nitrosylated derivs. 6474-90-4D, Tetrahydroalstonine, nitrated or nitrosylated derivs. 8006-25-5D, Ergotoxine, nitrated or nitrosylated derivs. 19216-56-9D, Prazosin, nitrated or nitrosylated derivs. 23210-56-2D, Ifenprodil, nitrated or nitrosylated derivs. 26844-12-2D, Indoramin, nitrated or nitrosylated derivs. 34661-75-1D, Urapidil, nitrated or nitrosylated derivs. 34661-85-3D, 5-Methylurapidil, nitrated or nitrosylated derivs. 35795-16-5D, Trimazosin, nitrated or nitrosylated derivs. 36894-69-6D, Labetalol, nitrated or nitrosylated derivs. 40077-13-2D, BE 2254, nitrated or nitrosylated derivs. 41928-02-3D, 10-Hydroxy-yohimbine, nitrated or nitrosylated derivs. 57149-07-2D, Naftopil, nitrated or nitrosylated derivs. 57262-94-9D, Setiptiline, nitrated or nitrosylated derivs. 63590-64-7D, Terazosin, nitrated or nitrosylated derivs. 67339-62-2D, ARC 239, nitrated or nitrosylated derivs. 71620-89-8D, Reboxitine, nitrated or nitrosylated derivs. 72956-09-3D, Carvedilol, nitrated or nitrosylated derivs. 74050-98-9D, Ketanserin, nitrated or nitrosylated derivs. 74191-85-8D, Doxazosin, nitrated or nitrosylated derivs. 79944-58-4D, Idazoxan, nitrated or nitrosylated derivs. 80755-51-7D, Bunazosin, nitrated or nitrosylated derivs. 81403-80-7D, Alfuzosin, nitrated or nitrosylated derivs. 85650-52-8D, Mirtazipine, nitrated or nitrosylated derivs. 90402-40-7D, Abanoquil, nitrated or nitrosylated derivs. 90880-94-7, Endothelium-derived relaxing factor 90961-53-8D, Tedisamil, nitrated or nitrosylated derivs. 92642-97-2D, Benoxathian, nitrated or nitrosylated derivs. 102575-24-6D, RX 821002, nitrated or nitrosylated derivs. 102669-89-6D, Saterinone, nitrated or nitrosylated derivs. 103377-41-9D, Monatepil, nitrated or nitrosylated derivs. 104054-27-5D, Atipamezole, nitrated or nitrosylated derivs. 106133-20-4D, Tamsulosin, nitrated or nitrosylated derivs. 110706-39-3D, BRL 44409, nitrated or nitrosylated derivs. 113165-32-5D, Niguldipine, nitrated or nitrosylated derivs. 115219-10-8D, BAM 1303, nitrated or nitrosylated derivs. 118343-19-4D, BRL 44408, nitrated or nitrosylated derivs. 119905-05-4D, Delequamine, nitrated or nitrosylated derivs. 122830-14-2D, Deriglidole, nitrated or nitrosylated derivs. 140405-13-6D, 11-Hydroxy-yohimbine, nitrated or nitrosylated derivs. 152735-23-4D, SB 216469, nitrated or nitrosylated derivs. 194674-08-3D, HU 723, nitrated or nitrosylated derivs. 194674-19-6D, SL 89.0591,

nitrated or nitrosylated derivs.
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

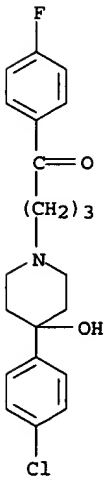
IT 54-32-0 70-18-8, reactions 73-05-2 77-92-9, Citric acid, reactions 100-51-6, Benzyl alcohol, reactions 108-30-5, Succinic anhydride, reactions 108-55-4, Glutaric anhydride 110-15-6, Succinic acid, reactions 110-85-0, Piperazine, reactions 110-87-2, Dihydropyran 115-77-5, Pentaerythritol, reactions 146-48-5, Yohimbine 540-88-5, tert-Butyl acetate 700-58-3, Adamantan-2-one 1126-09-6, Ethyl isonipecotate 3772-13-2, 2,2-Dimethylthiirane 4480-83-5, Diglycolic anhydride 6050-13-1, Dibenz[c,e]oxepin-5,7-dione 19216-56-9, 4-(4-Amino-6,7-dimethoxyquinazolin-2-yl)piperazinyl 2-furyl ketone 24424-99-5, Di-tert-butyldicarbonate 32047-53-3, 1-Amino-2-methylpropane-2-thiol hydrochloride 34300-94-2, 3-Methyl-3-sulfanylbutan-1-ol 39981-47-0, 1-Methylamino-2-methylpropan-2-thiol hydrochloride 40077-13-2 54322-10-0 57149-07-2, 3-[4-(2-Methoxyphenyl)piperazinyl]-1-naphthyloxypropan-2-ol 58479-61-1 59681-08-2 59729-24-7, 3-Methyl-3-sulfanylbutanoic acid 61040-78-6, 2,4,6-Trimethoxybenzyl alcohol 260268-09-5 260268-11-9 260268-12-0 260268-17-5 464885-34-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT 110-17-8P, Fumaric acid, preparation 260267-68-3P 260267-71-8P 260267-75-2P 260267-77-4P 260267-80-9P 260267-87-6P 260268-19-7P 464885-30-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(target compound; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT 57564-91-7P 251369-32-1P 251369-33-2P 260267-69-4P 260267-72-9P 260267-76-3P 260267-78-5P 260267-81-0P 260267-85-4P 260267-88-7P 260267-89-8P 260268-01-7P 260268-13-1P 428520-29-0P 428520-30-3P 464885-27-6P 464885-28-7P 464885-29-8P 464885-31-2P 464885-32-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT 52-86-8D, Haloperidol, nitrated or nitrosylated derivs.
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of nitrosated and nitrosylated .alpha.-adrenergic receptor antagonists for the treatment of sexual dysfunction)

RN 52-86-8 HCAPLUS
CN 1-Butanone, 4-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



L42 ANSWER 15 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:51903 HCAPLUS
DN 136:107547
ED Entered STN: 18 Jan 2002
TI Rapid-melt semisolid compositions for the delivery of prophylactic and therapeutic agents
IN Cherukuri, Subraman Rao
PA USA
SO U.S. Pat. Appl. Publ., 16 pp., Cont.-in-part of U.S. Ser. No. 610,489.
CODEN: USXXCO
DT **Patent**
LA English
IC ICM A61K009-20
NCL 424465000
CC 63-6 (Pharmaceuticals)
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002006440	A1	20020117	US 2001-858885	20010517 <--
	US 6589556	B2	20030708		
	US 6375982	B1	20020423	US 2000-610489	20000705 <--
	WO 2002002080	A1	20020110	WO 2001-US41265	20010705 <--
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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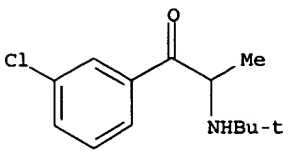
	US 2002187188	A1	20021212	US 2002-208877	20020801 <--
PRAI	US 2000-610489	A2	20000705 <--		
	US 2001-858885	A	20010517 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2002006440	ICM	A61K009-20
	NCL	424465000
US 2002006440	ECLA	A61K009/00M18B <--
US 2002187188	ECLA	A61K009/00M18B <--

AB A novel rapid-melt, semisolid molded composition, including methods of making the same, for the delivery of prophylactic and therapeutic agents to a mammal wherein the prophylactic or therapeutic active is a psychotropic, a gastrointestinal therapeutic or a antimigraine agent is disclosed. Thus, 8.00 g cocoa butter, 0.80 g lecithin and 2.00 g sorbitan monostearate were melted. PEG (6.0 g), 4.00 g glycerin and 0.40 g polyoxyethylene sorbitan ester were added to the melt. He mixture was mixed for 6 min at 130.degree.F., and then for another 2 min at 120.degree.F. Xylitol (20.80 g) were added to the mixture and mixed for 5 min at 120.degree.F. Microencapsulated acetaminophen (26.94 g) were added to the mixture and the mixture was mixed for 7 min. Red #40 (0.16 g), 0.40 g vanilla flavoring and 0.80 g strawberry flavoring were added to the mixture, resulting in 200.30 g final mixture The mixture was mixed for 10 min, until all of the ingredients had been thoroughly mixed. The final mixture was molded into the final product and allowed to set-up. The resultant product contained 13.47% acetaminophen.
ST semisolid rapid melt drug delivery
IT Nose, disease
(allergic rhinitis, inhibitors; rapid-melt semisolid compns. for delivery of prophylactic and therapeutic agents)
IT **Prostate gland, disease**
(benign **hyperplasia**, inhibitors; rapid-melt semisolid compns. for delivery of prophylactic and therapeutic agents)
IT Insomnia
(inhibitors; rapid-melt semisolid compns. for delivery of prophylactic

and therapeutic agents)
IT Anti-inflammatory agents
(nonsteroidal; rapid-melt semisolid compns. for delivery of prophylactic and therapeutic agents)
IT Anti-inflammatory agents
Anticonvulsants
Antidepressants
Antidiarrheals
Antiemetics
Antimigraine agents
Antiulcer agents
Antiviral agents
Anxiolytics
Cardiovascular agents
Fungicides
Psychotropics
(rapid-melt semisolid compns. for delivery of prophylactic and therapeutic agents)
IT Opioids
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(rapid-melt semisolid compns. for delivery of prophylactic and therapeutic agents)
IT Drug delivery systems
(semisolid; rapid-melt semisolid compns. for delivery of prophylactic and therapeutic agents)
IT Digestive tract
(therapeutic agents for; rapid-melt semisolid compns. for delivery of prophylactic and therapeutic agents)
IT 50-47-5, Desipramine 50-48-6, Amitriptyline 50-49-7, Imipramine 50-78-2, Aspirin 51-71-8, Phenelzine 52-28-8, Codeine phosphate 52-53-9, Verapamil 58-38-8, Prochlorperazine 72-69-5, Nortriptyline 99-66-1 103-90-2, Acetaminophen 113-15-5, Ergotamine 155-09-9, Tranylcypromine 303-49-1, Clomipramine 361-37-5, Methysergide 364-62-5, Metoclopramide 438-60-8, Protriptyline 511-12-6, Dihydroergotamine 525-66-6, Propranolol 599-79-1, Sulfasalazine 739-71-9, Trimipramine 915-30-0, Diphenoxylate 1668-19-5, Doxepin 6809-52-5, Teprenone 8029-99-0, Camphorated opium 10262-69-8, Maprotiline 14028-44-5, Amoxapine 15676-16-1, Sulpiride 19794-93-5, Trazodone 34552-83-5, Loperamide hydrochloride **34911-55-2**, Bupropion 51481-61-9, Cimetidine 54739-18-3, Fluvoxamine 56296-78-7, Fluoxetine hydrochloride 66357-59-3, Ranitidine hydrochloride 73590-58-6, Omeprazole 76824-35-6, Famotidine 76963-41-2, Nizatidine 78246-49-8, Paroxetine hydrochloride 79559-97-0, Sertraline hydrochloride 80573-04-2, Balsalazide 81098-60-4, Cisapride 83366-66-9, Nefazodone 85650-52-8, Mirtazapine 89565-68-4, Tropisetron 93413-69-5, Venlafaxine 99300-78-4, Venlafaxine hydrochloride 99614-01-4, Ondansetron hydrochloride 103577-45-3, Lansoprazole 103628-48-4, Sumatriptan succinate 107007-99-8, Granisetron hydrochloride 115956-13-3, Dolasetron mesylate 117976-90-6, Rabeprazole sodium 121679-13-8, Naratriptan 139264-17-8, Zolmitriptan 143322-58-1, Eletriptan 145202-66-0, Rizatriptan benzoate 170277-31-3, Infliximab
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(rapid-melt semisolid compns. for delivery of prophylactic and therapeutic agents)
IT **34911-55-2**, Bupropion
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(rapid-melt semisolid compns. for delivery of prophylactic and therapeutic agents)
RN 34911-55-2 HCAPLUS
CN 1-Propanone, 1-(3-chlorophenyl)-2-[(1,1-dimethylethyl)amino]- (9CI) (CA INDEX NAME)



L42 ANSWER 16 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:869022 HCAPLUS

DN 135:366781
ED Entered STN: 30 Nov 2001
TI Compositions and methods for treating particular chemical addictions and mental illnesses
IN Pozuelo, Jose
PA Spain
SO U.S. Pat. Appl. Publ., 15 pp., Cont.-in-part of U.S. Ser. No. 73,337, abandoned.
CODEN: USXXCO
DT **Patent**
LA English
IC ICM A61K031-47
ICS A61K031-195; A61K031-45
NCL 514310000
CC 1-12 (Pharmacology)
Section cross-reference(s): 4
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2001047010	A1	20011129	US 2001-773336	20010131 <--
	US 2004167164	A1	20040826	US 2004-759633	20040116 <--
PRAI	US 1998-73337	B2	19980505 <--		
	US 2001-773336	B2	20010131 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 20010047010	ICM	A61K031-47
	ICS	A61K031-195; A61K031-45
	NCL	514310000

AB Pharmaceutical compns. comprising an effective amount of alpha-methylparatyrosine (AMPT), and haloperidol, alpha methyl-para-tyrosine in combination with an effective amount of naltrexone are disclosed for treating addiction to an array of agents such as heroin, narcotics, cocaine, amphetamines and/or marijuana and for treating alcoholism and dependence on nicotine intake, such as smoking. Also disclosed are pharmaceutical compns. and related methods for treating various mental illnesses or conditions, such as for example, schizophrenia and manic depressive psychosis.

ST addiction treatment methylparatyrosine haloperidol naltrexone; haloperidol schizophrenia treatment nicotine cocaine heroin narcotic abuse; antipsychotic chlorophenylhydroxypiperidinofluorobutyrophenone haloperidol marijuana dependence smoking

IT Drugs of abuse
(abuse of; alpha methylparatyrosine, haloperidol and naltrexone for treatment of particular chemical addictions and mental illnesses)

IT **Urine**
(alkalinizers; alpha methylparatyrosine, haloperidol and naltrexone for treatment of particular chemical addictions and mental illnesses)

IT Alcoholism
Antipsychotics
Drug dependence
Narcotics
Schizophrenia
Tobacco smoke
(alpha methylparatyrosine, haloperidol and naltrexone for treatment of particular chemical addictions and mental illnesses)

IT Mental disorder
(manic bipolar disorder; alpha methylparatyrosine, haloperidol and naltrexone for treatment of particular chemical addictions and mental illnesses)

IT Cannabis sativa
(marijuana; alpha methylparatyrosine, haloperidol and naltrexone for treatment of particular chemical addictions and mental illnesses)

IT Behavior
(smoking; alpha methylparatyrosine, haloperidol and naltrexone for treatment of particular chemical addictions and mental illnesses)

IT 50-36-2, Cocaine 54-11-5, Nicotine 64-17-5, Ethanol, biological studies 300-62-9, Amphetamine 561-27-3, Heroin
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(alpha methylparatyrosine, haloperidol and naltrexone for treatment of particular chemical addictions and mental illnesses)

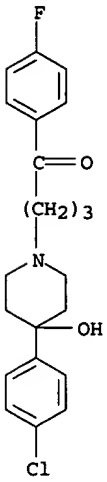
IT **52-86-8**, 4-[4-(p-Chlorophenyl)-4-hydroxypiperidino]-4'-fluorobutyrophenone 658-48-0 16590-41-3, Naltrexone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(alpha methylparatyrosine, haloperidol and naltrexone for treatment of particular chemical addictions and mental illnesses)

IT **52-86-8**, 4-[4-(p-Chlorophenyl)-4-hydroxypiperidino]-4'-fluorobutyrophenone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(alpha methylparatyrosine, haloperidol and naltrexone for treatment of particular chemical addictions and mental illnesses)

RN 52-86-8 HCAPLUS

CN 1-Butanone, 4-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



L42 ANSWER 17 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:780649 HCAPLUS

DN 128:48214

ED Entered STN: 13 Dec 1997

TI Preparation of 3,5-diphenyl-2(5H)-furanone derivatives as nonpeptide endothelin I antagonists

IN Berryman, Kent Alan; Doherty, Annette Marian; Edmunds, Jeremy John; Patt, William Chester; Plummer, Mark Stephen; Repine, Joseph Thomas

PA Warner-Lambert Co., USA

SO U.S., 120 pp., Cont.-in-part of U.S. Ser. No. 278,882, abandoned.
CODEN: USXXAM

DT Patent

LA English

IC ICM A61K031-36
ICS A61K031-38; A61K031-44; A61K031-535

NCL 514464000

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

FAN.CNT 2

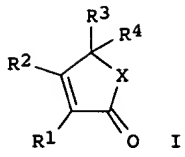
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5691373	A	19971125	US 1995-384083	19950206 <--
	CA 2165567	AA	19950223	CA 1994-2165567	19940809 <--
	HU 74179	A2	19961128	HU 1996-365	19940809 <--
	ZA 9406265	A	19960219	ZA 1994-6265	19940818 <--
	US 6017916	A	20000125	US 1997-787423	19970122 <--
PRAI	US 1993-109751		19930819	<--	
	US 1994-217578		19940324	<--	
	US 1994-278882		19940726	<--	
	US 1995-384083		19950206	<--	

CLASS

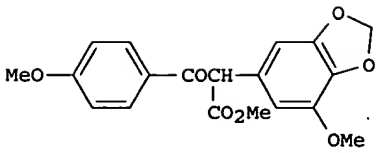
PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5691373	ICM	A61K031-36
	ICS	A61K031-38; A61K031-44; A61K031-535
	NCL	514464000

OS MARPAT 128:48214

GI



I



II

AB Novel nonpeptide antagonists of endothelin I represented by formula [I; R1 = (un)substituted C3-12 cycloalkyl, Ph substituted with 1-5 substituents, naphthyl or heteroaryl optionally substituted with 1-5 substituents; R2 = C1-12 linear or branched alkyl, C3-12 linear or branched cycloalkyl, aryl optionally substituted with 1-5 substituents, heteroaryl optionally substituted with 1-3 substituents; R3 = (un)substituted C1-12 linear or branched alkyl, (un)substituted C3-12 cycloalkyl, aryl optionally substituted with 1-5 substituents, heteroaryl optionally substituted with 1-3 substituents; R4 = OH, OR5, (CH2)nOR5; wherein R5 = (un)substituted C1-7 alkyl; X = O, S] or tautomeric open chain keto-acids forms thereof or pharmaceutically acceptable salt thereof are prepared Also described are pharmaceutical compns. of the above compds., which are useful in treating elevated levels of endothelin, acute and chronic renal failure, hypertension, myocardial infarction, myocardial ischemia, cerebral vasospasm, cerebral ischemia, cerebral infarction, cirrhosis, septic shock, congestive heart failure, endotoxic shock, subarachnoid hemorrhage, arrhythmia, asthma, preeclampsia, atherosclerotic disorders including Raynaud's disease and restenosis, angina, cancer, pulmonary hypertension, ischemic disease, gastric mucosal damage, hemorrhagic shock, ischemic bowel disease, stroke, benign prostatic hyperplasia (BPH), and diabetes. Thus, Me 2-benzoyl-2-phenylacetate derivative (II) and 3,4,5-trimethoxybenzladehyde were refluxed in the presence of NaOMe in MeOH for 18 h and the solution was treated with AcOH and refluxed an addnl. 72 h, followed by saponification of the product with 1N aqueous NaOH and acidification to give 28% I (X = O, R1 = Q, R2 = 3,4,5-trimethoxyphenyl, R3 = 4-methoxyphenyl, R4 = OH). The latter compound in vitro showed an antagonism of endothelin I-stimulated vasoconstriction in the rabbit femoral artery and sarafotoxin 6c-stimulated vasoconstriction in the rabbit pulmonary artery with pA2 values of 0.00025 and 0.34, resp.

ST phenylfuranone prepn endothelin I antagonist; acute chronic renal failure; hypertension treatment diphenylfuranone; myocardial infarction treatment diphenylfuranone; ischemia myocardial treatment diphenylfuranone; cerebral vasospasm ischemia infarction treatment diphenylfuranone; cirrhosis treatment diphenylfuranone; septic shock treatment diphenylfuranone; congestive heart failure treatment diphenylfuranone; endotoxic shock treatment diphenylfuranone; subarachnoid hemorrhage treatment diphenylfuranone; arrhythmia treatment diphenylfuranone; asthma treatment diphenylfuranone; preeclampsia treatment diphenylfuranone; atherosclerotic disorder treatment diphenylfuranone; Raynaud disease treatment diphenylfuranone; restenosis treatment diphenylfuranone; angina treatment diphenylfuranone; cancer treatment diphenylfuranone; pulmonary hypertension treatment diphenylfuranone; gastric mucosal damage treatment diphenylfuranone; hemorrhagic shock treatment diphenylfuranone; ischemic bowel disease treatment diphenylfuranone; stroke treatment diphenylfuranone; benign prostatic hyperplasia treatment diphenylfuranone; diabetes treatment diphenylfuranone

IT Blood vessel, disease
(Raynaud's phenomenon; preparation of diphenylfuranone derivs. as nonpeptide endothelin I antagonists for disease treatment)

IT Heart, disease
(angina pectoris; preparation of diphenylfuranone derivs. as nonpeptide endothelin I antagonists for disease treatment)

IT Antiarteriosclerotics
(antiatherosclerotics; preparation of diphenylfuranone derivs. as nonpeptide endothelin I antagonists for disease treatment)

IT Prostate gland
(benign hyperplasia; preparation of diphenylfuranone derivs. as nonpeptide endothelin I antagonists for disease treatment)

IT Brain, disease
(cerebrum, vasospasm; preparation of diphenylfuranone derivs. as nonpeptide endothelin I antagonists for disease treatment)

IT Artery, disease
(coronary, restenosis; preparation of diphenylfuranone derivs. as nonpeptide

endothelin I antagonists for disease treatment)
IT **Kidney, disease**
(**failure, acute**; preparation of diphenylfuranone derivs. as nonpeptide endothelin I antagonists for disease treatment)
IT **Kidney, disease**
(**failure, chronic**; preparation of diphenylfuranone derivs. as nonpeptide endothelin I antagonists for disease treatment)
IT Heart, disease
(failure; preparation of diphenylfuranone derivs. as nonpeptide endothelin I antagonists for disease treatment)
IT Shock (circulatory collapse)
(hemorrhagic; preparation of diphenylfuranone derivs. as nonpeptide endothelin I antagonists for disease treatment)
IT Brain, disease
Heart, disease
(infarction; preparation of diphenylfuranone derivs. as nonpeptide endothelin I antagonists for disease treatment)
IT Brain, disease
Heart, disease
Intestine, disease
(ischemia; preparation of diphenylfuranone derivs. as nonpeptide endothelin I antagonists for disease treatment)
IT Stomach
(mucosa, damage; preparation of diphenylfuranone derivs. as nonpeptide endothelin I antagonists for disease treatment)
IT Antiarrhythmics
Antiasthmatics
Antidiabetic agents
Antihypertensives
Antitumor agents
Cirrhosis
Ischemia
Preeclampsia
(preparation of diphenylfuranone derivs. as nonpeptide endothelin I antagonists for disease treatment)
IT Hypertension
(pulmonary; preparation of diphenylfuranone derivs. as nonpeptide endothelin I antagonists for disease treatment)
IT Shock (circulatory collapse)
(septic; preparation of diphenylfuranone derivs. as nonpeptide endothelin I antagonists for disease treatment)
IT Brain, disease
(stroke; preparation of diphenylfuranone derivs. as nonpeptide endothelin I antagonists for disease treatment)
IT Meninges
(subarachnoid hemorrhage; preparation of diphenylfuranone derivs. as nonpeptide endothelin I antagonists for disease treatment)
IT 7512-78-9P 162256-35-1P 162256-36-2P 162256-37-3P 162256-38-4P
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199731-92-5P 199731-93-6P 199732-13-3P 199732-20-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diphenylfuranone derivs. as nonpeptide endothelin I antagonists for disease treatment)

IT 199732-21-3P 199734-13-9P 199734-73-1P 199735-99-4P 199737-05-8P
199737-21-8P 199738-46-0P 199739-82-7P 199740-91-5P 199741-20-3P
199742-18-2P 199742-19-3P 199742-20-6P 199742-21-7P 199742-22-8P
199742-23-9P 199742-24-0P 199742-25-1P 199742-30-8P 199742-38-6P
199742-42-2P 199742-43-3P 199742-53-5P 199742-54-6P 199742-68-2P
199742-79-5P 199742-80-8P 199742-84-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diphenylfuranone derivs. as nonpeptide endothelin I antagonists for disease treatment)

IT 123626-67-5, Endothelin I
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)
(preparation of diphenylfuranone derivs. as nonpeptide endothelin I antagonists for disease treatment)

IT 62-53-3, Benzenamine, reactions 66-77-3, 1-Naphthaldehyde 66-99-9, 2-Naphthaldehyde 71-23-8, 1-Propanol, reactions 75-07-0, Acetaldehyde, reactions 75-26-3, Isopropyl bromide 75-52-5, Nitromethane, reactions 86-51-1, 2,3-Dimethoxybenzaldehyde 86-81-7, 3,4,5-Trimethoxybenzaldehyde 89-98-5, o-Chlorobenzaldehyde 93-02-7, 2,5-Dimethoxybenzaldehyde 94-41-7, Chalcone 97-96-1, 2-Ethylbutyraldehyde 98-03-3, 2-Thiophenecarboxaldehyde 99-02-5, m-Chloroacetophenone 99-91-2 99-93-4, 4'-Hydroxyacetophenone 100-06-1 100-10-7, 4-(Dimethylamino)benzaldehyde 100-39-0, Benzyl bromide 100-46-9, Benzylamine, reactions 100-50-5, 1,2,5,6-Tetrahydrobenzaldehyde 100-52-7, Benzaldehyde, reactions 104-87-0 104-88-1, p-Chlorobenzaldehyde, reactions 106-95-6, Allyl bromide, reactions 107-18-6, 2-Propen-1-ol, reactions 110-62-3, Valeraldehyde 120-14-9, 3,4-Dimethoxybenzaldehyde 120-57-0, Piperonal 122-00-9 122-03-2, 4-Isopropylbenzaldehyde 122-85-0, 4-Acetamidobenzaldehyde 123-11-5, p-Anisaldehyde, reactions 123-41-1, Choline hydroxide 135-02-4, 2-Methoxybenzaldehyde 137-43-9, Bromocyclopentane 151-50-8, Potassium cyanide 446-52-6, 2-Fluorobenzaldehyde 454-89-7, 3-Trifluoromethylbenzaldehyde 456-48-4, 3-Fluorobenzaldehyde 498-60-2, 3-Furaldehyde 498-62-4, 3-Thiophenecarboxaldehyde 500-22-1, 3-Pyridylcarboxaldehyde 529-20-4, o-Tolualdehyde 552-41-0 577-16-2, o-Methylacetophenone 579-74-8, o-Methoxyacetophenone 585-74-0, m-Methylacetophenone 586-37-8, m-Methoxyacetophenone 587-04-2, m-Chlorobenzaldehyde 591-31-1, m-Anisaldehyde 613-45-6, 2,4-Dimethoxybenzaldehyde 620-23-5, m-Tolylaldehyde 620-24-6, 3-Hydroxybenzyl alcohol 626-19-7, 3-Formylbenzaldehyde 653-37-2, Pentafluorobenzaldehyde 673-22-3, 2-Hydroxy-4-methoxybenzaldehyde 829-20-9 830-79-5, 2,4,6-Trimethoxybenzaldehyde 872-53-7, Cyclopentanecarboxaldehyde 937-30-4, 4'-Ethylacetophenone 939-97-9 1122-91-4, 4-Bromobenzaldehyde 1129-28-8 1131-62-0, 3',4'-Dimethoxyacetophenone **1226-42-2**, 4,4'-Dimethoxybenzil 1443-80-7, 4'-Cyanoacetophenone 1489-69-6, Cyclopropylcarboxaldehyde 1571-08-0, Methyl 4-formylbenzoate 1778-09-2, 4'-Methylthioacetophenone 2038-03-1, N-(2-Aminoethyl)morpholine 2043-61-0, Cyclohexanecarboxaldehyde 2103-57-3, 2,3,4-Trimethoxybenzaldehyde 2243-42-7, o-Phenoxybenzoic acid 2426-87-1, 3-Methoxy-4-benzyloxybenzaldehyde 2538-98-9 2642-63-9, 3',4'-Dichloroacetophenone

2861-28-1, 3,4-(Methylenedioxy)phenylacetic acid 2879-20-1 3162-29-6
3218-36-8, 4-Phenylbenzaldehyde 3446-89-7, 4-Methylthiobenzaldehyde
3894-09-5, 2-Cyclohexyl-2-phenylacetic acid 3900-93-4,
2-Cyclopentyl-2-phenylacetic acid 4277-29-6, Cycloheptanecarboxaldehyde
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Trimethoxybenzaldehyde 4832-52-4 4903-09-7, 3-Chloro-4-
methoxybenzaldehyde 5292-21-7, Cyclohexylacetic acid 5470-80-4,
3-Isoquinolinecarboxaldehyde 5736-88-9, 4-Butoxybenzaldehyde
5780-07-4, 3-Methoxy-4,5-methylenedioxybenzaldehyde 6287-38-3,
3,4-Dichlorobenzaldehyde 6482-24-2 6638-79-5, O,N-
Dimethylhydroxylamine hydrochloride 6745-75-1 7311-34-4,
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3,5-Dichlorobenzaldehyde 13670-99-0, 2,6-Difluoroacetophenone
14649-03-7 15164-44-0, 4-Iodobenzaldehyde 18075-40-6 18278-24-5
18962-05-5, 4-Isopropoxybenzaldehyde 19012-03-4, 1-Methylindole-3-
carboxaldehyde 19894-97-4, (1R)-(-)-Myrtenol 24076-33-3 32723-67-4,
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38998-17-3, 2,3-Dimethyl-4-methoxybenzaldehyde 39151-19-4,
3,5-Dimethoxyacetophenone 39250-90-3, 3,5-Dimethyl-4-methoxybenzaldehyde
40582-99-8 52178-50-4, Methyl 3-formylbenzoate 57230-04-3,
3,4-Dimethoxy-5-benzyloxybenzaldehyde 67698-61-7, 3-Propoxybenzaldehyde
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195506-14-0 195506-21-9 199743-06-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of diphenylfuranone derivs. as nonpeptide endothelin I
antagonists for disease treatment)

IT 959-23-9P 1515-87-3P 2373-89-9P 2373-93-5P 3179-10-0P 5066-65-9P
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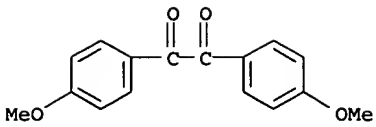
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of diphenylfuranone derivs. as nonpeptide endothelin I
antagonists for disease treatment)

IT **1226-42-2**, 4,4'-Dimethoxybenzil
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of diphenylfuranone derivs. as nonpeptide endothelin I
antagonists for disease treatment)

RN 1226-42-2 HCAPLUS
CN Ethanedione, bis(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



L42 ANSWER 18 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:66952 HCAPLUS
DN 118:66952
ED Entered STN: 16 Feb 1993
TI Apparatus and methods for administering medicaments by direct contact to the buccal mucosa
IN Stanley, Theodore H.
PA University of Utah, USA
SO U.S., 22 pp. Cont.-in-part of U.S. 4,863,737.
CODEN: USXXAM
DT **Patent**
LA English
IC ICM A61K009-22
NCL 604890100
CC 63-8 (Pharmaceuticals)
FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5122127	A	19920616	US 1989-403743	19890905 <--
	US 4671953	A	19870609	US 1985-729301	19850501 <--
	EP 487520	A1	19920603	EP 1989-909497	19890816 <--
	EP 487520	B1	19950412		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 05501539	T2	19930325	JP 1989-504878	19890816 <--
	JP 2801050	B2	19980921		
	AU 641127	B2	19930916	AU 1989-40704	19890816 <--
	AT 120953	E	19950415	AT 1989-909497	19890816 <--
	CA 1338978	A1	19970311	CA 1989-609378	19890824 <--
	AU 9050352	A1	19910408	AU 1990-50352	19890905 <--
	AU 645966	B2	19940203		
	EP 493380	A1	19920708	EP 1990-902584	19890905 <--
	EP 493380	B1	19971029		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	US 5132114	A	19920721	US 1989-402881	19890905 <--
	JP 05501854	T2	19930408	JP 1990-502779	19890905 <--
	CA 1339075	A1	19970729	CA 1989-610329	19890905 <--
	AT 159658	E	19971115	AT 1990-902584	19890905 <--
	NO 9200565	A	19920213	NO 1992-565	19920213 <--
	DK 9200193	A	19920214	DK 1992-193	19920214 <--
	NO 9200856	A	19920406	NO 1992-856	19920304 <--
	NO 9200855	A	19920410	NO 1992-855	19920304 <--
	NO 9200854	A	19920427	NO 1992-854	19920304 <--
	DK 9200300	A	19920505	DK 1992-300	19920305 <--
	AU 9460697	A1	19940623	AU 1994-60697	19940427 <--
PRAI	US 1985-729301	A2	19850501 <--		
	US 1987-60045	A2	19870608 <--		
	EP 1989-909497	A	19890816 <--		
	WO 1989-US3518	W	19890816 <--		
	US 1989-403743	A	19890905 <--		
	WO 1989-US3801	A	19890905 <--		
	WO 1990-US4368	W	19900803 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5122127	ICM	A61K009-22
	NCL	604890100

AB A mucosal dome is described for dose-to-effect transmucosal drug administration. The drug is placed in a chamber inside the device, which is directly to the surface of the buccal mucosa. The delivery rate of the drug is controlled by adjusting the contact area between the drug and mucosa, or by adding a penetration enhancer to the drug. The device was used for the transbuccal delivery of insulin to dogs. An solution (pH 8.3-8.6; NaOH) containing 450 U insulin/mL and 8.8% Na cholate (penetration enhancer) was used. The contact area was 1.89 cm2.
ST mucosa mouth drug delivery device
IT Peptides, biological studies
Proteins, biological studies

RL: BIOL (Biological study)
(drugs, mucosal buccal device for delivery of)

IT Antidiuretics
Antiemetics
Bronchodilators
Cardiovascular agents
Nervous system agents
Opioids
RL: BIOL (Biological study)
(mucosal delivery of, buccal device for)

IT Alcohols, biological studies
Bile salts
Fatty acids, biological studies
RL: BIOL (Biological study)
(penetration enhancer, for mucosa buccal drug delivery)

IT Opioids
RL: BIOL (Biological study)
(antagonists, mucosal delivery of, buccal device for)

IT **Kidney, disease**
(circulatory, treatment of, drugs for, mucosal buccal device for)

IT Respiratory tract
(disease, treatment of, drugs for, mucosal buccal device for)

IT Headache
(migraine, treatment of, drugs for, mucosal buccal device for)

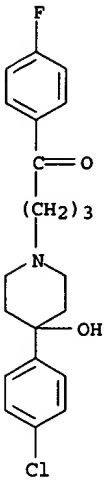
IT Pharmaceutical dosage forms
(mucosal, buccal, device for delivery of)

IT 50-56-6, Oxytocin, biological studies 50-57-7, Lypressin 51-30-9, Isoproterenol hydrochloride 51-43-4, Epinephrine 51-61-6, Dopamine, biological studies **52-86-8**, Haloperidol 55-63-0, Nitroglycerin 58-38-8, Prochlorperazine 58-55-9, Theophylline, biological studies 59-41-6, Bretylium 59-92-7, Levodopa, biological studies 60-79-7, Ergonovine 63-12-7, BEnzquinamide 76-74-4, Pentobarbital 76-75-5, Thiopental 77-27-0, Thiamylal 9004-10-8, Insulin, biological studies 11000-17-2, Vasopressin 15078-28-1, Nitroprusside 18559-94-9, Albuterol 20594-83-6 21829-25-4, Nifedipine 23031-25-6, Terbutaline 23593-75-1, Clotrimazole 28860-95-9, Carbidopa 28911-01-5, Triazolam 33125-97-2, Etomidate 36894-69-6 42200-33-9, Nadolol 51384-51-1 54182-58-0, Sucralfate 54767-75-8, Suloctidil 56030-54-7, Sufentanil 59467-70-8, Midazolam 59708-52-0, Carfentanil 61380-40-3, Lofentanil 62288-83-9, Desmopressin acetate 62571-86-2, Captopril 71195-58-9, Alfentanil 75847-73-3, Enalapril 81147-92-4, Esmolol 113-15-5, Ergotamine 137-58-6, Lidocaine 138-56-7, Trimethobenzamide 151-83-7, Methohexital 317-34-0, Aminophylline 361-37-5, Methysergide 364-62-5, Metoclopramide 437-38-7, Fentanyl 439-14-5, Diazepam 465-65-6, Naloxone 479-18-5, Dyphylline 525-66-6, Propranolol 530-08-5, Isoetharine 548-73-2, Droperidol 569-65-3, Meclizine 586-06-1, Metaproterenol 604-75-1, Oxazepam 652-67-5, Isosorbide 846-49-1, Lorazepam 1400-61-9, Nystatin 1421-14-3, Propanidid 2078-54-8, Diprivan 3385-03-3, Flunisolide 4205-90-7, Clonidine 4419-39-0, Beclomethasone 4499-40-5, Oxtriphylline 6740-88-1, Ketamine
RL: BIOL (Biological study)
(mucosal delivery of, buccal device for)

IT 81-24-3D, salts 81-25-4D, salts 83-44-3D, Deoxycholic acid, salts 475-31-0D, salts 516-50-7D, salts 7775-09-9
RL: USES (Uses)
(penetration enhancer, for mucosa buccal drug delivery)

IT **52-86-8**, Haloperidol
RL: BIOL (Biological study)
(mucosal delivery of, buccal device for)

RN 52-86-8 HCAPLUS
CN 1-Butanone, 4-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



L42 ANSWER 19 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:18549 HCAPLUS
DN 110:18549
ED Entered STN: 21 Jan 1989
TI Combinations of renal vasodilators and .alpha.1-adrenergic or ganglionic blocking agents and their use for treating renal disease, cardiovascular disease, or hypertension
IN Hintze, Thomas H.
PA New York Medical College, USA
SO U.S., 14 pp.
CODEN: USXXAM
DT **Patent**
LA English
IC ICM A61K037-02
NCL 514012000
CC 1-8 (Pharmacology)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4749686	A	19880607	US 1986-937903	19861204 <--
	WO 8804179	A1	19880616	WO 1987-US3172	19871202 <--
	W: AU, BB, BG, BR, DK, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, RO, SD, SU				
	RW: AT, BE, BJ, CF, CG, CH, CM, DE, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
	AU 8810859	A1	19880630	AU 1988-10859	19871202 <--
	EP 333769	A1	19890927	EP 1988-900508	19871202 <--
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 02501479	T2	19900524	JP 1988-501069	19871202 <--
	IL 84705	A1	19940125	IL 1987-84705	19871203 <--
	CA 1322717	A1	19931005	CA 1987-553949	19871209 <--
PRAI	US 1986-937903		19861204 <--		
	WO 1987-US3172		19871202 <--		
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CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 4749686	ICM	A61K037-02
	NCL	514012000

AB Combinations of atriopeptins having renal vasodilator activity and .alpha.-adrenergic or ganglionic blocking agents are used for the treatment of renal disease, cardiovascular disease, and hypertension. Infusion of atriopeptin-24 to dogs caused an initial transient increase of renal blood flow of 13% and a decrease in renal vascular resistance of 27% and the effects returned to normal levels after 30 min. However, treatment with prazosin prior to infusion of atriopeptin caused a sustained renal vasodilation; at 30 min, renal blood flow was increased by .apprx.13% and remained elevated for the duration of the atriopeptin infusion; at that time urine flow rate, glomerular filtration rate, and Na and K excretion increased by 96, 109, 145, and 71%, resp.
ST atriopeptin prazosin kidney disease; cardiovascular disease atriopeptin

adrenergic blocker; ganglionic blocker atriopeptin antihypertensive

IT Antihypertensives
(atriopeptins and .alpha.1-adrenergic blockers or ganglionic blocking agents)

IT Alkaloids, biological studies
RL: BIOL (Biological study)
(ergot, pharmaceuticals containing atriopeptin and, for treatment of renal and cardiovascular diseases and hypertension)

IT Ganglionic blocking agents
(renal and cardiovascular diseases and hypertension treatment with atriopeptin and)

IT **Kidney, disease or disorder**
(treatment of, with pharmaceuticals containing atriopeptins and .alpha.1-adrenergic blockers or ganglionic blocking agents)

IT Cardiovascular system
(disease, treatment of, with pharmaceuticals containing atriopeptins and .alpha.1-adrenergic blockers or ganglionic blocking agents)

IT Vasodilators
(renal, renal and cardiovascular diseases and hypertension treatment with .alpha.1-adrenergic blocking or ganglionic blocking agents and)

IT Adrenergic antagonists
(.alpha.1-, renal and cardiovascular diseases and hypertension treatment with atriopeptin and)

IT 50-53-3, Chlorpromazine, biological studies 50-60-2, Phentolamine 51-50-3, Dibenamine 59-96-1, Phenoxybenzamine 59-98-3 60-26-4, Hexamethonium 69-27-2, Chlorisondamine 79-55-0, Pempidine 144-44-5, Pentolinium 146-36-1, Azapetine 2624-50-2, Trimethidinium 7187-66-8, Trimethaphan 19216-56-9, Prazosin 35795-16-5, Trimazosin 107538-05-6
RL: BIOL (Biological study)
(renal and cardiovascular diseases and hypertension treatment with atriopeptin and)

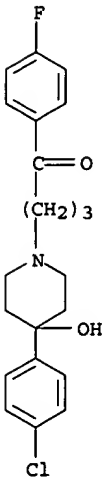
IT 88898-17-3 89139-54-8 90817-13-3
RL: BIOL (Biological study)
(renal and cardiovascular diseases and hypertension treatment with .alpha.1-adrenergic blocking agents and)

IT **52-86-8** 493-09-4D, derivs.
RL: BIOL (Biological study)
(renal and cardiovascular diseases treatment by atriopeptins and)

IT **52-86-8**
RL: BIOL (Biological study)
(renal and cardiovascular diseases treatment by atriopeptins and)

RN 52-86-8 HCAPLUS

CN 1-Butanone, 4-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



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